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=> file registry
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STRUCTURE FILE UPDATES:   16 AUG 2007  HIGHEST RN 944884-94-0
DICTIONARY FILE UPDATES:  16 AUG 2007  HIGHEST RN 944884-94-0

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=> file zcaplus
FILE 'ZCAPLUS' ENTERED AT 12:07:52 ON 17 AUG 2007
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FILE COVERS 1907 - 17 Aug 2007  VOL 147 ISS 9
FILE LAST UPDATED: 16 Aug 2007  (20070816/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L18
L11          153 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ASHTON M?/AU
L12         1086 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  DAVIDSON A?/AU
L13         4747 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  THOMAS R?/AU
L14          356 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  WHITTAKER M?/AU
L15            7 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L11 AND (L12 OR L13 OR L14)
L16           13 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L12 AND (L13 OR L14)
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L17             2 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L13 AND L14
L18            19 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  (L15 OR L16 OR L17)


=> d stat que L19
L3                STR


 G1
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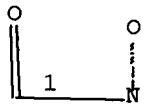

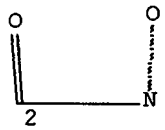


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G1 [@1],[@2]


Structure attributes must be viewed using STN Express query preparation:
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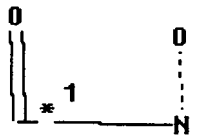
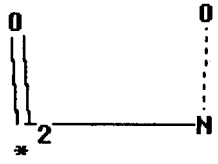
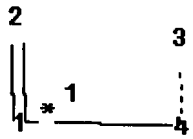
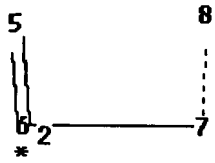
G_1





12





chain nodes :
2 3 5 6 7 8 12
ring nodes :
1 4
chain bonds :
1-2 3-4 5-6 6-7 7-8
ring bonds :
1-4
exact/norm bonds :
1-2 1-4 3-4 5-6 6-7 7-8

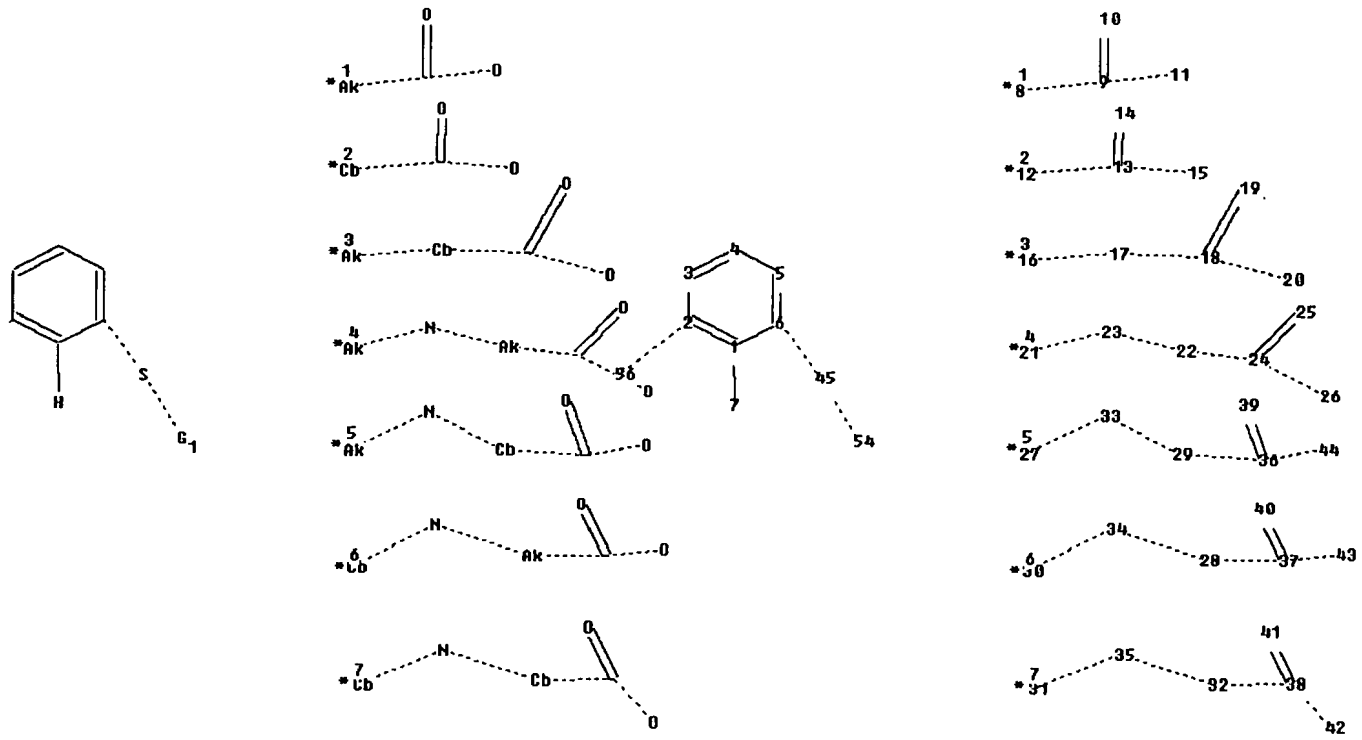
G1:[*1],[*2]

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 12:CLASS

L4 STR

Structure diagram not available for display

Structure attributes must be viewed using STN Express query preparation:
Uploading L4.str



chain nodes :
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28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 54 56
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 2-56 6-45 8-9 9-10 9-11 12-13 13-14 13-15 16-17 17-18 18-19 18-20
21-23 22-23 22-24 24-25 24-26 27-33 28-34 28-37 29-33 29-36 30-34 31-35
32-35 32-38
36-39 36-44 37-40 37-43 38-41 38-42 45-54
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-56 6-45 8-9 9-10 9-11 12-13 13-14 13-15 16-17 17-18 18-19 18-20 21-23
22-23 22-24 24-25 24-26 27-33 28-34 28-37 29-33 29-36 30-34 31-35 32-35
32-38 36-39
36-44 37-40 37-43 38-41 38-42 45-54
exact bonds :
1-7
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G2:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:CLASS
19:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:Atom 30:Atom
31:Atom 32:Atom 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS
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29:
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30:
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Saturation : Unsaturated
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Saturation : Unsaturated

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L9           77 SEA FILE=REGISTRY SUB=L7 SSS FUL L3 AND L4
L10          58 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L9
L11         153 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  ASHTON M?/AU
L12        1086 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  DAVIDSON A?/AU
L13        4747 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  THOMAS R?/AU
L14         356 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  WHITTAKER M?/AU
L19           1 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L10 AND (L11 OR L12 OR L13 OR
                L14)


=> s L18-L19
L25          19 (L18 OR L19)

=> s L18 not L19
L26          18 L18 NOT L19

=> d ibib abs hitstr L19 1; d ibib abs L26 1-18

L19  ANSWER 1 OF 1  ZCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2004:1016002  ZCAPLUS  Full-text
DOCUMENT NUMBER:          142:6311
TITLE:                    A preparation of benzamide derivatives, useful as
                          glyoxalase inhibitors
INVENTOR(S):              Ashton, Mark; Davidson, Alan;
                          Thomas, Russell; Whittaker, Mark
PATENT ASSIGNEE(S):       Chroma Therapeutics Limited, UK
SOURCE:                   PCT Int. Appl., 77 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101506	A1	20041125	WO 2004-GB2101	20040514
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238625	A1	20041125	AU 2004-238625	20040514
CA 2525438	A1	20041125	CA 2004-2525438	20040514
EP 1622869	A1	20060208	EP 2004-733031	20040514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528964	T	20061228	JP 2006-530505	20040514
US 2007015799	A1	20070118	US 2006-556901	20060202
PRIORITY APPLN. INFO.:			GB 2003-11195 A	20030515
			WO 2004-GB2101 W	20040514

OTHER SOURCE(S): MARPAT 142:6311

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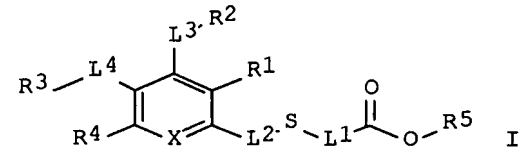

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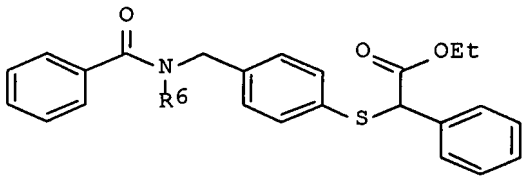


II

AB The invention relates to a preparation of benzamide derivs. of formula I [wherein: X is N or CH; R1 is H, CN, halogen, NH2, or S-alkyl, etc.; R2 is H, CF3, (un)substituted aryl, cycloalkyl, or heterocyclyl, etc.; R3 is the same as R2 excluding CF3; R4 is H, (un)substituted aryl or heterocyclyl; R5 is H, (un)substituted alkyl, aryl, or alkylene-aryl; L1 is (un)substituted alkylene, arylene, or alkylene-arylene, etc.; L2 is a single bond, (un)substituted alkylene, or C(O)-alkylene, etc.; L3 and L4 are independently selected from a single bond, (un)substituted alkylene, or alkylene-NHN(OH)C(O)-arylene, etc.], useful as glyoxalase inhibitors. For instance, benzamide derivative II (R6 = OH; 80% proliferation inhibition in HL60s, IC50 = 8.3 μM) was prepared via hydrolysis of N-(benzoyloxy)benzamide II [R6 = OC(O)Ph] with a yield of 41%.

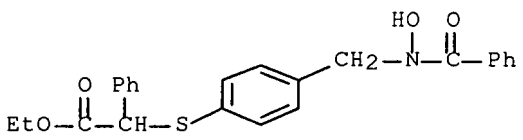
IT ***798555-85-8P 798555-90-5P***

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzamide derivs. useful as glyoxalase inhibitors)

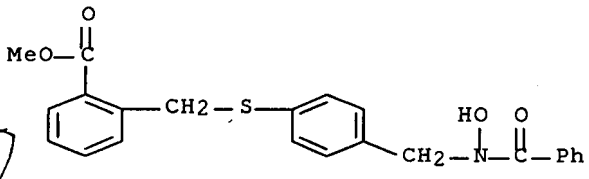
RN 798555-85-8 ZCAPLUS

CN Benzeneacetic acid, α-[[4-[(benzoylhydroxyamino)methyl]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 798555-90-5 ZCAPLUS

CN Benzoic acid, 2-[[[4-[(benzoylhydroxyamino)methyl]phenyl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT ***798555-86-9P 798555-91-6P***

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide derivs. useful as glyoxalase inhibitors)

RN 798555-86-9 ZCAPLUS

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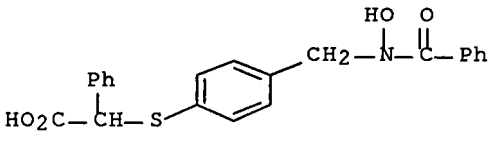
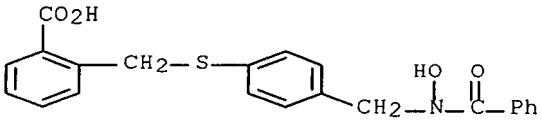


RN 798555-91-6 ZCAPLUS

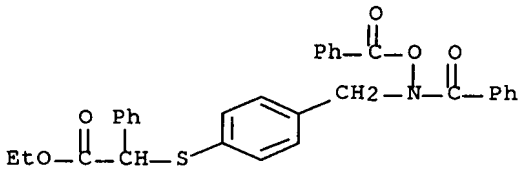
CN Benzoic acid, 2-[[[4-[(benzoylhydroxyamino)methyl]phenyl]thio]methyl]- (9CI) (CA INDEX NAME)



IT ***798555-84-7P 798555-89-2P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzamide derivs. useful as glyoxalase inhibitors)

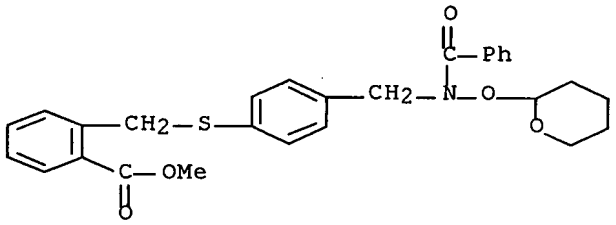
RN 798555-84-7 ZCAPLUS

CN Benzeneacetic acid, α-[[4-[[benzoyl(benzoyloxy)amino]methyl]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 798555-89-2 ZCAPLUS

CN Benzoic acid, 2-[[[4-[[benzoyl[(tetrahydro-2H-pyran-2-yl)oxy]amino]methyl]phenyl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 1 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:469811 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:488826

TITLE: Preparation of betulin derivatives for use in pharmaceutical compositions which inhibit the transmission of viral infection

INVENTOR(S): Robinson, Gary N.; Wild, Carl T.; ***Ashton, Mark***; ***Thomas, Russell***; Montalbetti, Christian; Coulter, Thomas Stephen; Magaraci, Filippo; Townsend, Robert James; Nitz, Theodore John

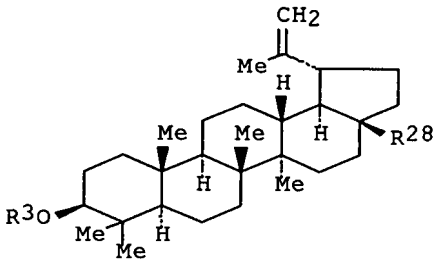
PATENT ASSIGNEE(S): Panacos Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006053255	A2	20060518	WO 2005-US41043	20051114
WO 2006053255	A3	20070118		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005304323	A1	20060518	AU 2005-304323	20051114
US 2006205697	A1	20060914	US 2005-272019	20051114
PRIORITY APPLN. INFO.:			US 2004-626886P P	20041112
			US 2005-653080P P	20050216
			WO 2005-US41043 W	20051114

OTHER SOURCE(S): MARPAT 144:488826
GI



I

AB This invention relates to the preparation of betulin and betulinic acid derivs., such as I [R3 = L-R; L = 3,3-dimethylsuccinyl or 3,3-dimethylglutaryl linking group, i.e. -COCH2CMe2CH2CO- or -COCH2CMe2CO-; R = OH, 4-morpholinyl, NHSO2Me, NHSO2Ph, etc.; R28 = CH2OCOR5, CH2OR6, CH2NR7R8, CO2OR9, CONR10R11; R5 = NMe2, piperidinylmethyl, (CH2)2NHCOMe, etc.; R6 = pyridinylmethyl, (CH2)2CN, CH2CO2CMe3, etc.; R7,R10 = H, Me, Et, Pr, etc.; R8, R11 = H, (CH2)2OMe, (CH2)2OH, etc.; R7R8, R10R11 = nitrogen bound heterocyclyl, such as pyrrolidin-1-yl, piperazin-1-yl, etc.; R9 = Et, CH2CO2Me, (CH2)2CN, etc.], for therapeutic use inhibiting viral infection, inhibiting a retroviral infection, preventing transmission of HIV infection from an HIV infected pregnant woman to a fetus by administering to said woman and/or said fetus during pregnancy or immediately prior to, at, or subsequent to birth, and preventing transmission of HIV infection during sexual intercourse by applying a

retroviral inhibiting effective amount to vaginal or other mucosa prior to sexual intercourse. These betullin derivs. may be used in combination with an antiviral agent or an immunostimulating agent selected from the group consisting of one or more of zidovudine, lamivudine, zalcitabine, stavudine, didanosine, tenofovir, abacavir, nevirapine, delavirdine, emtricitabine, efavirenz, saquinavir, ritonavir, indinavir, nelfinavir, lopinavir, amprenavir, fosamprenavir, tipranavir, atazanavir, enfuvirtide, hydroxyurea, interleukin-2, gamma globulin, amantadine, guanidine hydroxybenzimidazole, interferon-α, interferon-β, interferon-γ, a thiosemicarbazone methisazone, rifampin, ribavirin, a pyrimidine analog, a purine analog, foscarnet, phosphonoacetic acid, acyclovir, a dideoxynucleoside, and ganciclovir. Thus, betulinic acid derivative I [R3 = COCH2CMe2CH2COR, R = 4-morpholinyl, R28 = CO2H] was prepared by esterification of the corresponding glutaric acid chloride, ClCOCH2CMe2CH2COR, with betulinic acid I (R3 = OH, R28 = CO2H). These betulin derivs. were evlauated for HIV-1 inhibition using MT-2 human T-cells.

L26 ANSWER 2 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:986126 ZCAPLUS Full-text
DOCUMENT NUMBER: 143:338968
TITLE: Indole-3-acetic Acid Antagonists of the Prostaglandin D2 Receptor CRTH2
AUTHOR(S): Armer, Richard E.; ***Ashton, Mark R.***; Boyd, Edward A.; Brennan, Chris J.; Brookfield, Frederick A.; Gazi, Lucien; Gyles, Shan L.; Hay, Philip A.; Hunter, Michael G.; Middlemiss, David; ***Whittaker, Mark***; Xue, Luzheng; Pettipher, Roy
CORPORATE SOURCE: Oxagen Ltd., Oxfordshire, OX14 4RY, UK
SOURCE: Journal of Medicinal Chemistry (2005), 48(20), 6174-6177
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:338968
AB Prostaglandin D2 (PGD2) acting at the CRTH2 receptor (chemoattractant receptor-homologous mol. expressed on Th2 cells) has been linked with a variety of allergic and other inflammatory diseases. We describe a family of indole-1-sulfonyl-3-acetic acids that are potent and selective CRTH2 antagonists that possess good oral bioavailability. The compds. may serve as novel starting points for the development of treatments of inflammatory disease such as asthma, allergic rhinitis, and atopic dermatitis.
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:300464 ZCAPLUS Full-text
DOCUMENT NUMBER: 142:373995
TITLE: Preparation of monoacylated triterpene derivatives and use thereof as an anti-HIV drug
INVENTOR(S): Wild, Carl T.; Robinson, Gary N.; ***Ashton, Mark***; ***Thomas, Russell***
PATENT ASSIGNEE(S): Panacos Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005030790	A1	20050407	WO 2004-US31370		20040927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004276307	A1	20050407	AU 2004-276307		20040927
CA 2540160	A1	20050407	CA 2004-2540160		20040927
US 2005148561	A1	20050707	US 2004-949875		20040927
EP 1675866	A1	20060705	EP 2004-784972		20040927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004015023	A	20061107	BR 2004-15023		20040927
CN 1871251	A	20061129	CN 2004-80030801		20040927
JP 2007506761	T	20070322	JP 2006-528207		20040927
IN 2006KN00724	A	20070803	IN 2006-KN724		20060327
NO 2006001792	A	20060614	NO 2006-1792		20060424
PRIORITY APPLN. INFO.:			US 2003-505899P	P	20030926
			US 2004-559358P	P	20040405
			WO 2004-US31370	W	20040927

OTHER SOURCE(S): MARPAT 142:373995

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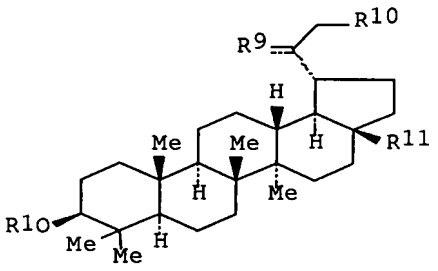

I

AB The present invention relates to triterpenes acyl derivs., such I [R1 = (un)substituted carboxyacyl; R11 = Me, CO2Me, carboxylalkoxycarbonyl, alkanoyloxymethyl, alkoxymethyl, carboxyalkoxymethyl; the dashed line represents an optional double bond between C(20) and C(29); R9 = CH2 (when dashed line = double bond), Me (when dashed line = single bond)], and the use of such derivs. as pharmaceuticals, particularly as an anti-HIV drug. Thus, 3-O-(3',3'-dimethylsuccinyl)-17β-methylester-betulinic acid I [R1 = COC(Me)2CO2H, R9 = CH2, R10 = H, R11 = CO2Me, dashed bond = double bond], was prepared and tested for inhibiting retroviral infections.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 4 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:713306 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:235469
TITLE: The selection and design of GPCR ligands: From concept to the clinic
AUTHOR(S): ***Ashton, Mark***; Charlton, Michael H.; Schwarz, Matthias K.; ***Thomas, Russell J.***; ***Whittaker, Mark***
CORPORATE SOURCE: Evotec OAI, Abingdon, OX14 4SD, UK
SOURCE: Combinatorial Chemistry and High Throughput Screening (2004), 7(5), 441-452
CODEN: CCHSFU; ISSN: 1386-2073
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Virtual screening methods using structure-based, pharmacophore-based and descriptor based protocols may be used to identify ligands for the G-protein coupled receptor target family. A complementary approach is the synthesis and screening of compound libraries designed using privileged motifs and/or based on validated hit mols. A virtual screening approach based on mol. docking performed with GOLD using a templated homol. model and a consensus scoring procedure can identify vasopressin 1a receptor antagonists. In a sep. project a library design and synthesis approach based around validated hit GPCR ligands led to the identification of potent oxytocin antagonists. Subsequent optimization of the initial library compds. has provided compds. that are now being evaluated in the clinic for the treatment of preterm labor.

REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:633917 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:174473
TITLE: Preparation of tetrazole-functionalized amino acids, their production and use
INVENTOR(S): Funk, Martin; Kirsch, Thomas; Roenicke, Volker; Lopez-Calle, Eloisa; Scheel, Andreas; Woelcke, Julian; Schulz, Astrid; Kirchhoff, Christian; Gemkow, Mark; Mueller, Annett; Krause, Ingo; Winkler, Dirk; Klumpp, Martin; Uddin, Muhammed; Brown, Christopher; Muller, Christoph; ***Ashton, Mark***; ***Whittaker, Mark***
PATENT ASSIGNEE(S): Medigene Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065372	A1	20040805	WO 2004-EP390	20040120

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: US 2003-441368P P 20030120
OTHER SOURCE(S): MARPAT 141:174473
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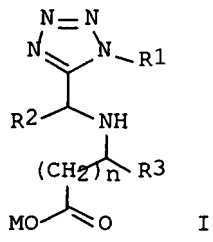

I

AB The present invention relates to novel tetrazole-functionalized amino acids of formula (I) [wherein n = 1-5; M = alkali or alkaline earth metal, linear or branched, optionally substituted C1-6 alkyl; R1 = linear or branched, optionally substituted C1-10 alkyl, (CH2)m-X-R4 (wherein m = 1-6; X = NH, CO, CO2, SO2, O, S; R4 = linear or branched, optionally substituted C1-6 alkyl, optionally substituted C5-10 aryl group); R2 = linear or branched, optionally substituted C1-6 alkyl or alkoxy, (CH2)p-Y-R5 (wherein p = 0-6; Y = NH, CO, CO2, SO2, O, S; R5 = linear or branched, optionally substituted C1-6 alkyl); R3 = optionally substituted Ph ring, wherein the substitution is in ortho, meta or para position or a combination thereof] or prodrugs or salts thereof. These compds. are useful for the prevention or treatment of a metabolic disease which is selected from cardiovascular disease, obesity, and diabetes, in particular congestive heart failure, hypertension, arrhythmia, coronary artery diseases, stable and unstable angina pectoris, arteriosclerosis, hyperglycemia, hyperinsulinemia, hyperlipidemia, psoriasis, and related diseases.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 6 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:633902 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:140766
TITLE: Production and use of tertiary amino acids
INVENTOR(S): Funk, Martin; Kirsch, Thomas; Roenicke, Volker; Lopez-Calle, Eloisa; Scheel, Andreas; Woelcke, Julian; Schulz, Astrid; Kirchhoff, Christian; Gemkow, Mark; Krause, Ingo; Mueller, Annett; Winkler, Dirk; Klumpp, Martin; Uddin, Muhammed; Brown, Christopher; Muller, Christoph; ***Ashton, Mark; Whittaker, Mark***
PATENT ASSIGNEE(S): Medigene Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065350	A1	20040805	WO 2004-EP389	20040120

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: US 2003-441304P P 20030120

OTHER SOURCE(S): MARPAT 141:140766

AB The invention relates to novel tertiary amino acids R1(CH2)nN[(CH2)mR2](CH2)pCO2M [m, n, p are 0-5; M is an alkali or alkaline earth metal, H, ammonium or alkyl; R1, R2 are optionally substituted aryl groups (certain compds. excluded)] and their pharmaceutically acceptable salts. A solid-phase synthesis method involving condensation with aldehydes R1CHO and R2CHO is schematized.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 7 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:511148 ZCAPLUS Full-text

DOCUMENT NUMBER: 136:47788

TITLE: Hydroxamic acid matrix metalloproteinase inhibitors

AUTHOR(S): Brown, Peter D.; ***Davidson, Alan H.***; Drummond, Alan H.; Gearing, Andrew; ***Whittaker, Mark***

CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd., Oxford, UK

SOURCE: Matrix Metalloproteinase Inhibitors in Cancer Therapy (2001), 113-142. Editor(s): Clendeninn, Neil J.; Appelt, Krzysztof. Humana Press Inc.: Totowa, N. J. CODEN: 69BMNN

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

AB A review, with refs., discusses the medicinal chemical relating to batimastat and marimastat. The preclin. evaluation of compds. of this class in animal models of cancer and other human diseases and the current clin. status for marimastat are also discussed.

REFERENCE COUNT: 121 THERE ARE 121 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 8 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:473510 ZCAPLUS Full-text

DOCUMENT NUMBER: 131:138667

TITLE: Preclinical and Clinical studies of MMP inhibitors in cancer

AUTHOR(S): Drummond, Alan H.; Beckett, Paul; Brown, Peter D.; Bone, Elisabeth A.; ***Davidson, Alan H.***; Galloway, W. Alan; Gearing, Andy J. H.; Huxley, Phil; Laber, David; McCourt, Matthew; ***Whittaker, Mark***; Wood, L. Michael; Wright, Annette

CORPORATE SOURCE: British Biotech Pharmaceuticals Limited, Oxford, OX4 5LY, UK

SOURCE: Annals of the New York Academy of Sciences (1999), 878(Inhibition of Matrix Metalloproteinases), 228-235 CODEN: ANYAA9; ISSN: 0077-8923

PUBLISHER: New York Academy of Sciences

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 24 refs. The role of matrix metalloproteinases in tumor angiogenesis and growth is now well recognized for models of both human and

animal cancer. Clin. studies currently under way with the prototype matrix metalloproteinase inhibitor, marimastat, will establish whether inhibitors of these enzymes are of benefit in the treatment of different types of human cancer. On chronic therapy in humans, marimastat induces a reversible tendonitis that can also be detected in certain animal species. This paper compares the ability of broad-spectrum and various types of selective matrix metalloproteinase inhibitors to induce tendonitis and to exhibit anticancer effects in an animal cancer model. Under conditions in which both systemic exposure and inhibitor potency are controlled, selective inhibitors are less pro-tendinitic, but are weaker anticancer agents than broad-spectrum agents such as marimastat. The clin. relevance of these findings is discussed.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:401963 ZCAPLUS Full-text

DOCUMENT NUMBER: 129:130878

TITLE: The synthesis of novel matrix metalloproteinase inhibitors employing the Ireland-Claisen rearrangement

AUTHOR(S): Pratt, Lisa M.; Beckett, R. Paul; Bellamy, Claire L.; Corkill, Dominic J.; Cossins, Judy; Courtney, Paul F.; Davies, Stephen J.; ***Davidson, Alan H.***; Drummond, Alan H.; Helfrich, Karen; Lewis, Christopher N.; Mangan, Matthew; Martin, Fionna M.; Miller, Karen; Nayee, Prakash; Ricketts, Michelle L.; Thomas, Wayne; Todd, Richard S.; ***Whittaker, Mark***

CORPORATE SOURCE: British Biotech Pharmaceuticals Limited, Oxford, OX4 5LY, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(11), 1359-1364
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Matrix metalloproteinase inhibitors that are marimastat derivs. with bulky substituents were synthesized by a route involving an Ireland-Claisen rearrangement which enables systematic modification of the substituent alpha to the hydroxamic acid. An analog possessing an α-cyclopentyl group is a potent broad spectrum inhibitor that displays high and sustained blood levels following oral dosing in both the rat and marmoset ex-vivo bioassays. This compound and analogs are also potent inhibitors of TNFα release.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:268119 ZCAPLUS Full-text

DOCUMENT NUMBER: 126:301325

TITLE: The inhibition of matrix metalloproteinase enzymes

AUTHOR(S): ***Davidson, Alan***; Drummond, Alan H.; Galloway, W. Alan; ***Whittaker, Mark***

CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd., Cowley/Oxford, OX4 5LY, UK

SOURCE: Chemistry & Industry (London) (1997), (7), 258-261
CODEN: CHINAG; ISSN: 0009-3068

PUBLISHER: Society of Chemical Industry

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review, with 67 refs., discussing the nature of matrix metalloproteins, their role in disease, and the therapeutic use of inhibitors. Such inhibitors may be an important new class of therapeutic agents for the treatment of

diseases, such as cancer, which are characterized by excessive extracellular matrix degradation and(or) remodelling. However, rather than treating the primary cause of the disease they will serve as disease-modifying agents which might stabilize the condition and will probably be used in conjunction with other agents.

L26 ANSWER 11 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:118808 ZCAPLUS Full-text
DOCUMENT NUMBER: 124:219028
TITLE: Recent advances in matrix metalloproteinase inhibitor research
AUTHOR(S): Beckett, R. Paul; ***Davidson, Alan H.***; Drummond, Alan H.; Huxley, Philip; ***Whittaker, Mark***
CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd, Oxford, OX4 5LY, UK
SOURCE: Drug Discovery Today (1996), 1(1), 16-26
CODEN: DDTOFS; ISSN: 1359-6446
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 88 refs. The matrix metalloproteinases (MMPs) are a family of zinc-dependent enzymes that degrade all of the major components of the extracellular matrix. Over-expression and activation of MMPs have been linked with a range of diseases for which good therapeutic approaches are currently sought, such as arthritis, cancer and multiple sclerosis. Inhibition of MMPs has therefore become the focus of considerable interest, and potential therapeutic applications continue to grow. Orally active, broad-spectrum inhibitors have been identified, and some of these are undergoing clin. evaluation. Structural information on MMP-inhibitor complexes is now available, enabling the structure-based design of selective MMP inhibitors.

L26 ANSWER 12 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:671267 ZCAPLUS Full-text
DOCUMENT NUMBER: 121:271267
TITLE: Structure-activity relationships for BB-823 and related PAF antagonists
AUTHOR(S): ***Whittaker, M.***; Askew, M.; Beauchamp, C. L.; Bowles, S. A.; Cackett, K. S.; Campion, C.; Christodoulou, M. S.; Churchill, M.; ***Davidson, A. H.***
CORPORATE SOURCE: British Bio-Technology Ltd., Oxford, OX4 5LY, UK
SOURCE: Journal of Lipid Mediators and Cell Signalling (1994), 10(1-2), 151-2
CODEN: JLMSEO; ISSN: 0929-7855
DOCUMENT TYPE: Journal
LANGUAGE: English
AB At an early stage in PAF antagonist search, BB-182 was identified as a lead compound that inhibited [3H]PAF receptor binding to washed human platelet membranes with an IC50 value of 300 nM. It was surmised that BB-182 is a member of the heterocyclic sp2 nitrogen class of PAF antagonists (Whittaker, 1992). For such compds. the interactions with the PAF receptor are provided by an sp2 nitrogen, a sulfonamide/amide oxygen and a lipophilic group. A comparative mol. modeling study with three more potent compds. (UK-74,505, RP 59227 and YM461) from this class of PAF antagonists (Hodgkin et al., 1992) directed the authors to modify the lipophilic group. Replacement of cyclohexyl with amino acid moieties gave compds. with improved activity. Two potent compds., BB-823 (IC50 0.015 nM) (Whittaker et al., 1992) and BB-882

(IC50 0.15 nM), were selected for further pharmacol. evaluation. They gave potent inhibition of PAF-induced hypotension (BB-823 ED50 0.65 μg/kg i.v.; BB-882 ED50 0.52 μg/kg i.v.), and endotoxin-induced hypotension (BB-823 ED50 5.5 μg/kg i.v.; BB-882 ED50 4.7 μg/kg i.v.) in anesthetized rats. BB-882 showed greater oral activity and duration of action than BB-823; a dose of 0.1 mg/kg p.o. of BB-882 gave a maximal inhibition of ex vivo PAF-induced [3H]5HT release from rabbit platelets of 85% at 2 h with a t50% value of 5 h. BB-882 is being developed for the treatment of asthma and septic shock and is currently in phase I clin. trials.

L26 ANSWER 13 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:409241 ZCAPLUS Full-text
DOCUMENT NUMBER: 121:9241
TITLE: Cyclic ether acetal platelet activating factor (PAF) receptor antagonists. II. Imidazo[4,5-c]pyridyl derivatives
AUTHOR(S): Wood, L. Michael; ***Whittaker, Mark***; Timmis, David J.; Thompson, Timothy M.; Saroglou, Lydia; Miller, Andrew; ***Davidson, Alan H.***; Christodoulou, Mark S.; Cackett, Karen S.; et al.
CORPORATE SOURCE: Br. Bio-Technol. Ltd., Oxford, OX4 5LY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(8), 1499-504
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
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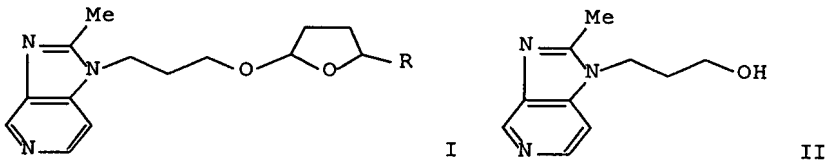

I II

AB The 1H-2-methylimidazo[4,5-c]pyridyl group has been found to be the optimal heterocycle for a series of cyclic ether acetal PAF antagonists. Thus, imidazopyridyl compds. I (R = n-heptyl, Ph, 4-BrC6H4, etc.) were prepared by reacting the corresponding 2-substituted 5-hydroxyfuran with (hydroxypropyl)methylimidazopyridine II. A lead compound I [R = 3,4-(MeO)2C6H3] inhibits [3H]-PAF receptor binding to washed human platelets with an IC50 value of 15 nM, and both PAF-induced hypotension and endotoxin-induced hypotension in anesthetized rats with ED50 values of 1.4 μg/kg i.v. and 19 μg/kg i.v., resp.

L26 ANSWER 14 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:164169 ZCAPLUS Full-text
DOCUMENT NUMBER: 120:164169
TITLE: 1H-2-methylimidazo[4,5-c]pyridine platelet-activating factor receptor antagonists
INVENTOR(S): ***Davidson, Alan Hornsby***; ***Whittaker, Mark***; Bowles, Stephen Arthur; Miller, Andrew
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK

SOURCE: Brit. UK Pat. Appl., 50 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2264115	A	19930818	GB 1993-2730	19930211
GB 2264115	B	19951220		

PRIORITY APPLN. INFO.: GB 1992-2792 A 19920211
OTHER SOURCE(S): MARPAT 120:164169
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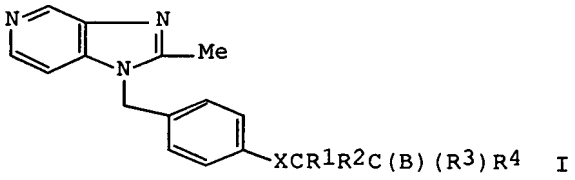

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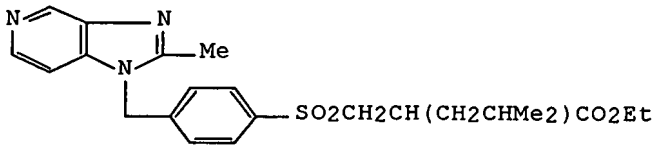
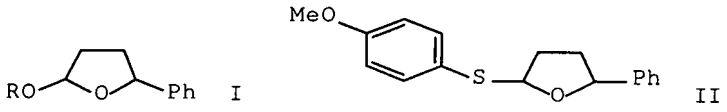


II

AB The title compds. I [B = (CH2)mA; A = 5- or 6-membered heterocyclic ring; m = 0, 1; R1-R4 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; X = CO, CS, SO, SO2], useful for the treatment or prophylaxis of diseases or conditions mediated by platelet-activating factor (no data), are prepared Thus, Me 4-methylphenylsulfone was converted into imidazopyridine II in 7 steps.

L26 ANSWER 15 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:408612 ZCAPLUS Full-text
DOCUMENT NUMBER: 119:8612
TITLE: A convenient preparation of cyclic ether acetals mediated by trifluoroacetic anhydride
AUTHOR(S): Bowles, Stephen A.; ***Davidson, Alan H.***; Miller, Andrew; Thompson, Timothy M.; ***Whittaker, Mark***
CORPORATE SOURCE: Br. Bio-Technol. Ltd., Oxford, OX4 5LY, UK
SOURCE: Synlett (1993), (2), 111-12
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:8612
GI



AB Cyclic ether acetals, e.g., I (R = CH2CH2CH2Ph), and thioacetals, e.g., II, may be prepared in one step from lactols, e.g., I (R = H), by sequential treatment of a solution of the lactol and triethylamine in dichloromethane with trifluoroacetic anhydride followed by an alc., e.g., Ph(CH2)3OH, or thiol, e.g., 4-MeOC6H4SH.

L26 ANSWER 16 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:59573 ZCAPLUS Full-text
DOCUMENT NUMBER: 118:59573
TITLE: Preparation of 1-oxa-2,9-diphenylspiro[4.4]nonane derivatives as PAF antagonists
INVENTOR(S): ***Davidson, Alan Hornsby; Whittaker, Mark;*** Spavold, Zoe Marie
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 502706	A1	19920909	EP 1992-301841	19920304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
US 5300524	A	19940405	US 1991-760726	19910916
ZA 9201585	A	19930903	ZA 1992-15851	19920303
CA 2103663	A1	19920907	CA 1992-2103663	19920304
WO 9215571	A1	19920917	WO 1992-GB380	19920304
W: AU, CA, FI, JP, KR, NO, US				
AU 9214121	A	19921006	AU 1992-14121	19920304
AU 648654	B2	19940428		
PRIORITY APPLN. INFO.:			GB 1991-4746 A	19910306
			WO 1992-GB380 A	19920304

OTHER SOURCE(S): MARPAT 118:59573
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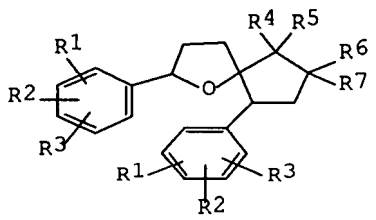


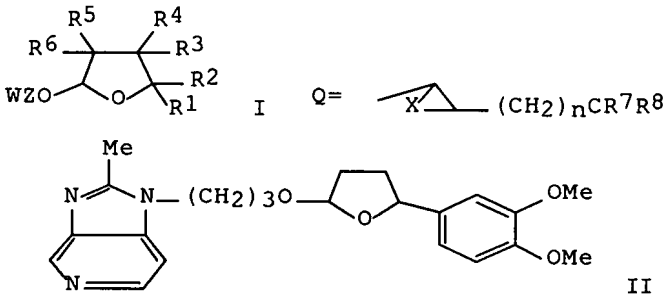
I

AB Title compds. I (R1, R2, R3 = H, C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, C1-6 alkylthio, halo, NC, etc.; R4,R5 = H, C1-6alkyl, C2-6 alkenyl, H2NSO2, HO2C, HOCH2, HO, (substituted) phenylalkyl, PhCO, heterocyclyl, R4R5 = O, etc.; R6, R7 = H, C1-6 alkyl, heterocyclyl, alkenyl, etc.) and salts thereof, are prepared To 3-bromopyridine, HC.tplbond.C(CH2)3OH and Et3N in CH2Cl2 was added (Ph3P)2PdCl2 and CuI2, the mixture reflux for 20 h under Ar to give 5-(3-pyridyl)-1-pent-4-ynol, reduced to the pentanol derivative, and oxidized to give 5-(3-pyridyl)-1-pentanol. 2-(Phenylsulfonyl)-5-(3,4-dimethoxyphenyl)tetrahydrofuran (preparation given) was added to (Me2CH)2NLi followed by the above aldehyde to give the title I [R1 = R2 = MeO, R4R5 = O, R6 = H, R7 = (3-pyridylbutyl)methylene] (II). II had IC50 of 1.0 μM for the inhibition of PAF receptor binding.

L26 ANSWER 17 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:426321 ZCAPLUS Full-text
DOCUMENT NUMBER: 117:26321
TITLE: Preparation of γ-butyrolactol ethers as platelet-activating factor antagonists
INVENTOR(S): ***Whittaker, Mark; Davidson, Alan Hornsby***; Spavold, Zoe Marie; Bowles, Stephen Arthur
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9117157	A1	19911114	WO 1991-GB596	19910416
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
ZA 9102715	A	19920325	ZA 1991-2715	19910411
CA 2080346	A1	19911028	CA 1991-2080346	19910416
AU 9176585	A	19911127	AU 1991-76585	19910416
AU 645082	B2	19940106		
EP 527817	A1	19930224	EP 1991-908494	19910416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507064	T	19931014	JP 1991-507515	19910416
US 5428168	A	19950627	US 1992-940959	19921023
NO 9204135	A	19921026	NO 1992-4135	19921026
PRIORITY APPLN. INFO.:			GB 1990-9469 A	19900427
			WO 1991-GB596 A	19910416

OTHER SOURCE(S): MARPAT 117:26321
GI



I

II

AB Title compds. I [W = (fused) 5- or 6-membered aromatic heterocyclyl containing at least 1 sp2 N atom; Z = (substituted) C2-8 alkanediyl, -alkenediyl, -alkynediyl, Q, (CH2)qU(CH2)r; n = 0-3; X = O, S, CH2; R7, R8 = H, C1-6 alkyl; q = 0-2; r = 1-3; U = phenylene, furandiyl, tetrahydrofurandiyl, thiophenediyl, etc.; R1 = (CH2)tV; t = 0-3; V = (substituted) Ph; R2-R6 = H, C1-6 alkyl, C2-6 alkenyl, halo, C1-6 alkoxy, C1-6 alkylthio, C3-8 cycloalkyl, C4-8 cycloalkenyl, CF3, OH, etc.] were prepared as platelet-activating factor (PAF) antagonists useful for treating PAF-mediated diseases, e.g. hypotension, bronchoconstriction. Thus, a mixture of 4-chloro-3-nitropyridine and NaHCO3 in EtOH was treated with H2N(CH2)3OH and the product formed was reduced to 3-amino-4-(3- hydroxypropylamino)pyridine. This was cyclocondensed with Ac2O and the product formed was treated with KOH in EtOH to give 3-(1H-2-methylimidazo[4,5-c]pyridyl)-1-propanol. This was added to a solution of 2-benzenesulfonyl-5-(3,4-dimethoxyphenyl)tetrahydrofuran (preparation given), Et2O·MgBr2, and NaHCO3 in anhydrous THF to give title compound II as a mixture of 36:65 cis and trans isomers. II had ED50 of 0.4 μg/kg i.v. against PAF-induced bronchoconstriction in guinea pigs.

L26 ANSWER 18 OF 18 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:449685 ZCAPLUS Full-text
DOCUMENT NUMBER: 115:49685
TITLE: Preparation of N-benzylbenzimidazole derivatives as platelet-activating factor (PAF) antagonists
INVENTOR(S): ***Whittaker, Mark***; Floyd, Christopher David; Dickens, Jonathan Phillip; ***Davidson, Alan Hornsby***
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

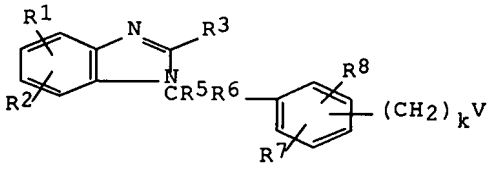
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9009997	A1	19900907	WO 1990-GB287	19900223
W: AU, CA, FI, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2050908	A1	19900824	CA 1990-2050908	19900223
AU 9051626	A	19900926	AU 1990-51626	19900223
AU 637356	B2	19930527		
EP 468971	A1	19920205	EP 1990-903861	19900223

R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE

JP 04505156	T	19920910	JP 1990-503940		19900223
NO 9103300	A	19911022	NO 1991-3300		19910822
US 5314880	A	19940524	US 1991-752443		19910930
PRIORITY APPLN. INFO.:			GB 1989-4174	A	19890223
			WO 1990-GB287	A	19900223

OTHER SOURCE(S): MARPAT 115:49685

GI



I

AB Title compds. I [R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, halo, NC, HO2C, H2NCO, CHO, CH2OH, HO3S, H2N, MeCONH, O2N, etc., R1R2 = fused Ph ring; R3 = H, C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, C1-6 alkylthio, F3C, thiophenyl, thiazolyl, (substituted) Ph, etc.; R5, R6 = H, C1-6 alkyl, C2-6 alkenyl, C1-6 alkylthio, thiophenyl, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, C1-6 alkoxy, C1-6 alkylthio, halo, F3C, NC, HO, HS, HOCH2, HSCH2, H2NCO, etc.; V = YNR9R10, Y = O2S, O2P, CO, CS, R9, R10 = H, C11-18 alkyl, C3-8 cycloalkyl, adamantyl, etc.; k = 0-2], are prepared NaH was added to a stirred solution of 2-methylbenzimidazole in THF, and after 90 min the mixture was cooled to 0° and treated with 4-(bromomethyl)-N- cyclohexyl-N-methylbenzenesulfonamide (preparation given) in THF; the mixture was stirred overnight at room temperature to give I (R1 = R2 = R5 = R6 = R7 = R8 = R10 = H, R3 = R9 = Me, Y = cyclohexyl, k = 0) (II). II inhibited 3H-PAF binding to platelet plasma membrane with IC50 = 0.3 μM.

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DICTIONARY FILE UPDATES:  16 AUG 2007  HIGHEST RN 944884-94-0

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FILE COVERS 1907 - 17 Aug 2007  VOL 147 ISS 9
FILE LAST UPDATED: 16 Aug 2007  (20070816/ED)

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L10
L3              STR
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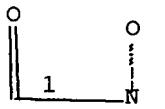
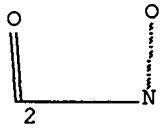
G1





G1 [@1],[@2]

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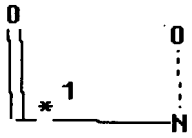
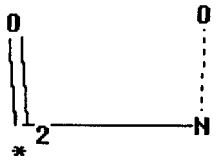
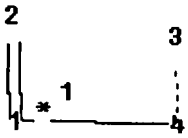
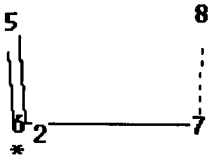
G_1 12









chain nodes :
2 3 5 6 7 8 12

ring nodes :
1 4
chain bonds :
1-2 3-4 5-6 6-7 7-8
ring bonds :
1-4
exact/norm bonds :
1-2 1-4 3-4 5-6 6-7 7-8

G1:[*1],[*2]

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 12:CLASS

L4 STR

Structure diagram not available for display

Structure attributes must be viewed using STN Express query preparation:
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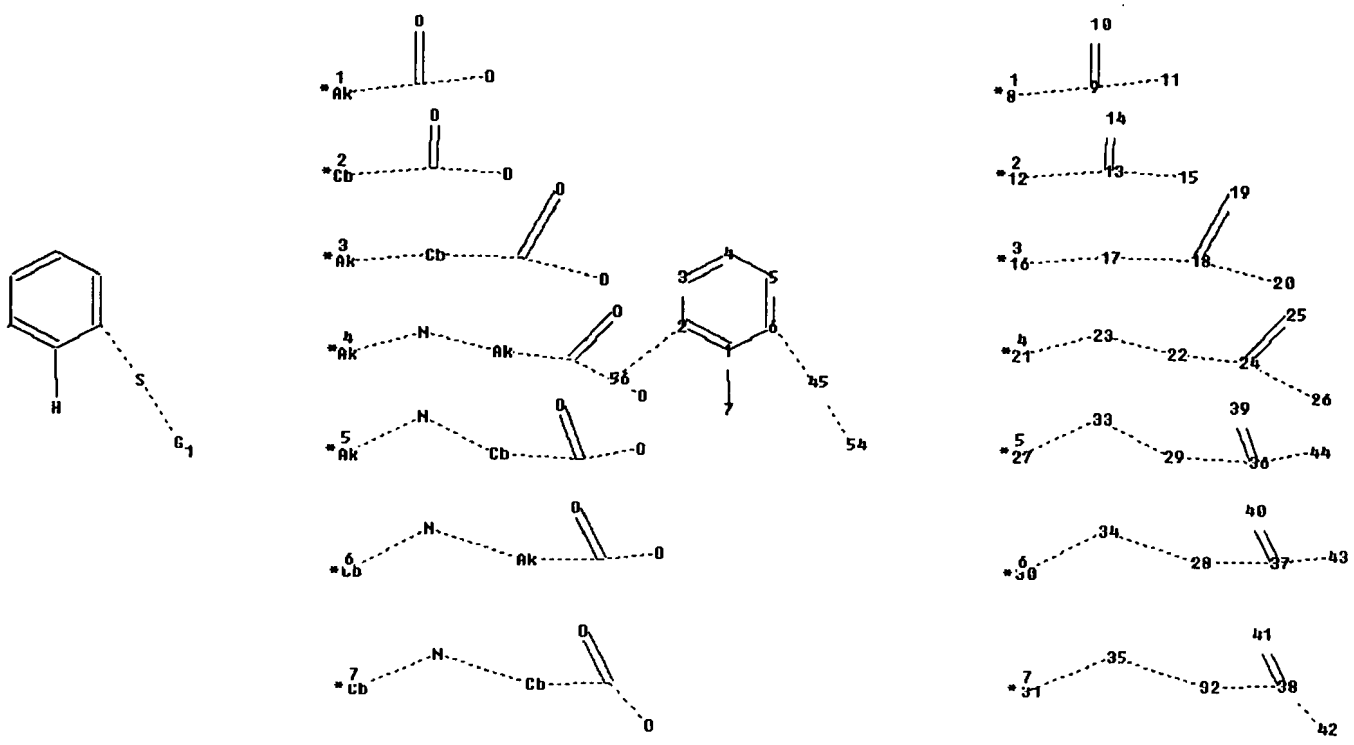

chain nodes :
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28 29 30 31 32 33 34 35 36 37 38 39 40 41 42 43 44 45 54 56

```
ring nodes :
1  2  3  4  5  6
chain bonds :
1-7  2-56  6-45  8-9  9-10  9-11  12-13  13-14  13-15  16-17  17-18  18-19  18-20
21-23  22-23  22-24  24-25  24-26  27-33  28-34  28-37  29-33  29-36  30-34  31-35
32-35  32-38
36-39  36-44  37-40  37-43  38-41  38-42  45-54
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
2-56  6-45  8-9  9-10  9-11  12-13  13-14  13-15  16-17  17-18  18-19  18-20  21-23
22-23  22-24  24-25  24-26  27-33  28-34  28-37  29-33  29-36  30-34  31-35  32-35
32-38  36-39
36-44  37-40  37-43  38-41  38-42  45-54
exact bonds :
1-7
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G2:H,Cy

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS  12:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:Atom  18:CLASS
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21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS
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Generic attributes :
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17:
Saturation              : Unsaturated
29:
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30:
Saturation              : Unsaturated
31:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated




L7        49631 SEA FILE=REGISTRY SSS FUL L4
L9           77 SEA FILE=REGISTRY SUB=L7 SSS FUL L3 AND L4
L10          58 SEA FILE=ZCAPLUS ABB=ON  PLU=ON  L9


=> s L10 not L19
L27          57 L10 NOT L19

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L27 ANSWER 1 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1250272 ZCAPLUS Full-text
DOCUMENT NUMBER: 146:190327
TITLE: Site-Specific PEGylation of Protein Disulfide Bonds Using a Three-Carbon Bridge
AUTHOR(S): Balan, Sibu; Choi, Ji-Won; Godwin, Antony; Teo, Ian; Laborde, Carlos M.; Heidelberger, Sibylle; Zloh, Mire; Shaunak, Sunil; Brocchini, Steve
CORPORATE SOURCE: Department of Pharmaceutics The School of Pharmacy, University of London, London, WC1N 1AX, UK
SOURCE: Bioconjugate Chemistry (2007), 18(1), 61-76
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The covalent conjugation of a functionalized poly(ethylene glycol) (PEG) to multiple nucleophilic amine residues results in a heterogeneous mixture of PEG positional isomers. Their physicochem., biol., and pharmaceutical properties vary with the site of conjugation of PEG. Yields are low because of inefficient conjugation chemical and production costs high because of complex purification procedures. Our solution to these fundamental problems in PEGylating proteins has been to exploit the latent conjugation selectivity of the two sulfur atoms that are derived from the ubiquitous disulfide bonds of proteins. This approach to PEGylation involves 2 steps: (1) disulfide reduction to release the 2 cysteine thiols and (2) re-forming the disulfide by bis-alkylation via a 3-carbon bridge to which PEG was covalently attached. During this process, irreversible denaturation of the protein did not occur. Mechanistically, the conjugation is conducted by a sequential, interactive bis-alkylation using α,β-unsatd. β'-monosulfone functionalized PEG reagents. The combination of (a) maintaining the protein's tertiary structure after disulfide reduction, (b) the mechanism for bis-thiol selectivity of the PEG reagent, and (c) the steric shielding of PEG ensure that only one PEG mol. is conjugated at each disulfide bond. PEG was site-specifically conjugated via a 3-carbon bridge to 2 equiv of the tripeptide glutathione, the cyclic peptide hormone somatostatin, the tetrameric protein L-asparaginase, and to the disulfides in interferon α-2b (IFN). SDS-PAGE, mass spectral, and NMR analyses were used to confirm conjugation, thiol selectivity, and connectivity. The biol. activity of the L-asparaginase did not change after the attachment of 4 PEG mols. In the case of IFN, a small reduction in biol. activity was seen with the single-bridged IFN (without PEG attached). A significantly larger reduction in biol. activity was seen with the three-carbon disulfide single-bridged PEG-IFNs and with the double-bridged IFN (without PEG attached). The reduction of the PEG-IFN's in vitro biol. activity was a consequence of the steric shielding caused by PEG, and it was comparable to that seen with all other forms of PEG-IFNs reported. However, when a three-carbon bridge was used to attach PEG, our PEG-IFN's biol. activity was independent of the length of the PEG. This property has not previously been described for PEG-IFNs. Our studies therefore suggest that peptides, proteins, enzymes, and antibody fragments can be site-specifically PEGylated across a native disulfide bond using three-carbon bridges without destroying their tertiary structure or abolishing their biol. activity. The stoichiometric efficiency of this approach also enables recycling of any unreacted protein. It therefore offers the potential to make PEGylated biopharmaceuticals as cost-effective medicines for global use.

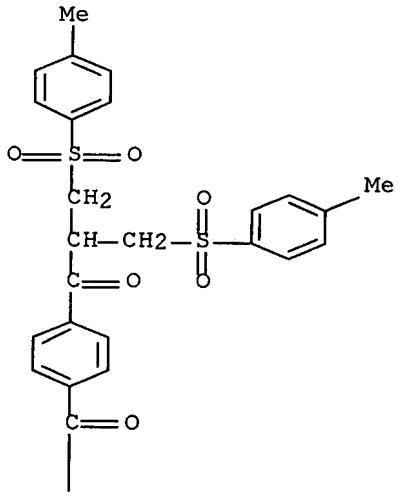
IT ***899452-51-8P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

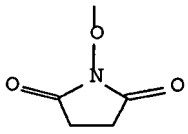
(site-specific PEGylation of protein disulfide bonds using 3-carbon bridge)

RN 899452-51-8 ZCAPLUS
CN Benzoic acid, 4-[3-[(4-methylphenyl)sulfonyl]-2-[[(4-methylphenyl)sulfonyl]methyl]-1-oxopropyl]-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

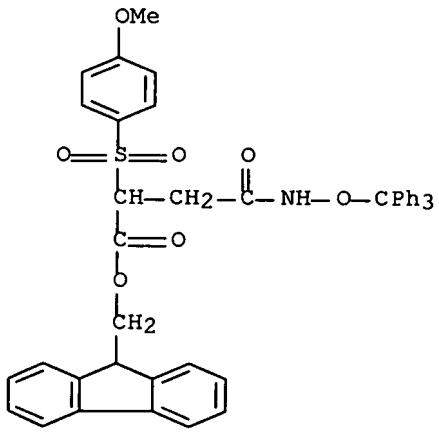
L27 ANSWER 2 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:957708 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:467200
TITLE: "Click" synthesis of small molecule probes for activity-based fingerprinting of matrix metalloproteases
AUTHOR(S): Wang, Jun; Uttamchandani, Mahesh; Li, Junqi; Hu, Mingyu; Yao, Shao Q.
CORPORATE SOURCE: Department of Chemistry, National University of Singapore, 117543, Singapore
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2006), (36), 3783-3785
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By using "Click Chemical", we achieved the facile synthesis of various affinity-based hydroxamate probes that enable generation of activity-based fingerprints of a variety of metalloproteases, including matrix metalloproteases (MMPs), in proteomics expts.

IT ***913987-15-2P 913987-16-3P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of small mol. probes for activity-based fingerprinting of matrix metalloproteases)

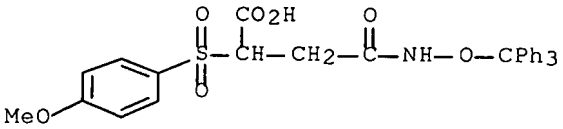
RN 913987-15-2 ZCAPLUS

CN Butanoic acid, 2-[(4-methoxyphenyl)sulfonyl]-4-oxo-4-[(triphenylmethoxy)amino]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 913987-16-3 ZCAPLUS

CN Butanoic acid, 2-[(4-methoxyphenyl)sulfonyl]-4-oxo-4-[(triphenylmethoxy)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 3 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:795651 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:230883

TITLE: Preparation of insulin derivatives

INVENTOR(S): Kodra, Janos Tibor; Garibay, Patrick William; Hoeg-Jensen, Thomas; Jonassen, Ib; Madsen, Peter; Tagmose, Tina Moeller

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006082204	A1	20060810	WO 2006-EP50593	20060201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

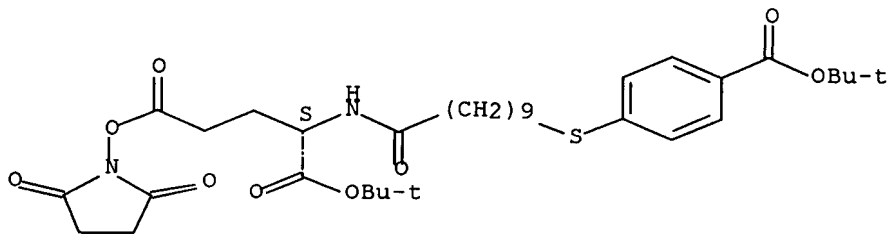
PRIORITY APPLN. INFO.: DK 2005-157 A 20050202
OTHER SOURCE(S): MARPAT 145:230883

AB The invention relates to insulin derivs. having a side chain attached either to the α-amino group of the N-terminal amino acid residue of the B chain or to the ε-amino group of a Lys residue present in the B chain of the parent insulin. The side chain comprises at least one aromatic group, at least one free carboxylic acid group or a group which is neg. charged at neutral pH, a fatty acid moiety with 4 to 22 carbon atoms in the carbon chain, and possible linkers which link the individual components in the side chain together via amide bonds. Thus, NεB29-10-(4-carboxyphenylthio)decanoyl-γ-L-glutamyl desB30 human insulin was prepared by coupling of O-protected N-[10-(4-carboxyphenylthio)decanoyl]-L-glutamic acid (preparation given) with human desB30 insulin and showed 101% insulin receptor binding, vs. 100% for human insulin.

IT ***905303-04-0P 905303-10-8P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of insulin derivs.)

RN 905303-04-0 ZCAPLUS
CN Benzoic acid, 4-[[10-[[(1S)-1-[(1,1-dimethylethoxy)carbonyl]-4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]amino]-10-oxodecyl]thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

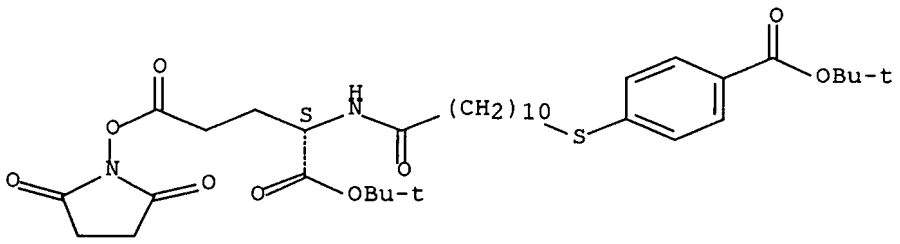
Absolute stereochemistry.



RN 905303-10-8 ZCAPLUS
CN Benzoic acid, 4-[[11-[[(1S)-1-[(1,1-dimethylethoxy)carbonyl]-4-[(2,5-dioxo-

1-pyrrolidinyl)oxy]-4-oxobutyl]amino]-11-oxoundecyl]thio]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

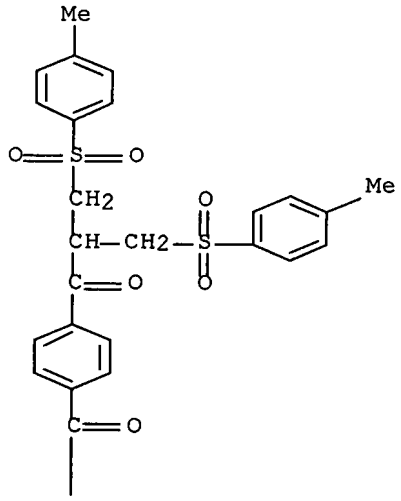
L27 ANSWER 4 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:473584 ZCAPLUS Full-text
DOCUMENT NUMBER: 145:152321
TITLE: Site-specific PEGylation of native disulfide bonds in therapeutic proteins
AUTHOR(S): Shaunak, Sunil; Godwin, Antony; Choi, Ji-Won; Balan, Sibu; Pedone, Elisa; Vijayarangam, Damotharan; Heidelberger, Sibylle; Teo, Ian; Zloh, Mire; Brocchini, Steve
CORPORATE SOURCE: Faculty of Medicine, Imperial College London, Hammersmith Hospital, London, W12 0NN, UK
SOURCE: Nature Chemical Biology (2006), 2(6), 312-313
CODEN: NCBABT; ISSN: 1552-4450
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Native disulfide bonds in therapeutic proteins are crucial for tertiary structure and biol. activity and are therefore considered unsuitable for chemical modification. We show that native disulfides in human interferon α-2b and in a fragment of an antibody to CD4+ can be modified by site-specific bisalkylation of the two cysteine sulfur atoms to form a three-carbon PEGylated bridge. The yield of PEGylated protein is high, and tertiary structure and biol. activity are retained.

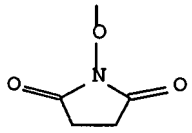
IT ***899452-51-8P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(site-specific PEGylation of native disulfide bonds in therapeutic proteins and effects on biol. activity of PEG-conjugated proteins)

RN 899452-51-8 ZCAPLUS
CN Benzoic acid, 4-[3-[(4-methylphenyl)sulfonyl]-2-[[(4-methylphenyl)sulfonyl]methyl]-1-oxopropyl]-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 5 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:75281 ZCAPLUS Full-text
DOCUMENT NUMBER: 144:151120
TITLE: Dendrimer-aminobutadiene-based UV-screens
INVENTOR(S): Kluijtmans, Sebastianus Gerardus Johannes Maria; Bouwstra, Jan Bastiaan
PATENT ASSIGNEE(S): Fuji Photo Film B.V., Neth.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006009451	A1	20060126	WO 2005-NL538	20050725

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1784455 A1 20070516 EP 2005-769173 20050725
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

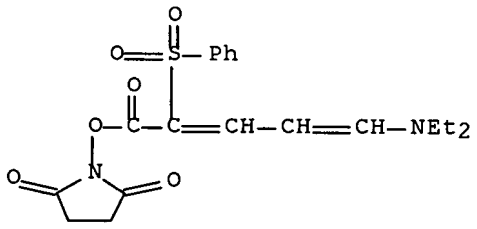
PRIORITY APPLN. INFO.: EP 2004-77128 A 20040723
WO 2005-NL538 W 20050725

AB This invention relates to UV-absorbing polymers, said UV-absorbing polymer comprising a synthetic amine-rich polymer which is covalently linked to an aminobutadiene represented by the general formula R3R4C=C-C=C-NR1R2 wherein the UV-absorbing polymer has a number average mol. weight Mn of 1000 g/mol to 100,000 g/mol; and said UV-absorbing polymer having a UV-absorption of at least 5.6 a.u./g.L at 375 nm. These synthetic amine-rich polymers were reacted with activated N-hydroxysuccinimide-ester. This invention is directed to the use of UV-absorbing polymers for protection of human skin and hair from detrimental effects of the sunlight and these polymers do not get absorbed into the bloodstream.

IT ***752237-53-9P***
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(dendrimer-aminobutadiene-based UV-screens)

RN 752237-53-9 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[5-(diethylamino)-1-oxo-2-(phenylsulfonyl)-2,4-pentadienyl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 6 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:740145 ZCAPLUS Full-text
DOCUMENT NUMBER: 141:248396
TITLE: Cosmetic UV-screen compositions and aminobutadiene-based UV-absorbing complexes therefor
INVENTOR(S): Toda, Yuzo; Kluijtmans, Sebastianus Gerardus Johannes Maria; Bouwstra, Jan Bastiaan
PATENT ASSIGNEE(S): Fuji Photo Film B.V., Neth.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

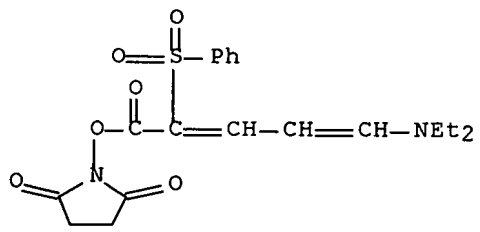
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075871	A1	20040910	WO 2004-NL139	20040225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1596819	A1	20051123	EP 2004-714519	20040225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006519227	T	20060824	JP 2006-502754	20040225
US 2006204457	A1	20060914	US 2005-546590	20050822
PRIORITY APPLN. INFO.:			EP 2003-75572 A	20030226
			EP 2003-79191 A	20031224
			WO 2004-NL139 W	20040225

AB This invention relates to a cosmetic composition for protection against UV radiation and its detrimental effects comprising a cosmetically and dermatol. acceptable carrier and a UV absorbing compound, not being flavonoid, which is covalently linked to a carrier mol. An aminobutadiene derivative PhSO2C(COOEt):CHCH:CHNEt2 (UV-C1) was reacted with isonipecotic acid and N-hydroxy succinimide (NHS) to make a NHS ester of modified UV-C1. The obtained ester was reacted with hydrolyzed limed-bone gelatin to obtain a UV-absorbent of the present invention. The obtained UV-absorbent complex showed improved skin penetration property and storage stability.

IT ***752237-53-9DP***, reaction products with gelatin
752237-55-1DP, reaction products with gelatin hydrolyzates
RL: COS (Cosmetic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(UV-absorber-polypeptide conjugates for cosmetic UV-screen compns.)

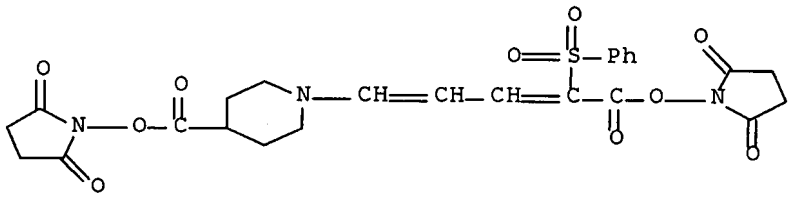
RN 752237-53-9 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[5-(diethylamino)-1-oxo-2-(phenylsulfonyl)-2,4-pentadienyl]oxy]- (9CI) (CA INDEX NAME)

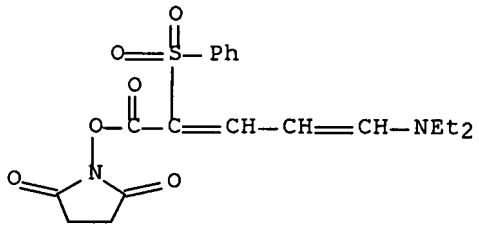


RN 752237-55-1 ZCAPLUS

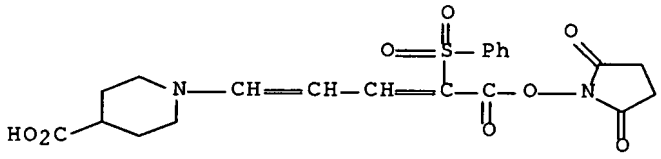
CN 2,5-Pyrrolidinedione, 1-[[5-[4-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-1-piperidinyl]-1-oxo-2-(phenylsulfonyl)-2,4-pentadienyl]oxy]- (9CI) (CA INDEX NAME)



IT ***752237-53-9P 752237-54-0P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of UV-absorber-polypeptide conjugates for cosmetic UV-screen compns.)
RN 752237-53-9 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[5-(diethylamino)-1-oxo-2-(phenylsulfonyl)-2,4-pentadienyl]oxy]- (9CI) (CA INDEX NAME)



RN 752237-54-0 ZCAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[(2,5-dioxo-1-pyrrolidinyl)oxy]-5-oxo-4-(phenylsulfonyl)-1,3-pentadienyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 7 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:867650 ZCAPLUS Full-text
DOCUMENT NUMBER: 140:93472
TITLE: On the regioselectivity for the Michael addition of thiols to unsymmetrical fumaric derivatives
AUTHOR(S): Kamimura, Akio; Murakami, Norikazu; Kawahara, Fukiko; Yokota, Kakuteru; Omata, Yoji; Matsuura, Kenji; Oishi, Yusuke; Morita, Rie; Mitsudera, Hiromasa; Suzukawa, Hiroyuki; Kakehi, Akikazu; Shirai, Masashi; Okamoto,

Hiroaki
CORPORATE SOURCE: Faculty of Engineering, Department of Applied Chemistry, Yamaguchi University, 2-16-1, Tokiwadai, Ube, 755-8611, Japan
SOURCE: Tetrahedron (2003), 59(48), 9537-9546
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:93472
AB The regiochem. of the Michael addition of thiols to unsym. fumaric derivs. was investigated. Conjugate addition of thiols to unsym. fumaric diester was well controlled by the presence of lithium cation and one of the two possible regioisomers was prepared in a highly selective manner. Fumaric ester amides underwent the regioselective Michael addition that was controlled by the presence or absence of the base; either of the regioisomers was prepared as an almost diastereomerically pure form. The present control of the regiochem. can be explained by the factors of change of active site for the addition by the coordination or non-coordination of proton or lithium cation to the carbonyls. To clarify the origin of the regioselectivity, the relative rates of the conjugate addition of thiol to acrylate derivs. were measured under competitive conditions. Et acrylate reacted with thiol faster than tert-Bu acrylate and the rate difference was enhanced by the presence of lithium cation. In the presence of base, Et acrylate gave the adducts much faster than acrylamide, while under non-basic conditions acrylamide showed higher reactivity than the ester. This regioselectivity was also observed in the Michael/aldol reaction and multi-substituted γ-butyrolactones were prepared in a stereoselective manner. The thio groups introduced here served as a leaving group and a convenient stereoselective synthesis of β-, γ- and δ-lactams was developed.

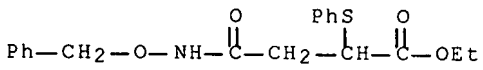
IT ***496910-03-3P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of multi-substituted γ-butyrolactones and lactams via regioselective Michael addition of corresponding thiols to unsym. fumaric derivs.)

RN 496910-03-3 ZCAPLUS

CN Butanoic acid, 4-oxo-4-[(phenylmethoxy)amino]-2-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



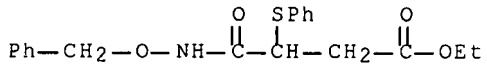
IT ***645415-62-9P***

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of multi-substituted γ-butyrolactones and lactams via regioselective Michael addition of corresponding thiols to unsym. fumaric derivs.)

RN 645415-62-9 ZCAPLUS

CN Butanoic acid, 4-oxo-4-[(phenylmethoxy)amino]-3-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

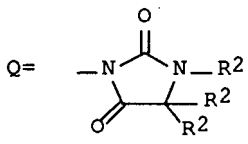
L27 ANSWER 8 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:390844 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:385428
TITLE: Preparation of hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity
INVENTOR(S): Owen, David Alan; Montana, John Gary; Keily, John Fraser; Watson, Robert John; Baxter, Andrew Douglas
PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK
SOURCE: U.S., 34 pp., Cont.-in-part of U.S. Ser. No. 209,627, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6566384	B1	20030520	US 2001-11031	20011113
ZA 9707044	A	19980807	ZA 1997-7044	19970807
US 6118001	A	20000912	US 1997-908397	19970807
US 2003207889	A1	20031106	US 2003-425307	20030429

PRIORITY APPLN. INFO.:

GB 1996-16599	A	19960807
GB 1997-7427	A	19970411
US 1997-908397	A1	19970807
US 1998-209627	B2	19981211
US 2001-11031	A1	20011113

OTHER SOURCE(S): MARPAT 138:385428
GI



AB Hydroxamic and carboxylic acid derivs. of general formula B-SO2-CH2-CHR1-CO-NHOH [wherein R1 = C1-6 alkyl optionally substituted with R9; B = C1-6 alkyl substituted with OR6; R6 = C1-4 alkyl, aryl, C1-6 alkylaryl, heteroaryl, C1-6 alkylheteroaryl, cycloalkyl, C1-6 alkyl-cycloalkyl, heterocycloalkyl, C1-6 alkyl-heterocycloalkyl; R6 is optionally substituted with R8, COR8, SO0-2R8, CO2R8, OR8, CONR2R8, NR2R8, halogen, cyano, SO2NR2R8, or NO2, and for each case of N(R6)2 the R6 groups are the same or different or N(R6)2 is heterocycloalkyl optionally substituted with R8, COR8, SO0-2R8, CO2R8, OR8, CONR2R8, NR2R8, halogen, cyano, SO2NR2R8 or NO2; R8 = C1-6 alkyl, aryl, C1-6

alkyl-aryl, heteroaryl, C1-6 alkyl-heteroaryl; R9 = phthalimido, succinimido, and a moiety of the formula Q; wherein R2 = H, C1-6 alkyl] or salts, solvates, hydrates or protected amino or protected carboxy derivs. thereof are prepared These compds. have matrix metalloproteinase (MMP) and tumor necrosis factor (TNF) inhibitory activity and are used for treatment or prevention of a condition associated with matrix metalloproteinases or mediated by TNF-α or enzymes involved in the shedding of L-selectin, the TNF receptors, or IL-6 receptors (no data). The condition includes cancer, inflammation and inflammatory diseases, tissue degeneration, periodontal disease, ophthalmol. disease, dermatol. disorders, fever, cardiovascular effects, hemorrhage, coagulation and acute phase response, cachexia, anorexia, acute infection, HIV infection, shock states, graft vs. host reactions, autoimmune disease, reperfusion injury, meningitis, migraine, and aspirin-independent antithrombosis. It also includes tumor growth, angiogenesis, tumor invasion and spread, metastases, malignant ascites, malignant pleural effusion, cerebral ischemia, ischemic heart disease, rheumatoid arthritis, osteoarthritis, osteoporosis, asthma, multiple sclerosis, neurodegeneration, Alzheimer's, atherosclerosis, stroke, vasculitis, Crohn's disease and ulcerative colitis, corneal ulceration, retinopathy, surgical wound healing, psoriasis, atopic dermatitis, chronic ulcers and epidermolysis bullosa, periodontitis and gingivitis, rhinitis, allergic conjunctivitis, eczema, anaphylaxis, restenosis, congestive heart failure, endometriosis, atherosclerosis, and endosclerosis. Thus, to a suspension of intermediate 550 mg 2-[3-(4-Chloro-phenoxy)propane-1-sulfonylmethyl]-5-(3,4,4-trimethyl-2,5-dioxoimidazolidin-1-yl)pentanoic acid in 30 mL dry CH2Cl2 under nitrogen was added, 324 mg 1,3-dimethylaminopropyl-3-ethylcarbodiimide, stirred at room temperature for 15 min, treated with 165 mg tert-butyldimethylsilylhydroxylamine, and stirred for 2 h to give, after workup and desilylation with HCl/Et2O, 2-[3-(4-Chlorophenoxy)propanylsulfonylmeth yl]-5-(3,4,4-trimethyl-2,5-dioxoimidazolidin-1-yl)pentanoic acid N-hydroxyamide.

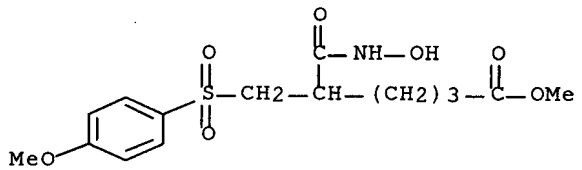
IT ***203248-76-4P***

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxamic and carboxylic acid derivs. as matrix metalloproteinase and TNF inhibitors for prevention and treatment of diseases and conditions)

RN 203248-76-4 ZCAPLUS

CN Hexanoic acid, 6-(hydroxyamino)-5-[[(4-methoxyphenyl)sulfonyl]methyl]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 9 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:741693 ZCAPLUS Full-text
DOCUMENT NUMBER: 138:169928
TITLE: Regioselective Michael addition of thiols to tertiary

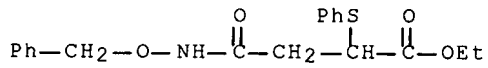
fumaric amide esters
AUTHOR(S): Kamimura, Akio; Murakami, Norikazu; Yokota, Kakuteru; Shirai, Masashi; Okamoto, Hiroaki
CORPORATE SOURCE: Faculty of Engineering, Department of Applied Chemistry, Yamaguchi University, Ube, 755-8611, Japan
SOURCE: Tetrahedron Letters (2002), 43(42), 7521-7523
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:169928

AB The regiochem. of the Michael addition of thiols to tertiary fumaric amide esters was efficiently controlled in the presence or absence of base; either of the two isomers was prepared in a highly selective way.

IT ***496910-03-3P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regioselective Michael addition of benzenethiol to tertiary fumaric amide esters)

RN 496910-03-3 ZCAPLUS

CN Butanoic acid, 4-oxo-4-[(phenylmethoxy)amino]-2-(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

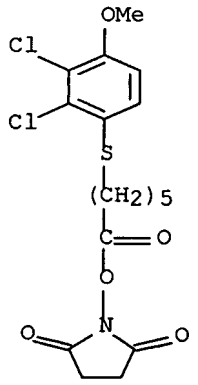
L27 ANSWER 10 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:727095 ZCAPLUS Full-text
DOCUMENT NUMBER: 137:247499
TITLE: Preparation of pyrimidylthio acid esters as reagents for detecting PCB by enzyme immunoassay
INVENTOR(S): Kobayashi, Haruko; Tanba, Toshihiro
PATENT ASSIGNEE(S): Fujirebio, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275153	A	20020925	JP 2001-75470	20010316

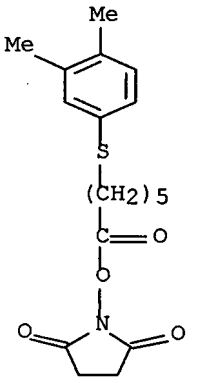
PRIORITY APPLN. INFO.: JP 2001-75470 20010316
OTHER SOURCE(S): MARPAT 137:247499

AB The compds. AS(CH2)mCO2R1 [A = (lower dialkyl)phenyl, (lower dialkyl)-2-pyrimidinyl, dihalo(alkoxy)phenyl; R1 = alkyl, aryl, H, succinimidyl; m = 3-5] are prepared 6-(4,6-Dimethyl-2- pyrimidylthio)hexanoic acid (preparation given) was reacted with N-hydroxysuccinimide in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temperature for 4 days to give 82.0% N-succinimidyl 6-(4,6-dimethyl-2-pyrimidylthio)hexanoate, which was used in detecting PCB 169 by enzyme immunoassay.

IT ***460818-04-6P 460818-19-3P***
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(preparation of pyrimidylthio acid esters as reagents for detecting PCB by enzyme immunoassay)
RN 460818-04-6 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[6-[(2,3-dichloro-4-methoxyphenyl)thio]-1-oxohexyl]oxy]- (9CI) (CA INDEX NAME)



RN 460818-19-3 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[6-[(3,4-dimethylphenyl)thio]-1-oxohexyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 11 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:637644 ZCAPLUS Full-text
DOCUMENT NUMBER: 137:169324
TITLE: Process for preparation of halogeno alcohol derivatives from N-benzyloxycarbonyl-S-phenyl-L-cysteine
INVENTOR(S): Shimizu, Susumu; Sunagawa, Kazuhiko; Iwama, Hideki; Niimura, Koichi; Katohno, Masataka; Mizusawa, Shigeru
PATENT ASSIGNEE(S): Kureha Chemical Industry Co., Ltd., Japan
SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064553	A1	20020822	WO 2002-JP1267	20020214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002232192	A1	20020828	AU 2002-232192	20020214
PRIORITY APPLN. INFO.:			JP 2001-37325 A	20010214
			WO 2002-JP1267 W	20020214

OTHER SOURCE(S): CASREACT 137:169324; MARPAT 137:169324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

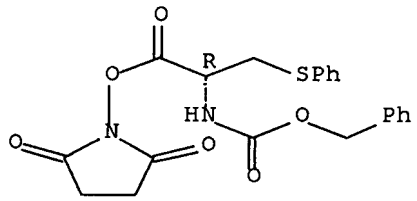
AB A process for preparation of halogeno alc. derivs. represented by the general formula (I; X = halo) and novel useful intermediates are provided. Halogeno alcs. of the general formula I, i.e., (2S,3R)-N-Cbz-3-amino-1- halogeno-4-phenylsulfanylbutan-2-ol derivs. (Cbz = benzyloxycarbonyl), can be efficiently prepared from a publicly known starting compound, i.e., N-Cbz-S-phenyl-L-cysteine, through novel active ester derivs. and novel ylide compound, i.e. dimethylsulfoxonium 3-benzyloxycarbonylamino-4- phenylthio-2-oxobutylide or 3-benzyloxycarbonylamino-1- (dimethylsulfoxonio)-4-phenylthio-2-butanone ylide, of the general formulas [II; Z = linear or branched C1-4 alkoxy, C1-4 alkylthio, (un)substituted phenoxy, phenylthio, benzyloxy, or benzylthio, pyridyloxy, pyridylthio, ethoxyvinyloxy, linear or branched C1-4 alkylcarbonyloxy, substituted phosphoric acid ester, substituted sulfuric acid ester, imidazolyl, N3, alkoxycarbonyloxy, cyclohexylcarbodimidoxy, succinimidoxy, phthalimidoxy, benzotriazolyloxy, piperidinooxy, halo] and (III) and halomethyl ketone intermediates of the general formula (IV; X = halo). The halogeno alcs. I are useful as intermediates for a HIV-protease inhibitor, [3S-(3α,4aβ,8aβ)]-2-[2-hydroxy-3- phenylthiomethyl-4-aza-5-oxo-5-(2-methyl-3-hydroxyphenyl)pentyl]decahydroi soquinoline-3-N-tert-butylcarboxamide (V). Thus, 9.94 g N-benzyloxycarbonyl-S-phenyl-L-cysteine was dissolved in 60 mL dioxane, and treated with 3.46 g N-hydroxysuccinimide, cooled to 4° in ice-water, followed by adding 6.4 g DCC, and the resulting mixture was stirred for 30 min at 7° to give 98.4% N-benzyloxycarbonyl-S-phenyl- L-cysteine N-hydroxysuccinimide ester (VI). NaH (60%, 0.186 g) was washed twice with 5 mL hexane and suspended in 10 mL DMSO, followed by adding 1.03 g trimethylsulfoxonium iodide in portions, and the resulting mixture was stirred for 10 min and heated at 55° with stirring for 30 min to give a solution of dimethylsulfoxonium methylide (Corey's reagent). To the solution was added 10 mL THF, cooled to -12°, followed by adding a solution of 1.0 g VI in 5 mL THF, and the resulting mixture was stirred at -12° for 1.75 h to give 83.6% III. III (0.78 g) was dissolved in 30 mL EtOAc, cooled to -20°, treated dropwise with 2.18 N HCl/EtOAc at -20° in a dry ice-acetone bath, and warmed

to -10° over 1 h with stirring, warmed to room temperature, and heated at 78° for 20 min to give chloromethyl ketone IV (X = Cl). IV (X = Cl) (9.1 g) was added to a solution of 3.08 g aluminum sec-butylate in 50 mL toluene with stirring at 17°, followed by adding 25 mL toluene, and the resulting mixture was stirred for 4.5 h to give 96.7% I (X = Cl).

IT ***447461-52-1P 447461-61-2P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (benzyloxycarbonylamino)halophenylthiobutanol from N-benzyloxycarbonyl-S-phenyl-L-cysteine active ester)

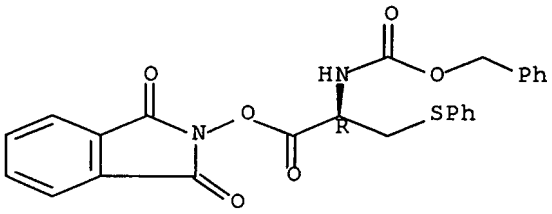
RN 447461-52-1 ZCAPLUS
CN Carbamic acid, [(1R)-2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[(phenylthio)methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 447461-61-2 ZCAPLUS
CN Carbamic acid, [(1R)-2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-2-oxo-1-[(phenylthio)methyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

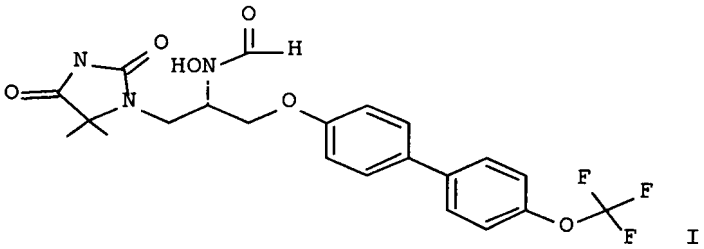
Absolute stereochemistry.



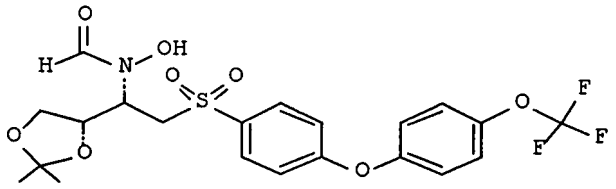
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 12 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:878331 ZCAPLUS Full-text
DOCUMENT NUMBER: 136:160851
TITLE: Phenoxyphenyl Sulfone N-Formylhydroxylamines (Retrohydroxamates) as Potent, Selective, Orally Bioavailable Matrix Metalloproteinase Inhibitors
AUTHOR(S): Wada, Carol K.; Holms, James H.; Curtin, Michael L.; Dai, Yujia; Florjancic, Alan S.; Garland, Robert B.; Guo, Yan; Heyman, H. Robin; Stacey, Jamie R.; Steinman, Douglas H.; Albert, Daniel H.; Bouska, Jennifer J.; Elmore, Ildiko N.; Goodfellow, Carole L.; Marcotte, Patrick A.; Tapang, Paul; Morgan, Douglas

W.; Michaelides, Michael R.; Davidsen, Steven K.
CORPORATE SOURCE: Cancer Research Area, Abbott Laboratories, Abbott Park, IL, 60064-6100, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 219-232
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:160851
GI



I



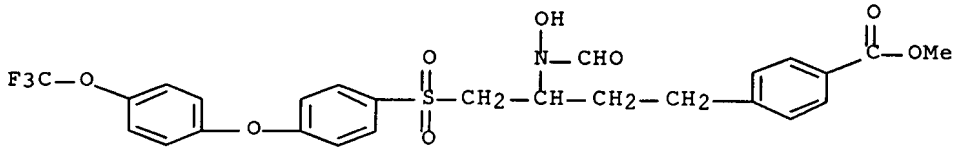
II

AB 51A novel series of sulfone N-formylhydroxylamines (retrohydroxamates) have been investigated as matrix metalloproteinases (MMP) inhibitors. The substitution of the ether linkage of ABT-770 (I) with a sulfone group led to a substantial increase in activity against MMP-9 but was accompanied by a loss of selectivity for inhibition of MMP-2 and -9 over MMP-1 and diminished oral exposure. Replacement of the biphenyl P1' substituent with a phenoxyphenyl group provided compds. that are highly selective for inhibition of MMP-2 and -9 over MMP-1. Optimization of the substituent adjacent to the retrohydroxamate center in this series led to the clin. candidate ABT-518 (II), a highly potent, selective, orally bioavailable MMP inhibitor that has been shown to significantly inhibit tumor growth in animal cancer models.

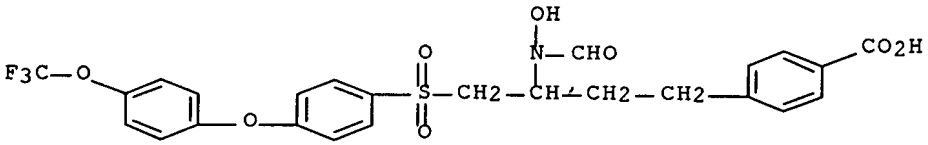
IT ***361546-32-9P 361546-33-0P***
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(phenoxyphenyl sulfone N-formylhydroxylamines (retrohydroxamates) as potent, selective, orally bioavailable matrix metalloproteinase inhibitors)

RN 361546-32-9 ZCAPLUS

CN Benzoic acid, 4-[3-(formylhydroxyamino)-4-[[4-[4-(trifluoromethoxy)phenoxy]phenyl]sulfonyl]butyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 361546-33-0 ZCAPLUS
CN Benzoic acid, 4-[3-(formylhydroxyamino)-4-[[4-[4-(trifluoromethoxy)phenoxy]phenyl]sulfonyl]butyl]- (9CI) (CA INDEX NAME)

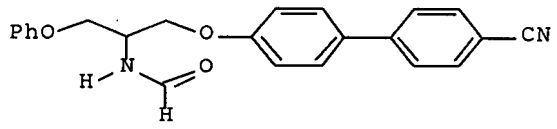


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 13 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:703781 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:257040
TITLE: Preparation of hydroxamates as matrix metalloproteinase inhibitors
INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Dellaria, Joseph F., Jr.; Florjancic, Alan S.; Gong, Jianchun; Guo, Yan; Heyman, Howard R.; Holms, James H.; Michaelides, Michael R.; Stacey, Jamie R.; Steinman, Douglas H.; Wada, Carol K.; Xu, Lianhong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 239,087.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6294573	B1	20010925	US 2000-492567		20000127
US 2002007060	A1	20020117	US 2001-905242		20010716
PRIORITY APPLN. INFO.:			US 1997-55103P	P	19970806
			US 1998-129360	B2	19980805
			US 1999-239087	A2	19990127

OTHER SOURCE(S): MARPAT 135:257040
GI



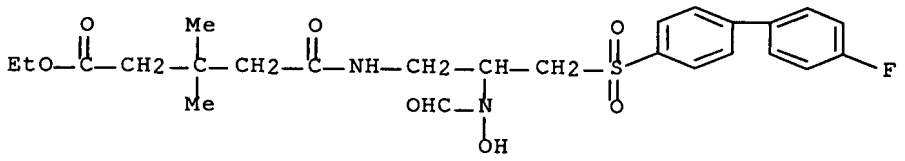
II

AB RZZ1Z2CR3R4CR1R2N(OH)CHO [I; R = (un)substituted (hetero)aryl; R1,R3 = H or alkyl; R2,R4 = H (un)substituted alkyl, phenyl(alkyl), etc.; Z = bond, O, CO, alkylene, etc.; Z1 = (un)substituted phenylene; Z2 = O, CO, SO2NH, etc.] were prepared Thus, epibromohydrin was etherified by PhOH and the product etherified by 4-(HO)C6H4C6H4(CN)-4 to give PhOCH2CH(OH)CH2OC6H4[C6H4(CN)-4]-4 which was aminated by HN(CO2CMe3)OCO2CMe3 to give, after deprotection and formylation, title compound II. Data for biol. activity of I were given.

IT ***361546-00-1P 361546-32-9P 361546-33-0P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamates as matrix metalloproteinase inhibitors)

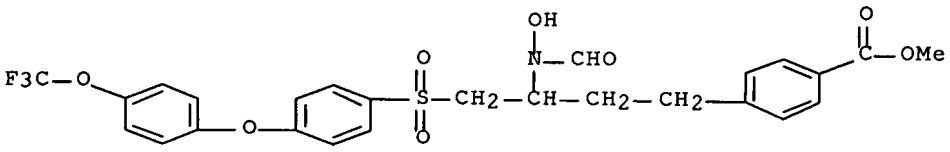
RN 361546-00-1 ZCAPLUS

CN Pentanoic acid, 5-[[3-[(4'-fluoro[1,1'-biphenyl]-4-yl)sulfonyl]-2-(formylhydroxyamino)propyl]amino]-3,3-dimethyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



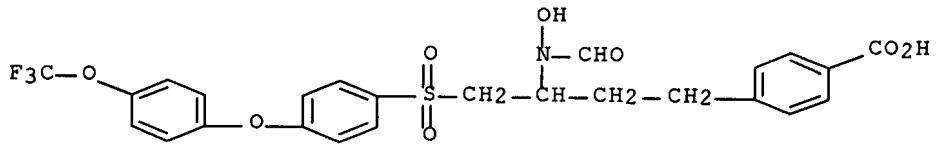
RN 361546-32-9 ZCAPLUS

CN Benzoic acid, 4-[3-(formylhydroxyamino)-4-[[4-[4-(trifluoromethoxy)phenoxy]phenyl]sulfonyl]butyl]-, methyl ester (9CI) (CA INDEX NAME)



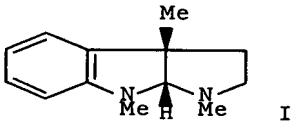
RN 361546-33-0 ZCAPLUS

CN Benzoic acid, 4-[3-(formylhydroxyamino)-4-[[4-[4-(trifluoromethoxy)phenoxy]phenyl]sulfonyl]butyl]- (9CI) (CA INDEX NAME)

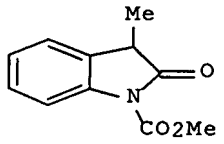


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 14 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:430538 ZCAPLUS Full-text
DOCUMENT NUMBER: 135:166951
TITLE: A formal synthesis of (±)-eseroline via an azaoxy-cope rearrangement
AUTHOR(S): Santos, Paulo F.; Almeida, Paulo S.; Lobo, Ana M.; Prabhakar, Sundaresan
CORPORATE SOURCE: Chemistry Department, University of Tras-os-Montes and Alto Douro, Vila Real, 5001-911, Port.
SOURCE: Heterocycles (2001), 55(6), 1029-1043
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:166951
GI



I

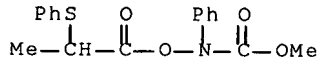


II

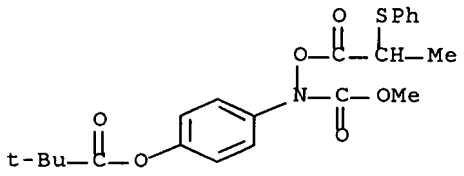
AB A novel synthesis of (±)-desoxyeseroline (I), from the crucial oxindole II, obtained by a 3,3-sigmatropic rearrangement of the enolate derived from the hydroxamic acid derivative PhN(CO2Me)O2CCHMeSPh followed by radical desulfurization, has been described. The requisite C2N fragment has been introduced through a Michael addition of nitroethylene to II.

IT ***354116-62-4P 354116-65-7P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(formal synthesis of (±)-eseroline via azaoxy-cope rearrangement)

RN 354116-62-4 ZCAPLUS
CN Carbamic acid, [1-oxo-2-(phenylthio)propoxy]phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 354116-65-7 ZCAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-[(methoxycarbonyl)[1-oxo-2-(phenylthio)propoxy]amino]phenyl ester (9CI) (CA INDEX NAME)



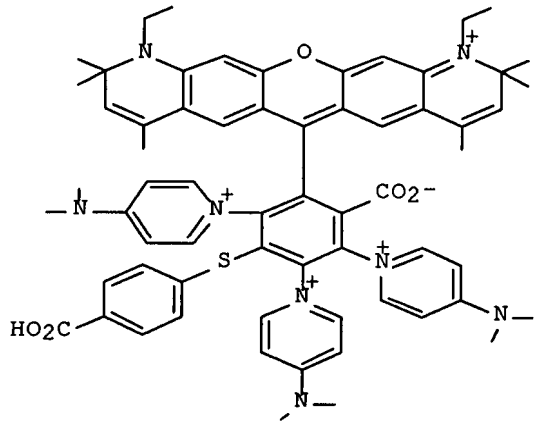
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 15 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:338638 ZCAPLUS Full-text
DOCUMENT NUMBER: 134:350265
TITLE: Water-soluble red-emitting fluorescent rhodamine dyes and energy-transfer dye pairs and conjugates for assays and stains
INVENTOR(S): Lee, Linda G.; Graham, Ronald J.; Werner, William E.; Swartzman, Elana; Lu, Lily
PATENT ASSIGNEE(S): PE Corporation, USA
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032783	A1	20010510	WO 2000-US30414	20001101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6191278	B1	20010220	US 1999-433093	19991103
US 6372907	B1	20020416	US 2000-661206	20000914
CA 2358923	A1	20010510	CA 2000-2358923	20001101
EP 1141137	A1	20011010	EP 2000-982085	20001101
EP 1141137	B1	20031008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003514058	T	20030415	JP 2001-535469	20001101
JP 3719979	B2	20051124		
AT 251658	T	20031015	AT 2000-982085	20001101
AU 770445	B2	20040219	AU 2001-19157	20001101
PRIORITY APPLN. INFO.:			US 1999-433093 A	19991103

US 2000-661206 A 20000914
WO 2000-US30414 W 20001101

OTHER SOURCE(S): MARPAT 134:350265
GI



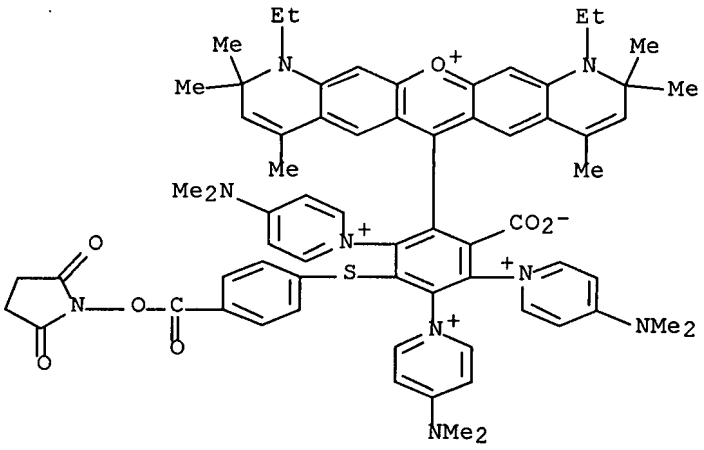
I

AB The present invention provides novel, water-soluble, red-emitting fluorescent rhodamine dyes and red-emitting fluorescent energy-transfer dye pairs, as well as labeled conjugates comprising the same and methods for their use. The dyes, energy-transfer dye pairs and labeled conjugates are useful in a variety of aqueous-based applications, particularly in assays involving staining of cells, protein binding, and/or anal. of nucleic acids, such as hybridization assays and nucleic acid sequencing. A fluorescent-linked immunosorbent assay (FLISA) for human IL-8 used anti-human IL-8 antibody conjugated with rhodamine dye I (preparation given) and monoclonal anti-human IL-8 antibody-coated beads.

IT ***339150-40-2P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(water-soluble red-emitting fluorescent rhodamine dyes and energy-transfer dye pairs and conjugates for assays and stains)

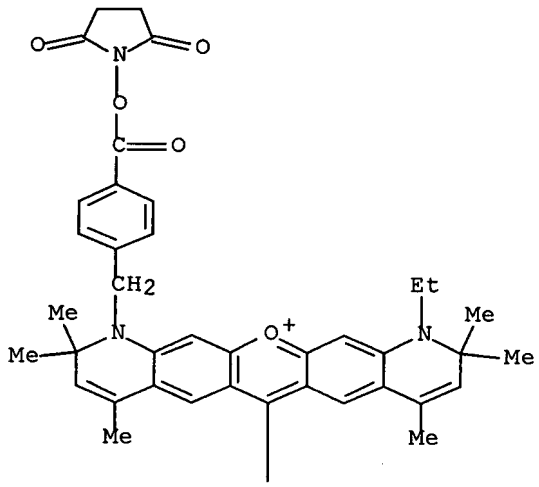
RN 339150-40-2 ZCAPLUS

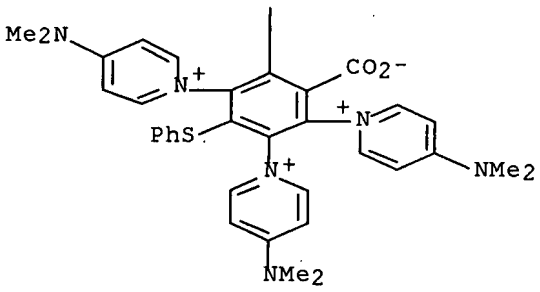
CN Pyridinium, 1,1',1''-[6-carboxy-5-(1,11-diethyl-2,2,4,8,10,10-hexamethylpyrano[3,2-g:5,6-g']diquinolin-13-ium-6-yl)-3-[[4-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]phenyl]thio]-1,2,4-benzenetriyl]tris[4-(dimethylamino)-, mono(inner salt) (9CI) (CA INDEX NAME)



IT ***339150-31-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(water-soluble red-emitting fluorescent rhodamine dyes and energy-transfer dye pairs and conjugates for assays and stains)
RN 339150-31-1 ZCAPLUS
CN Pyridinium, 1,1',1''-[6-carboxy-5-[1-[[4-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]phenyl]methyl]-11-ethyl-2,2,4,8,10,10-hexamethylpyrano[3,2-g:5,6-g']diquinolin-13-ium-6-yl]-3-(phenylthio)-1,2,4-benzenetriyl]tris[4-(dimethylamino)-, mono(inner salt) (9CI) (CA INDEX NAME)

PAGE 1-A



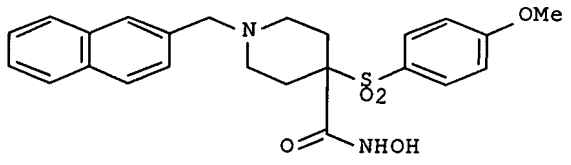


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 16 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:161507 ZCAPLUS Full-text
DOCUMENT NUMBER: 134:207720
TITLE: N-Hydroxy-2-(alkyl, aryl, or heteroaryl sulfanyl, sulfinyl, or sulfonyl)-3-substituted alkyl, aryl, or heteroaryl amides as matrix metalloproteinase inhibitors
INVENTOR(S): Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea; Levin, Jeremy Ian
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 72 pp., Cont.-in-part of U.S. Ser. No. 26,372, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6197791	B1	20010306	US 1998-140504	19980826
US 6331563	B1	20011218	US 2000-587560	20000605
US 6288086	B1	20010911	US 2000-593918	20000614
US 2002032186	A1	20020314	US 2001-898604	20010703
US 6441023	B2	20020827		
US 2002006922	A1	20020117	US 2001-899641	20010705
US 6462073	B2	20021008		
US 2002188120	A1	20021212	US 2002-185080	20020628
PRIORITY APPLN. INFO.:			US 1997-38899P	P 19970227
			US 1998-26372	B2 19980219
			US 1998-140504	A3 19980826
			US 2000-587457	A1 20000605
			US 2000-587560	XX 20000605
			US 2000-593918	A3 20000614

OTHER SOURCE(S): MARPAT 134:207720
GI



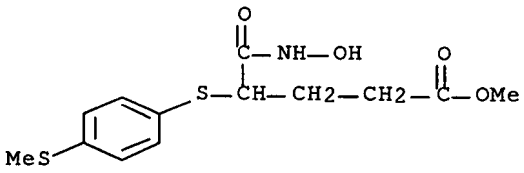
I

AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 form a 6-membered heterocyclic ring containing substituted N; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2-chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

IT ***212767-28-7DP***, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted
N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

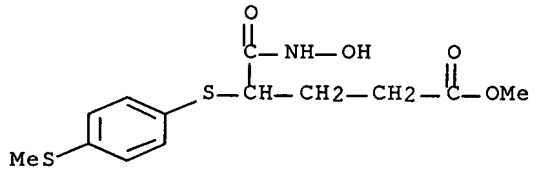
CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT ***212767-28-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides
as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

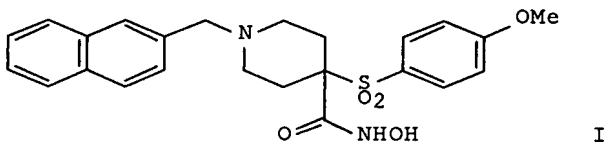


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 17 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:27435 ZCAPLUS Full-text
DOCUMENT NUMBER: 134:100760
TITLE: N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors
INVENTOR(S): Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Hu, Baihua; Cole, Derek Cecil; Baker, Jannie Lea; Jacobson, Marcy Pamela; O'dell, Matthew Robin
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: U.S., 58 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172057	B1	20010109	US 1998-26371	19980219
US 6342508	B1	20020129	US 2000-640532	20000817
US 6444704	B1	20020903	US 2000-640531	20000817
US 2002032186	A1	20020314	US 2001-898604	20010703
US 6441023	B2	20020827		

PRIORITY APPLN. INFO.: US 1997-38899P P 19970227
US 1998-26371 A3 19980219
US 2000-587560 XX 20000605
OTHER SOURCE(S): MARPAT 134:100760
GI



I

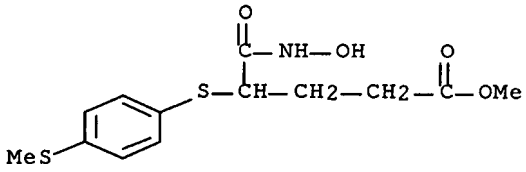
AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor

metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 = (un)subsituted alkyl, alk(en/yn)yl, arylalkyl, biphenylalkyl, (bi)cycloalkylalkyl, or form 5- to 7-membered heterocyclic ring containing O, S, or (un)substituted NH; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2- chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

IT ***212767-28-7DP***, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted
N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

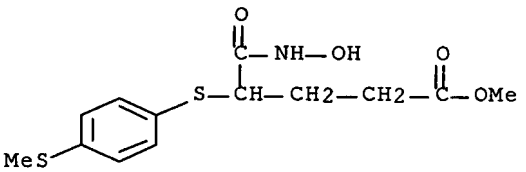
CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT ***212767-28-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides
as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 18 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:842109 ZCAPLUS Full-text
DOCUMENT NUMBER: 134:29702
TITLE: Preparation of α-amino-β-sulfonyl hydroxamic acid compounds as matrix metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; De Crescenzo, Gary A.; Freskos, John N.; Getman, Daniel P.; Heintz, Robert M.; Hockerman, Susan L.; Li, Hui; Mischke, Brent V.; Villamil, Clara I.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071514	A1	20001130	WO 2000-US9807	20000517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6583299	B1	20030624	US 2000-572019	20000516
CA 2373577	A1	20001130	CA 2000-2373577	20000517
EP 1178959	A1	20020213	EP 2000-931929	20000517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010810	A	20020219	BR 2000-10810	20000517
JP 2003500389	T	20030107	JP 2000-619771	20000517
NZ 515307	A	20040827	NZ 2000-515307	20000517
AU 776171	B2	20040902	AU 2000-49735	20000517
ZA 2001009228	A	20021115	ZA 2001-9228	20011108
MX 2001PA11865	A	20020604	MX 2001-PA11865	20011119
PRIORITY APPLN. INFO.:			US 1999-134996P P	19990520
			WO 2000-US9807 W	20000517

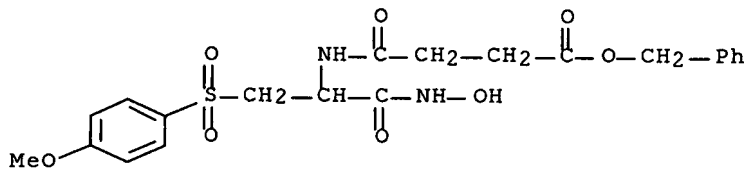
OTHER SOURCE(S): MARPAT 134:29702

AB Compds. R5COCR2(NR3R4)CH2SO2R1 [R1 is an optionally substituted 5- or 6-membered carbocyclyl, heterocyclyl, aryl or heteroaryl radical such that its size is defined by certain parameters; R2 = H, hydrocarbyl, hydroxyhydrocarbyl, hydrocarbyloxy, halohydrocarbyl, hydrocarbyloxymethyl, aryl, arylhydrocarbyl, aminomethyl, hydrocarbylaminomethyl, morpholinomethyl, (thio)pyrrolidinomethyl; R3, R4 = H, acyl group, aralkyl, carboxyalkyl, heteroaralkylthio, or a sulfoxide or sulfone of the thio substituents, alkyl- or arylsulfonyl, aminocarbonylalkyl; R5 is -O-R21, -NR13-O-R22 or -NR13-O-R14, where R21 is H, alkyl, aryl, arylalkyl, a pharmaceutically acceptable cation, R22 is a selectively removable protecting group, R13 is H, alkyl, benzyl, R14 is H, a pharmaceutically acceptable cation, or a (thio)carbonyl group (with provisos)] were prepared for inhibition of matrix metalloproteinase (MMP) activity, particularly the activity of one or more of MMP-2, MMP-9, or MMP-13, while generally exhibiting little activity against MMP-1. A contemplated compound also exhibits little inhibition of the production of TNF. Thus, N-hydroxy-3-[(4-methoxyphenyl)sulfonyl]-2- [(phenylcarbonyl)amino]propanamide

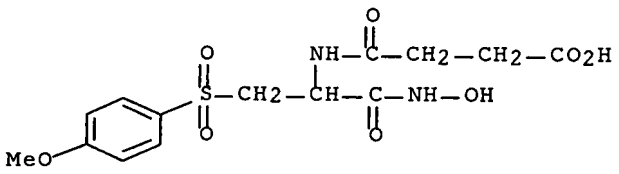
was prepared and showed IC50 = 2000 and 25.0 nM for inhibition of MMP-1 and MMP-13, resp. and 3.72% inhibition of TNF at 10 μM.

IT ***310463-70-8P 310463-71-9P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminosulfonyl hydroxamic acid compds. as matrix metalloprotease inhibitors)

RN 310463-70-8 ZCAPLUS
CN Butanoic acid, 4-[[2-(hydroxyamino)-1-[[(4-methoxyphenyl)sulfonyl]methyl]-2-oxoethyl]amino]-4-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 310463-71-9 ZCAPLUS
CN Butanoic acid, 4-[[2-(hydroxyamino)-1-[[(4-methoxyphenyl)sulfonyl]methyl]-2-oxoethyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 19 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2000:508628 ZCAPLUS Full-text
DOCUMENT NUMBER:	133:266558
TITLE:	Solid-phase synthesis of an arylsulfone hydroxamate library
AUTHOR(S):	Salvino, J. M.; Mathew, R.; Kiesow, T.; Narensingh, R.; Mason, H. J.; Dodd, A.; Groneberg, R.; Burns, C. J.; McGeehan, G.; Kline, J.; Orton, E.; Tang, S.-Y.; Morrisette, M.; Labaudininiere, R.
CORPORATE SOURCE:	Rhone Poulenc Rorer, Lead Discovery and Medicinal Chemistry Departments, Collegeville, PA, 19426, USA
SOURCE:	Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1637-1640 CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 133:266558

AB An arylsulfone hydroxamate library of MMP and PDE4 inhibitors was prepared by solid-phase synthesis. Both the hydroxamic acids and their intermediate carboxylic acids were available for screening. Biol. data could be generated directly from the library compds. without extensive purification Sme of the hydroxamic acids selectively inhibited the metalloproteinases and structure-activity relationships were developed.

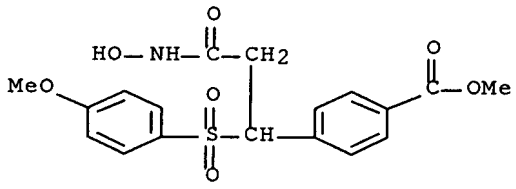
IT ***298705-99-4P***

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase synthesis of an aryl sulfone hydroxamate library of MMP and PDE4 inhibitors)

RN 298705-99-4 ZCAPLUS

CN Benzoic acid, 4-[3-(hydroxyamino)-1-[(4-methoxyphenyl)sulfonyl]-3-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 20 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:549247 ZCAPLUS Full-text

DOCUMENT NUMBER: 131:184865

TITLE: Preparation of N-hydroxycarboxamides as matrix metalloproteinase inhibitors

INVENTOR(S): Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea; Levin, Jeremy Ian

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942436	A1	19990826	WO 1998-US17633	19980826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320469	A1	19990826	CA 1998-2320469	19980826
AU 9891201	A	19990906	AU 1998-91201	19980826

AU 757719	B2	20030306		
BR 9815781	A	20001107	BR 1998-15781	19980826
EP 1054858	A1	20001129	EP 1998-943392	19980826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 200002423	T2	20010122	TR 2000-200002423	19980826
HU 200101837	A2	20011028	HU 2001-1837	19980826
HU 200101837	A3	20011128		
JP 2002503717	T	20020205	JP 2000-532389	19980826
EE 200000471	A	20020215	EE 2000-471	19980826
NZ 506184	A	20030530	NZ 1998-506184	19980826
ZA 9905455	A	20010226	ZA 1999-5455	19990825
NO 2000004093	A	20001003	NO 2000-4093	20000816
HR 2000000543	A1	20010831	HR 2000-543	20000818
MX 2000PA08095	A	20011203	MX 2000-PA8095	20000818
BG 104782	A	20010831	BG 2000-104782	20000919
US 2002032186	A1	20020314	US 2001-898604	20010703
US 6441023	B2	20020827		

PRIORITY APPLN. INFO.:

US 1998-26372	A	19980219
WO 1998-US17633	W	19980826
US 2000-587560	XX	20000605

OTHER SOURCE(S): MARPAT 131:184865

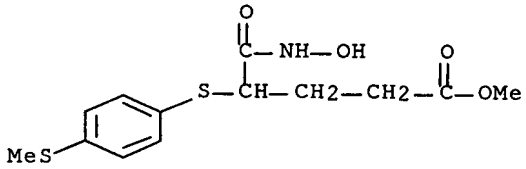
AB R1ZCR2R3CONR4OH [I; R1 = alk(en)yl, aryl, heteroaryl(alkyl), etc.; R2R3 = atoms to complete an (un)substituted heterocyclic ring; R4 = H, alkyl, Ph, etc.; Z = SO0-2] were prepared Thus, PhCH2N(CH2CH2Cl)2 was cyclocondensed with 4-(MeO)C6H4CH2CO2Et (preparation each given) and the saponified product amidated by NH2OH to give 1-benzyl-N-hydroxy-4-(4-methoxyphenylsulfonyl)piperidine-4-carboxamide. Data for biol. activity of I were given.

IT ***212767-28-7P***

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-hydroxycarboxamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

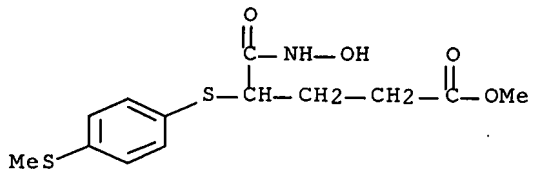


IT ***212767-28-7DP,*** resin bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-hydroxycarboxamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 21 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:608598 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:230641
TITLE: N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors
INVENTOR(S): Venkatesan, Mudumbai Aranapakam; Grosu, George Theodore; Davis, Jamie Marie; Hu, Baihua; O'Dell, Mathew James; Cole, Derek Cecil; Baker, Jannie Lea; Jacobson, Marcy Pamela
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838163	A1	19980903	WO 1998-US3291	19980217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2282656	A1	19980903	CA 1998-2282656	19980217
AU 9864368	A	19980918	AU 1998-64368	19980217
AU 748998	B2	20020613		
EP 970046	A1	20000112	EP 1998-910022	19980217
EP 970046	B1	20031210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9807803	A	20000222	BR 1998-7803	19980217
EE 9900369	A	20000417	EE 1999-369	19980217
EE 4150	B1	20031015		
HU 200001463	A2	20000828	HU 2000-1463	19980217
JP 2001513771	T	20010904	JP 1998-537724	19980217
NZ 337298	A	20021126	NZ 1998-337298	19980217
AT 256107	T	20031215	AT 1998-910022	19980217
PT 970046	T	20040430	PT 1998-910022	19980217

ES 2212274	T3	20040716	ES 1998-910022		19980217
PT 973512	T	20040730	PT 1998-906468		19980217
ES 2217540	T3	20041101	ES 1998-906468		19980217
ZA 9801625	A	19990826	ZA 1998-1625		19980226
ZA 9801628	A	20000228	ZA 1998-1628		19980226
TW 568900	B	20040101	TW 1998-87102853		19980317
MX 9907862	A	20000630	MX 1999-7862		19990825
NO 9904124	A	19991026	NO 1999-4124		19990826
NO 314258	B1	20030224			
PRIORITY APPLN. INFO.:			US 1997-806728	A	19970227
			WO 1998-US3291	W	19980217

OTHER SOURCE(S): MARPAT 129:230641

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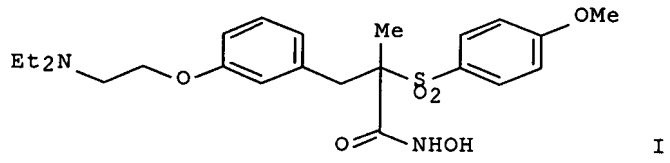


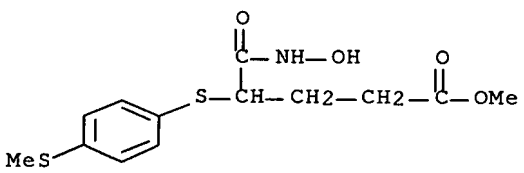
I

AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2, R3 = H, (un)substituted alk(en/yn)yl, aralkyl, biphenylalkyl, arylalkenyl, (bi)cycloalkylalkyl, heterocyclyl, alkoxyaralkyl, heteroaryl, heteroaralkyl, etc.; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For instance, α-alkylation of 4-MeOC6H4SO2CH(Me)CO2Et by 3-(Et2NCH2CH2O)C6H4CH2Cl (93%), followed by saponification of the ester to the acid (88%) and amidation with NH2OH.HCl (21%), gave compound I as the HCl salt. This compound gave the following inhibitions (IC50, nM): MMP-1 297, MMP-9 4.3, and MMP-13 3.6, and 41% inhibition of TACE at 1 μM.

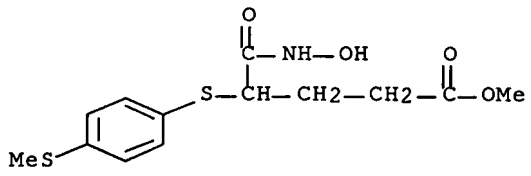
IT ***212767-28-7DP***, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted
N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS

CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT ***212767-28-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides
as matrix metalloproteinase inhibitors)
RN 212767-28-7 ZCAPLUS
CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 22 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:603237 ZCAPLUS Full-text
DOCUMENT NUMBER: 129:230639
TITLE: N-Hydroxy-2-(alkyl, aryl or heteroaryl sulfanyl, sulfinyl or sulfonyl)-3-substituted alkyl, aryl or heteroaryl amides as matrix metalloproteinase inhibitors
INVENTOR(S): Venkatesan, Aranapakam Mudumbai; Grosu, George Theodore; Davis, Jamie Marie; Baker, Jannie Lea
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9837877	A1	19980903	WO 1998-US2987	19980217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2282655	A1	19980903	CA 1998-2282655	19980217
AU 9861686	A	19980918	AU 1998-61686	19980217
AU 726204	B2	20001102		

EP 973512	A1	20000126	EP 1998-906468		19980217
EP 973512	B1	20040407			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9807802	A	20000321	BR 1998-7802		19980217
EE 9900371	A	20000417	EE 1999-371		19980217
EE 4295	B1	20040615			
HU 200001463	A2	20000828	HU 2000-1463		19980217
HU 200002092	A2	20010428	HU 2000-2092		19980217
JP 2001519777	T	20011023	JP 1998-537706		19980217
AT 263554	T	20040415	AT 1998-906468		19980217
PT 970046	T	20040430	PT 1998-910022		19980217
ES 2212274	T3	20040716	ES 1998-910022		19980217
PT 973512	T	20040730	PT 1998-906468		19980217
ES 2217540	T3	20041101	ES 1998-906468		19980217
ZA 9801625	A	19990826	ZA 1998-1625		19980226
ZA 9801628	A	20000228	ZA 1998-1628		19980226
TW 568900	B	20040101	TW 1998-87102853		19980317
MX 9907868	A	20000630	MX 1999-7868		19990825
NO 9904125	A	19991026	NO 1999-4125		19990826
NO 314302	B1	20030303			
HK 1024875	A1	20040924	HK 2000-104181		20000707
PRIORITY APPLN. INFO.:			US 1997-806728	A	19970227
			WO 1998-US2987	W	19980217

OTHER SOURCE(S): MARPAT 129:230639

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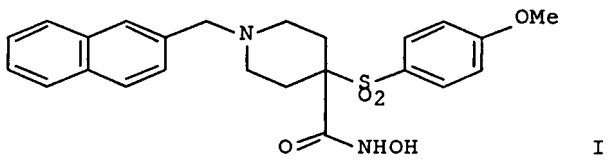


I

AB The invention provides low-mol.-weight, non-peptide inhibitors of matrix metalloproteinases (MMPs) and TNF-α converting enzyme (TACE), useful for the treatment of a wide variety of related conditions, including arthritis, tumor metastasis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, diabetes (insulin resistance), and HIV infection. The compds. have formula R1AC(R2R3)CON(OH)R4 [wherein R1 = (un)substituted alk(en/yn)yl, aryl, cycloalkyl, heterocyclyl, heteroaryl, or heteroarylalkyl; A = S, SO, or SO2; R2 and R3 form 5- to 7-membered heterocyclic ring containing O, S, or (un)substituted NH; R4 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, (bi)cycloalkyl, or heterocyclyl; or salts]. For example, (2-naphthylmethyl)bis(2- chloroethyl)amine (prepared in 2 steps) was cyclized with 4-MeOC6H4SO2CH2CO2Et to give a piperidine derivative (52%), followed by saponification of the ester to the acid (36%) and amidation with NH2OH.HCl (31%), to give title compound I. This compound gave the following inhibitions (IC50, nM): MMP-1 368, MMP-9 5.0, MMP-13 1.6, and TACE 170.7 (in vitro).

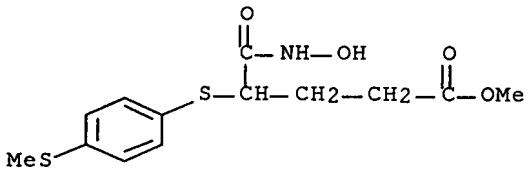
IT ***212767-28-7DP***, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

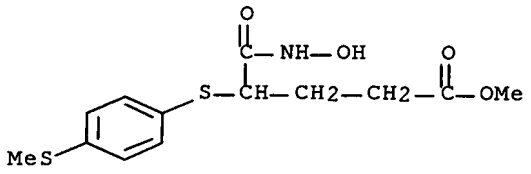
(intermediate; preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted

N-hydroxyamides as matrix metalloproteinase inhibitors)

RN 212767-28-7 ZCAPLUS
CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



IT ***212767-28-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of organic sulfanyl/sulfinyl/sulfonyl-substituted N-hydroxyamides
as matrix metalloproteinase inhibitors)
RN 212767-28-7 ZCAPLUS
CN Pentanoic acid, 5-(hydroxyamino)-4-[[4-(methylthio)phenyl]thio]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 23 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:112338 ZCAPLUS Full-text
DOCUMENT NUMBER: 128:179981
TITLE: Hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity
INVENTOR(S): Owen, David Alan; Montana, John Gary; Keily, John Fraser; Watson, Robert John; Baxter, Andrew Douglas
PATENT ASSIGNEE(S): Chiroscience Ltd., UK
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805635	A1	19980212	WO 1997-GB2129	19970807
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GB, GE,				

GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

CA 2263154	A1	19980212	CA 1997-2263154	19970807
AU 9738564	A	19980225	AU 1997-38564	19970807
AU 730464	B2	20010308		
ZA 9707044	A	19980807	ZA 1997-7044	19970807
BR 9711027	A	19990817	BR 1997-11027	19970807
CN 1227540	A	19990901	CN 1997-197048	19970807
EP 968182	A1	20000105	EP 1997-935666	19970807
EP 968182	B1	20040506		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

JP 2000517297	T	20001226	JP 1998-507741	19970807
AT 266000	T	20040515	AT 1997-935666	19970807
PT 968182	T	20040831	PT 1997-935666	19970807
ES 2217425	T3	20041101	ES 1997-935666	19970807
CZ 297278	B6	20061011	CZ 1999-368	19970807
PL 193829	B1	20070330	PL 1998-3315	19970807
NO 9900543	A	19990406	NO 1999-543	19990205
NO 314452	B1	20030324		
KR 2000029858	A	20000525	KR 1999-701020	19990206

PRIORITY APPLN. INFO.: GB 1996-16599 A 19960807
GB 1997-7427 A 19970411
WO 1997-GB2129 W 19970807

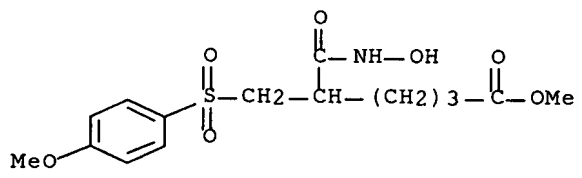
OTHER SOURCE(S): MARPAT 128:179981

AB Hydroxamic acid and carboxylic acid BX(CH2)nCHR1(CH2)mCONHOH [m, n = 0, 1, but not both 0; X = O, S(O)0-2, NR3 with R3 = H, alkyl, etc.; R1 = H, alkyl, alkenyl, aryl; B = alkylaryl, alkyl, cycloalkyl, heterocycloalkenyl, heterocycloalkyl, etc.] and BS(O)1- 2(CH2)nCHR1(CH2)mCO2R2 [m, n = 0, 1, but not both 0; R1 = alkyl, alkylaryl, etc.; R2 = H, alkyl; B = alkylaryl, cycloalkyl, heteroaryl, etc.] were prepared as MMP and TNF inhibitors (no data). E.g., 2-(4-acetylphenylsulfonylmethyl)-5-phenylpentanoic acid was prepared by oxidation of 2-(4-acetylphenylsulfanylmethyl)-5-phenylpentanoic acid, which was prepared by reaction of 2-bromomethyl-5-phenylpentanoic acid and 4-AcC6H4SH.

IT ***203248-76-4P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic and carboxylic acid derivs. as MMP and TNF inhibitors)

RN 203248-76-4 ZCAPLUS

CN Hexanoic acid, 6-(hydroxyamino)-5-[[(4-methoxyphenyl)sulfonyl]methyl]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 24 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:616966 ZCAPLUS Full-text
DOCUMENT NUMBER: 127:301197
TITLE: Silver halide photographic material and hydroxamic acid compound used therefor
INVENTOR(S): Mikoshiba, Hisashi; Takizawa, Hiroo; Hosokawa, Junichiro; Ishii, Yoshio; Mihayashi, Keiji; Morigaki, Masakazu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: U.S., 58 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5667959	A	19970916	US 1995-519019	19950824
JP 08314051	A	19961129	JP 1995-170457	19950614
JP 3505274	B2	20040308		
US 5840886	A	19981124	US 1997-867526	19970602
JP 2004026843	A	20040129	JP 2003-294628	20030818
JP 3803334	B2	20060802		

PRIORITY APPLN. INFO.:

JP 1994-222731	A	19940825
JP 1995-78443	A	19950310
JP 1995-170457	A	19950614
US 1995-519019	A3	19950824

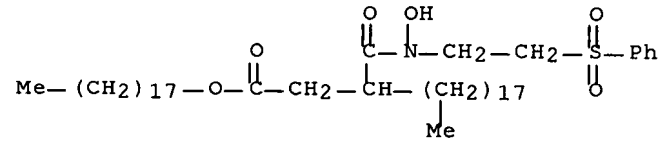
OTHER SOURCE(S): MARPAT 127:301197

AB A silver halide photog. material is disclosed, which comprises a support having thereon at least one light-sensitive silver halide emulsion layer, wherein said silver halide photog. material contains at least one compound represented by the formula R2CON(R1)OH wherein R1 represents a substituted or unsubstituted alkyl group having from 1 to 6 carbon atoms and R2 represents a branched alkyl group having 20 or more carbon atoms, a straight chain or branched alkenyl group having 17 or more carbon atoms or a substituted alkyl or substituted alkenyl group substituted with at least one substituent selected from the group consisting of an alkoxycarbonyl group, an alkenoxycarbonyl group, an aryloxycarbonyl group, a carbamoyl group, an acyl group, an alkoxyl group, an alkylthio group, an arylthio group, an alkylsulfonyl group, an arylsulfonyl group, an aryl group and a heterocyclic group and having 12 or more carbon atoms in total.

IT ***175665-28-8***
RL: TEM (Technical or engineered material use); USES (Uses)
(in silver halide photog. materials for improved storage stability of latent images)

RN 175665-28-8 ZCAPLUS

CN Heneicosanoic acid, 3-[[hydroxy[2-(phenylsulfonyl)ethyl]amino]carbonyl]-, octadecyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 25 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:533620 ZCAPLUS Full-text
DOCUMENT NUMBER: 127:220672
TITLE: Preparation of aminoheterocyclic derivatives as antithrombotics or anticoagulants.
INVENTOR(S): Smithers, Michael James; Preston, John; Stocker, Andrew
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Smithers, Michael James; Preston, John; Stocker, Andrew
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728129	A1	19970807	WO 1997-GB284	19970131
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9700697	A	19970804	ZA 1997-697	19970128
AU 9716085	A	19970822	AU 1997-16085	19970131
EP 880502	A1	19981202	EP 1997-902438	19970131
EP 880502	B1	20040901		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000504337	T	20000411	JP 1997-527428	19970131
AT 275131	T	20040915	AT 1997-902438	19970131
PT 880502	T	20041231	PT 1997-902438	19970131
IN 1997DE00273	A	20050311	IN 1997-DE273	19970131
ES 2225948	T3	20050316	ES 1997-902438	19970131
US 7173025	B1	20070206	US 1998-117436	19980802
US 2003207882	A1	20031106	US 2003-427991	20030502

PRIORITY APPLN. INFO.:

GB 1996-2166	A	19960202
WO 1997-GB284	W	19970131
US 1998-117436	A3	19980802

OTHER SOURCE(S): MARPAT 127:220672
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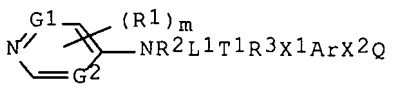


I

AB Title compds. [I; G1, G2 = CH, N; R1 = H, halo, CF3, OCF3, cyano, amino, OH, NO2, alkyl, alkoxy; L1 = (substituted) alkylene, cycloalkane-1,2-diyl,

alkylenecarbonyl; T1 = CH, N; R2, R3 = H, alkyl; R2R3 = (substituted) alkylene, methylenecarbonyl; X1, X2 = SO, SO2, CO, C(R4)2, C(R4)2SO, C(R4)2SO2 C(R4)2O, etc.; R4 = H, alkyl; Ar =(substituted) phenylene, 5-6 membered heteroarylene; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl], were prepared for treatment of coronary artery or cerebrovascular disease. Thus, 4-(6-chloronaphth-2- ylsulfonyl)benzoic acid (preparation given) and N-(4-pyridyl)piperazine were stirred with carbonyldiimidazole in DMF to give 1-[4-(6-chloronaphth-2-ylsulfonyl)benzoyl]-4-(4-pyridyl)piperazine. The latter inhibited Factor Xa with IC50 = 0.013 μM.

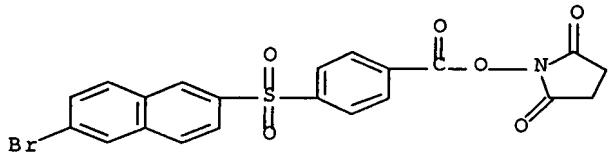
IT ***194853-72-0P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoheterocyclic derivs. as antithrombotics or anticoagulants)

RN 194853-72-0 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]benzoyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 26 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:625363 ZCAPLUS Full-text

DOCUMENT NUMBER: 125:266005

TITLE: Use of matrix metalloproteinase inhibitors for treatment of diseases mediated by TGF-α

INVENTOR(S): Hodgkin, Edward Eliot; Miller, Karen Margrete; Needham, Lindsey Ann

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9625156	A1	19960822	WO 1996-GB280	19960213
W: GB, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 809491	A1	19971203	EP 1996-902330	19960213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				

PRIORITY APPLN. INFO.: GB 1995-2858 A 19950214
WO 1996-GB280 W 19960213

AB Broad-spectrum hydroxamic acid and carboxylic acid derivs. which are inhibitors of matrix metalloproteinases (e.g. collagenase) can inhibit the production and processing of transforming growth factor α (TGF-α) by cells, and thus are useful in the management of diseases or conditions mediated by

overprodn. of, or over-responsiveness to, TGF-α. These diseases include various neoplasms, psoriasis, scleroderma, diabetic retinopathy, atherosclerosis, arthritis, and vascular adhesions. Thus, 2S-(4-hydroxyphenylsulfonylmethyl)-3R-[3-methoxycarbonyl-1S-(methylcarbamoyl)propylcarbamoyl]-5-methylhexanohydroxamic acid (100 μM) inhibited the PMA-induced release of TGF-α by HS294T, OVCAR, HT1080, A549, and HeLa cells in vitro.

IT ***153491-20-4 182221-94-9***

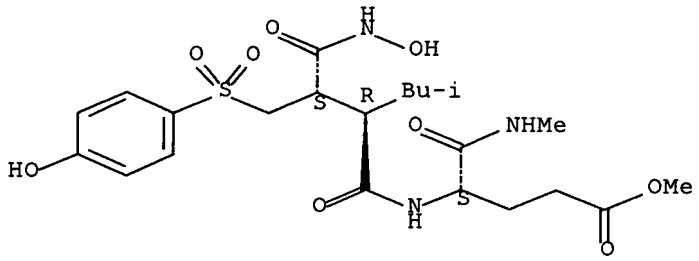
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of matrix metalloproteinase inhibitors for treatment of diseases mediated by TGF-α)

RN 153491-20-4 ZCAPLUS

CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)sulfonyl]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

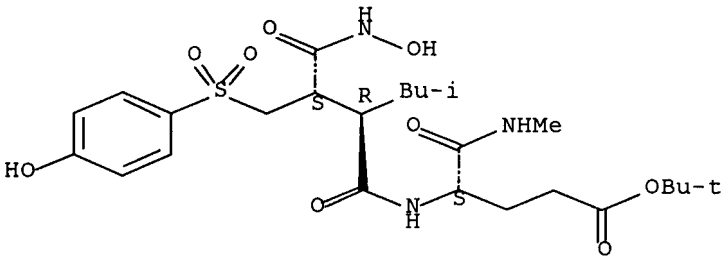
Absolute stereochemistry.



RN 182221-94-9 ZCAPLUS

CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)sulfonyl]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



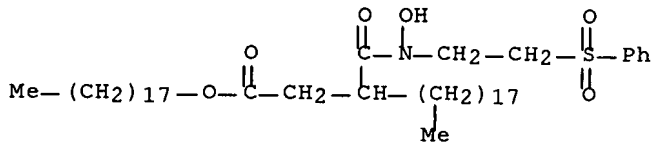
L27 ANSWER 27 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:245859 ZCAPLUS Full-text

DOCUMENT NUMBER: 124:328358
TITLE: Silver halide photographic material with improved latent image stability
INVENTOR(S): Mikoshiba, Hisashi; Takizawa, Hiroo; Hosokawa, Junichiro; Ishii, Yoshio; Mihayashi, Keiji; Morigaki, Masakazu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 108 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

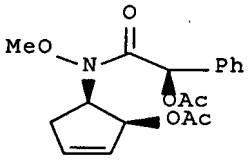
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 698814	A2	19960228	EP 1995-113374	19950825
EP 698814	A3	19961023		
EP 698814	B1	19981125		
R: BE, DE, FR, GB, IT, NL				
JP 08114884	A	19960507	JP 1995-109182	19950411
EP 819672	A2	19980121	EP 1997-117814	19950825
EP 819672	A3	19980204		
EP 819672	B1	20010418		
R: BE, DE, FR, GB, IT, NL				

PRIORITY APPLN. INFO.:
JP 1994-222731 A 19940825
JP 1995-109182 A 19950411
EP 1995-113374 A3 19950825
OTHER SOURCE(S): MARPAT 124:328358
AB A use of a compound for improving storage stability of a latent image of a silver halide photog. material is disclosed, which is represented by the following formula R2CONR1OH (R1 = H, alkyl, aryl; R2 = alkyl, alkenyl, aryl, alkylamino, arylamino, alkoxy, aryloxy, heterocyclic).
IT ***175665-28-8P***
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(compound for improving storage stability)
RN 175665-28-8 ZCAPLUS
CN Heneicosanoic acid, 3-[[hydroxy[2-(phenylsulfonyl)ethyl]amino]carbonyl]-, octadecyl ester (9CI) (CA INDEX NAME)

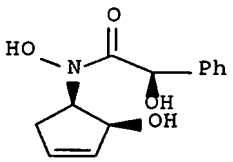


L27 ANSWER 28 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:878031 ZCAPLUS Full-text
DOCUMENT NUMBER: 124:116302
TITLE: Stereoselective cycloadditions of chiral acyl-nitroso compounds; palladium(0) catalyzed allylic displacement with concomitant loss of the chiral auxiliary
AUTHOR(S): Muxworthy, James P.; Wilkinson, James A.; Procter, Garry

CORPORATE SOURCE: Dep. Chemistry, Univ. Salford, Salford, M5 4WT, UK
SOURCE: Tetrahedron Letters (1995), 36(41), 7541-4
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:116302
GI



I



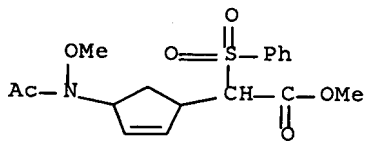
II

AB The methylated diacetate I, derived from the readily available hydroxamic acid II, undergoes Pd0 catalyzed nucleophilic allylic displacement under conditions which also result in the removal of the chiral auxiliary and its replacement with an easily removed acetyl group.

IT ***172688-59-4P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium(0) catalyzed allylic displacement with concomitant loss of the chiral auxiliary as adjunct to stereoselective cycloaddns. of chiral acyl-nitroso compds.)

RN 172688-59-4 ZCAPLUS

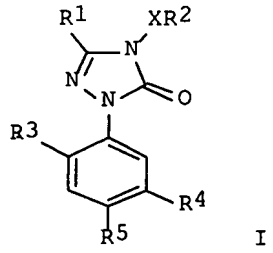
CN 2-Cyclopentene-1-acetic acid, 4-(acetylmethoxyamino)-α-(phenylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 29 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:331657 ZCAPLUS Full-text
DOCUMENT NUMBER: 123:83370
TITLE: Substituted phenyltriazolinones as herbicides
INVENTOR(S): Schallner, Otto; Haas, Wilhelm; Linker, Karl-Heinz; Findeisen, Kurt; Koenig, Klaus; Marhold, Albrecht; Santel, Hans-Joachim; Schmidt, Robert R.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5378681	A	19950103	US 1993-153935	19931116
PRIORITY APPLN. INFO.:			DE 1992-4239269 A	19921123
OTHER SOURCE(S):	MARPAT 123:83370			

GI



I

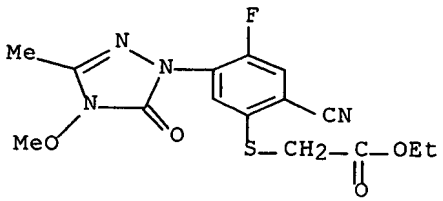
AB The invention relates to new substituted triazolinones of the general formula (I) in which R1 represents hydrogen, alkyl, alkoxy, haloalkyl or haloalkoxy, R2 represents hydrogen, alkyl or haloalkyl, R3 represents hydrogen or halogen, R4 represents hydrogen, cyano, halogen or a radical of the formula OR6, SR6, C(O)OR6, C(O)SR6, NR6R7 or C(O)NR6R7, R5 represents cyano or nitro and X represents oxygen or sulfur, where R6 and R7 independently of one another in each case represent hydrogen or in each case optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkoxycarbonyl, aryl or arylalkyl, to a plurality of processes for their preparation, and to their use as herbicides (no data).

IT ***156781-99-6P***

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted phenyltriazolinones as herbicides)

RN 156781-99-6 ZCAPLUS

CN Acetic acid, [[2-cyano-5-(4,5-dihydro-4-methoxy-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-4-fluorophenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 30 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1995:19442 ZCAPLUS Full-text
DOCUMENT NUMBER:	122:230797
TITLE:	Inhibition of tumor necrosis factor (TNF) production
INVENTOR(S):	Crimmin, Michael John; Galloway, William Alan; Gearing, Andrew John Hubert
PATENT ASSIGNEE(S):	British Bio-Technology Ltd., UK

SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410990	A1	19940526	WO 1993-GB2331	19931112
W: AU, CA, DE, ES, FI, GB, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9454301	A	19940608	AU 1994-54301	19931112
EP 667770	A1	19950823	EP 1993-924754	19931112
EP 667770	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08505605	T	19960618	JP 1994-511862	19931112
AT 150300	T	19970415	AT 1993-924754	19931112
ES 2101358	T3	19970701	ES 1993-924754	19931112
US 5691382	A	19971125	US 1995-436190	19950512
PRIORITY APPLN. INFO.:			GB 1992-23904 A	19921113
			WO 1993-GB2331 W	19931112

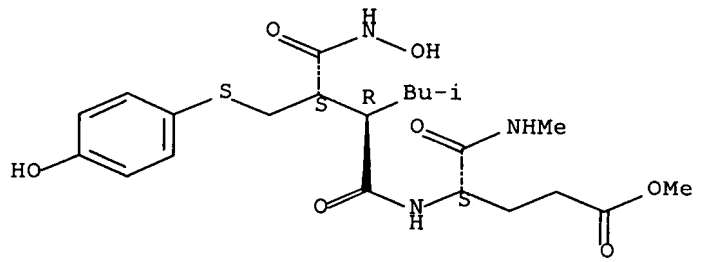
AB Certain hydroxamic acid derivs., previously known as inhibitors of matrix metalloproteinases (e.g. collagenase) are capable of inhibiting the production of TNF by cells, and thus are useful in the management of diseases or conditions mediated by overprodn. of, or over-responsiveness to, TNF. The compds. in question are known in the art from the following patent publications: US 4599361, EP-A-0236872, EP-A-0274453, WO 90/05716, WO 90/05719,WO 91/02716, EP-A-0489577, EP-A-0489579, EP-A-0497192, WO 92/13831, WO 92/22523,WO 93/09090, and WO 93/09097. They have general formula CH(R1)(CONHOH)CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5) or CH(R1)[N(OH)(CO)H]CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5), in which substituents R1-R5 may vary widely according to the disclosures of those patent publications. Prevention of e.g. TNF release from phorbol myristate acetate-stimulated human monocytic cell line U937 by compds. of the invention is described.

IT ***153491-09-9 153491-10-2 153491-11-3 153491-17-9 153491-18-0 153491-21-5 153547-33-2***
RL: BIOL (Biological study)
(TNF production inhibition by)

RN 153491-09-9 ZCAPLUS

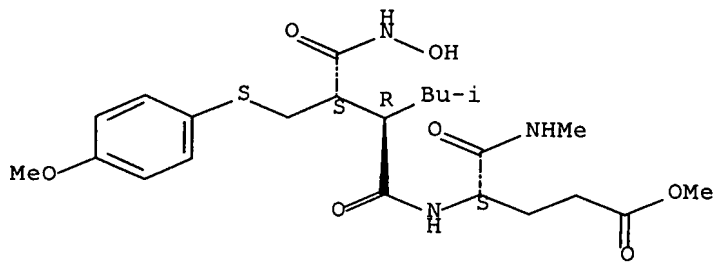
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



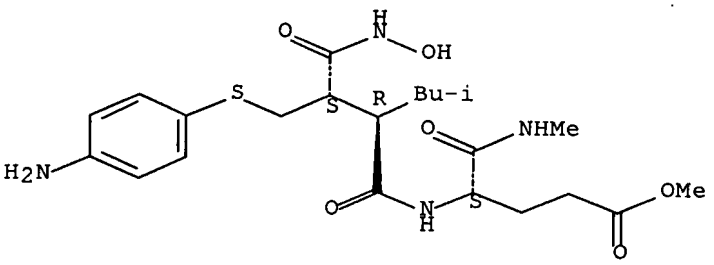
RN 153491-10-2 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-methoxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



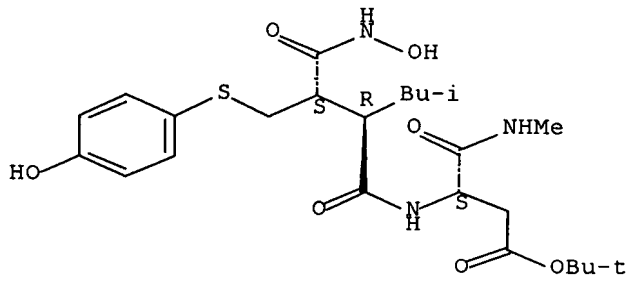
RN 153491-11-3 ZCAPLUS
CN Pentanoic acid, 4-[[2-[1-[[(4-aminophenyl)thio]methyl]-2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



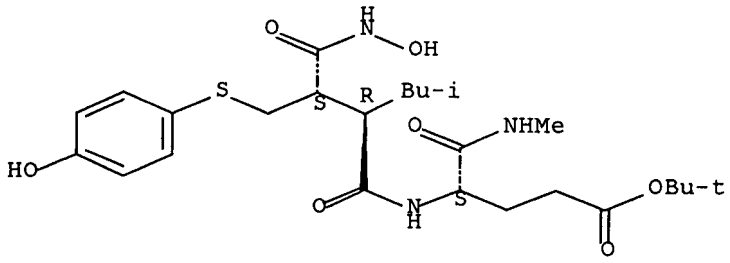
RN 153491-17-9 ZCAPLUS
CN Butanoic acid, 3-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-4-(methylamino)-4-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



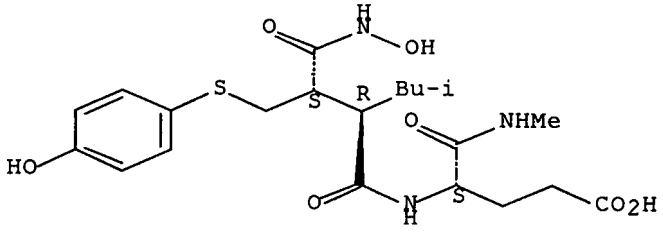
RN 153491-18-0 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



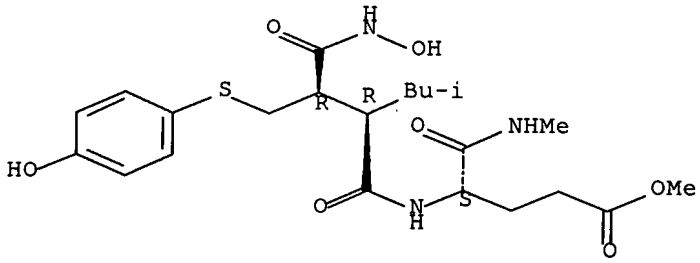
RN 153491-21-5 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



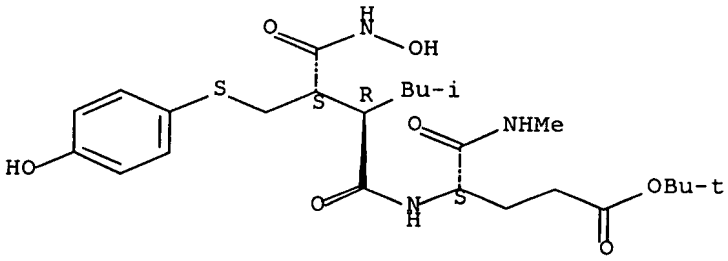
RN 153547-33-2 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT ***153491-18-0***
RL: BIOL (Biological study)
(for TNF production inhibition)
RN 153491-18-0 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L27 ANSWER 31 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:622159 ZCAPLUS Full-text
DOCUMENT NUMBER: 121:222159
TITLE: Enhancement of mass spectrometric detection of LTC4, LTD4, and LTE4 by derivatization
AUTHOR(S): Mamer, Orval A.; Just, George; Li, Chun-Sing; Preville, Patrice; Watson, Sara; Young, Robert; Yergey, James A.
CORPORATE SOURCE: Biomedical Mass Spectrometry Unit, McGill University, Montreal, QC, Can.
SOURCE: Journal of the American Society for Mass Spectrometry (1994), 5(4), 292-8
CODEN: JAMSEF; ISSN: 1044-0305
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several acylating reagents are synthesized and used to introduce quaternary phosphonium or ammonium or ternary sulfonium functions into a simple model of a peptidoleukotriene (PLT). One of these reagents was selected for further study with LTE4, LTD4, and LTC4. The authors demonstrate that acylation of the free amine function of PLTs to produce the 5-triphenyl-phosphoniumvaleryl-amide (TPPV) derivs. enhances chemical stabilities and significantly increases responses in fast-atom bombardment and continuous-flow liquid secondary ion

mass spectrometry (CF-LSIMS) relative to the native PLTs. With high-performance liquid chromatog. inlet to CF-LSIMS, the authors demonstrate the facile detection in selected ion monitoring of the TPPV derivative of 3 pg of LTD4.

IT ***158397-94-5 158446-94-7***

RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)

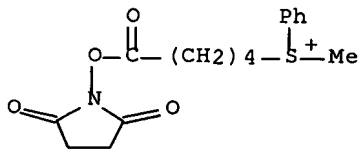
(mass spectrometric detection of LTC4 and LTD4 and LTE4 enhancement by derivatization)

RN 158397-94-5 ZCAPLUS

CN Sulfonium, [5-[(2,5-dioxo-1-pyrrolidinyl)oxy]-5-oxopentyl]methylphenyl-, salt with trifluoromethanesulfonic acid (1:1) (9CI) (CA INDEX NAME)

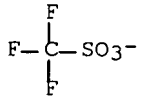
CM 1

CRN 158397-93-4
CMF C16 H20 N O4 S



CM 2

CRN 37181-39-8
CMF C F3 O3 S

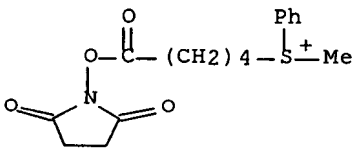


RN 158446-94-7 ZCAPLUS

CN Sulfonium, [5-[(2,5-dioxo-1-pyrrolidinyl)oxy]-5-oxopentyl]methylphenyl-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

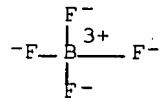
CM 1

CRN 158397-93-4
CMF C16 H20 N O4 S



CM 2

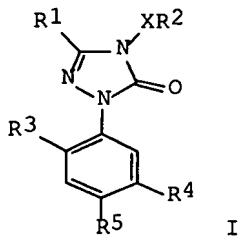
CRN 14874-70-5
CMF B F4
CCI CCS



L27 ANSWER 32 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:508808 ZCAPLUS Full-text
DOCUMENT NUMBER: 121:108808
TITLE: Substituted phenyltriazolinone herbicides
INVENTOR(S): Schallner, Otto; Haas, Wilhelm; Linker, Karl Heinz; Findwisen, Kurt; Koenig, Klaus; Marhold, Albrecht; Santel, Hans Joachim; Schmidt, Robrt Rudolf
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4239296	A1	19940526	DE 1992-4239296	19921123
EP 599135	A1	19940601	EP 1993-118206	19931110
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 07076579	A	19950320	JP 1993-311029	19931118
CN 1090276	A	19940803	CN 1993-120550	19931120
BR 9304783	A	19940705	BR 1993-4783	19931122
PRIORITY APPLN. INFO.:			DE 1992-4239296 A	19921123
OTHER SOURCE(S):	MARPAT 121:108808			

GI



I

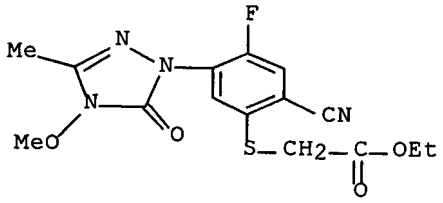
AB The title compds. (I; R1 = H, alkyl, haloalkyl, haloalkoxy; R2 = H, alkyl, haloalkyl; R3 = H, halogen; R4 = H, CN, halogen, etc.; R5 = CN, NO2; X = O, S), useful as herbicides for the control of unwanted plants, are prepared Thus, I (R1 = R2 = Me, R3 = Cl, R4 = F, R5 = CN, X = O), m.p. 102°, was prepared from 5-chloro-2,4-difluorobenzonitrile and 4-methoxy-3-methyl- 1H-1,2,4-triazolin-5-one.

IT ***156781-99-6P***

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 156781-99-6 ZCAPLUS

CN Acetic acid, [[2-cyano-5-(4,5-dihydro-4-methoxy-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-4-fluorophenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 33 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:508300 ZCAPLUS Full-text

DOCUMENT NUMBER: 121:108300

TITLE: Studies on β-lactam antibiotics. IV. An improved synthesis of 3-[(isothiazolylthio)methyl]cephalosporins and its application to new derivatives

AUTHOR(S): Hara, Ryuichiro; Nakai, Eiichi; Hisamichi, Hiroyuki; Nagano, Noriaki

CORPORATE SOURCE: Inst. Drug Discovery Res., Yamanouchi Pharm. Co., Ltd., Tsukuba, 305, Japan

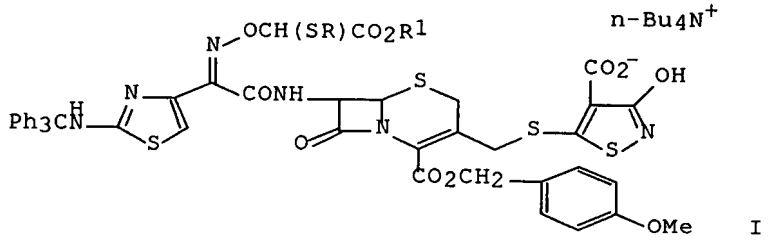
SOURCE: Journal of Antibiotics (1994), 47(4), 477-86
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:108300

GI

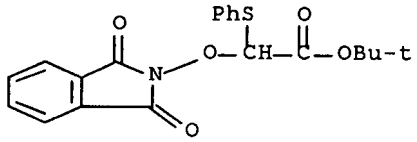


I

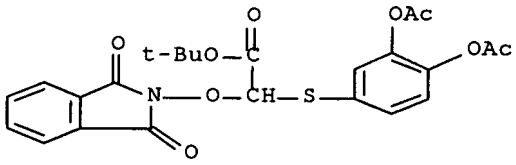
AB An improved synthesis and in vitro activity of cephalosporins with a (4-carboxy-3-hydroxy-5-isothiazoly)thiomethyl group at the 3-position, I [R = Me, Et, CH2CHF2, 4-AcOC6H4, 3,4-(AcO)2C6H3, R1 = CMe3, CHPh2], and its application to the permeation of new derivs. are described. These compds. showed excellent activity against Gram-neg. bacteria including β-lactamase producing strains. Among them, the 3,4-dihydroxyphenyl derivative was the most interesting because of its broad spectrum of antibacterial activity, including Gram-neg. bacteria, and its outstanding inhibitory potency against Pseudomonas aeruginosa.

IT ***135996-02-0P 135996-11-1P 156686-20-3P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methylhydrazine)

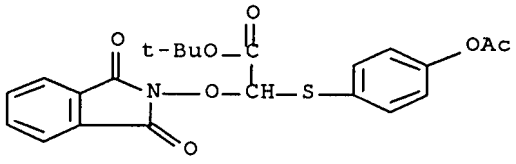
RN 135996-02-0 ZCAPLUS
CN Acetic acid, [(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy](phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 135996-11-1 ZCAPLUS
CN Acetic acid, [[3,4-bis(acetyloxy)phenyl]thio][(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 156686-20-3 ZCAPLUS
CN Acetic acid, [[4-(acetyloxy)phenyl]thio][(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



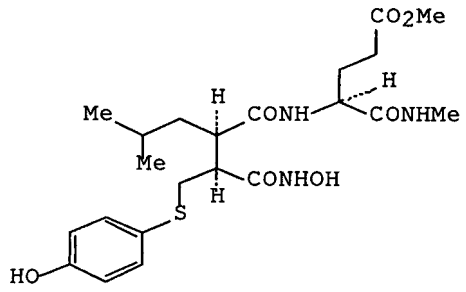
L27 ANSWER 34 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:216730 ZCAPLUS Full-text
DOCUMENT NUMBER: 120:216730
TITLE: Preparation of hydroxamic acid based collagenase and cytokine inhibitors
INVENTOR(S): Crimmin, Michael John; Galloway, William Alan; Gearing, Andrew John Hubert
PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320047	A1	19931014	WO 1993-GB706	19930405
W: AU, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, PT, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9338991	A	19931108	AU 1993-38991	19930405
EP 634998	A1	19950125	EP 1993-907991	19930405
EP 634998	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505387	T	19950615	JP 1993-517262	19930405
JP 3348725	B2	20021120		
AT 150452	T	19970415	AT 1993-907991	19930405
ZA 9302501	A	19931108	ZA 1993-2501	19930408

PRIORITY APPLN. INFO.:

GB 1992-7759	A	19920407
GB 1992-26337	A	19921217
GB 1993-701	A	19930115
WO 1993-GB706	A	19930405

OTHER SOURCE(S): MARPAT 120:216730
GI



I

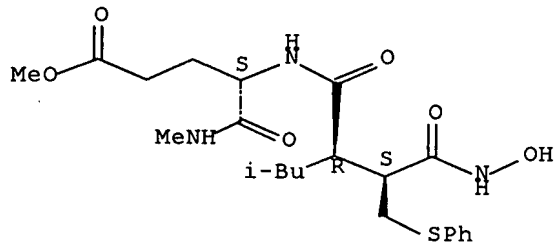
AB Title compds. R1S(O)nACH(HONOHCO)CHR1CONHCHR3CONR4R5 [R1 = H2C1-6 alkyl, C1-6 alkoxycarbonyl-C1-6 alkyl, (substituted) Ph, Ph-C1-6 alkyl, heterocyclyl, C1-6 alkylcarbonyl, (substituted) phenacyl; R2 = H, C1-6 alkyl, C2-6 alkenyl, Ph-C1-6 alkyl, cycloalkyl-C1-6 alkyl, cycloalkenyl-C1-6 alkyl; R3 = C1-4 alkyl-

CO2CH2, C1-4 alkyl-CO2CH2CH2; R4 = H, C1-6 alkyl, Ph-C1-6 alkyl; R5 = H, Me; A = (substituted) C1-6 hydrocarbyl] or a salt, solvate or hydrate thereof, useful as inhibitors of tumor necrosis factor production and of matrix metalloproteinases, are prepared 2-[1R-(3-methoxycarbonyl-1S-methylcarbamoylpropylcarbamoyl)-3- methylbutyl]acrylic acid in MeOH was reacted with 4-(HO)C6H45H to give the 5-methylhexanoic acid derivative to which in CH2Cl2 and DMF was added pentafluorophenol, N-methylmorpholine and N,N-dimethylaminopropyl-N'- ethylcarbodiimide to give the title compound I and its minor diastereoisomer. I inhibited tumor necrosis factor production with IC50 of >50 μM and collagenase activity with IC50 of a nM.

IT ***153491-07-7P 153491-09-9P 153491-10-2P 153491-11-3P 153491-17-9P 153491-18-0P 153491-19-1P 153491-20-4P 153491-21-5P 153547-33-2P 153547-34-3P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitors of tumor necrosis factor production and matrix metalloproteinase)

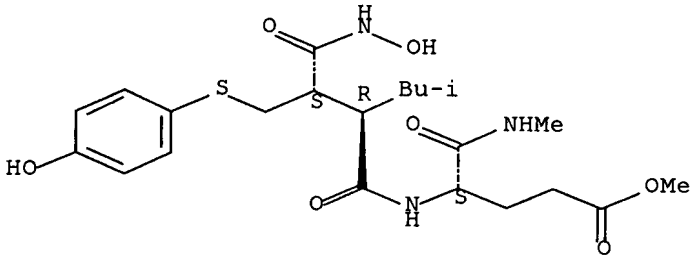
RN 153491-07-7 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-2-oxo-1-[(phenylthio)methyl]ethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153491-09-9 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

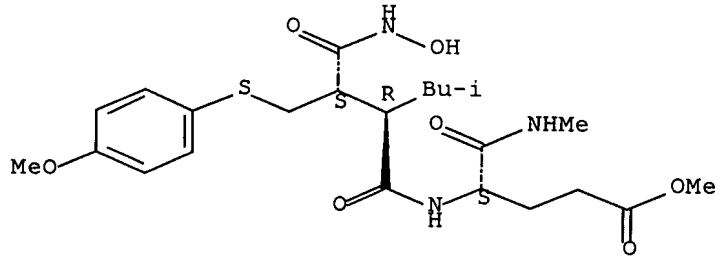
Absolute stereochemistry.



RN 153491-10-2 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-methoxyphenyl)thio]methyl]-

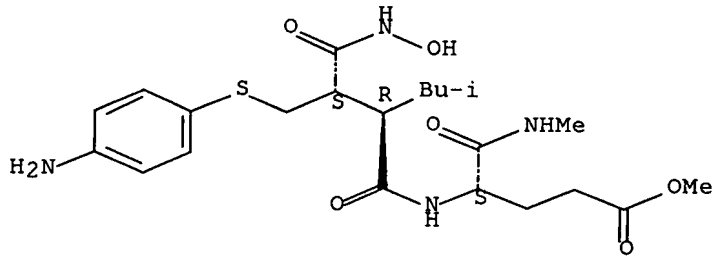
2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



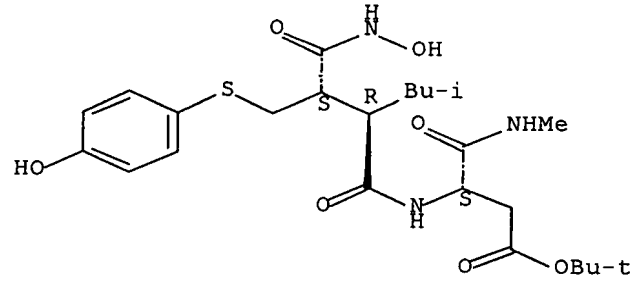
RN 153491-11-3 ZCAPLUS
CN Pentanoic acid, 4-[[2-[1-[[(4-aminophenyl)thio]methyl]-2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



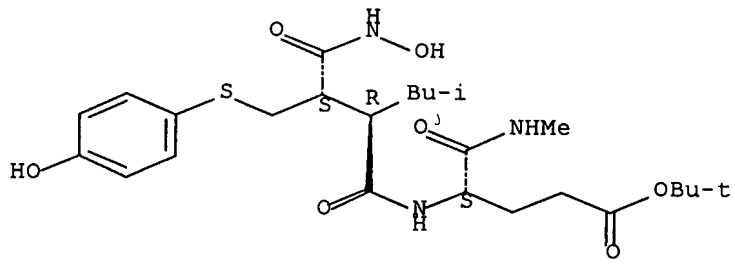
RN 153491-17-9 ZCAPLUS
CN Butanoic acid, 3-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-4-(methylamino)-4-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



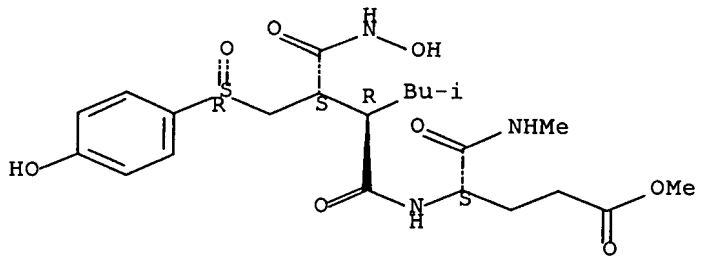
RN 153491-18-0 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, 1,1-dimethylethyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



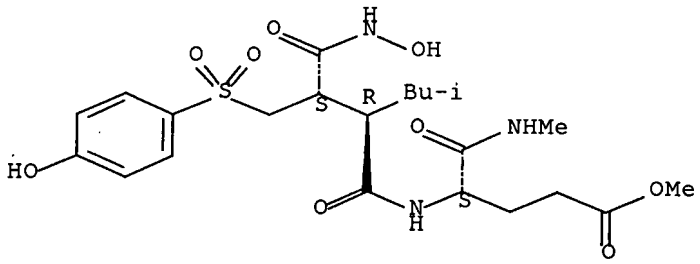
RN 153491-19-1 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)sulfinyl]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



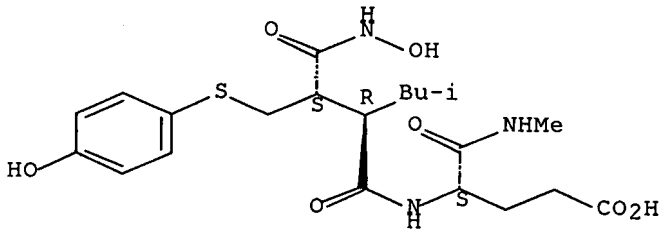
RN 153491-20-4 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)sulfonyl]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



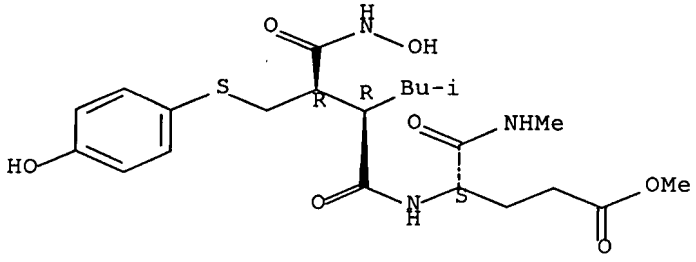
RN 153491-21-5 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, [2R-[1(S*),2R*(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



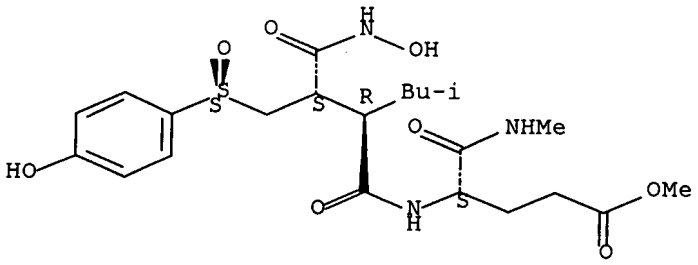
RN 153547-33-2 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)thio]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153547-34-3 ZCAPLUS
CN Pentanoic acid, 4-[[2-[2-(hydroxyamino)-1-[[(4-hydroxyphenyl)sulfinyl]methyl]-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-5-(methylamino)-5-oxo-, methyl ester, [2R-[1(S*),2R*[S*(S*)]]]- (9CI) (CA INDEX NAME)

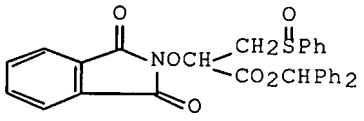
Absolute stereochemistry.



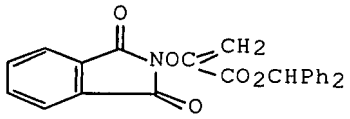
L27 ANSWER 35 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:233492 ZCAPLUS Full-text
DOCUMENT NUMBER: 118:233492
TITLE: Preparation of 2-(aminooxy)acrylic acid derivatives
INVENTOR(S): Nishitani, Yasuhiro; Irie, Tadashi; Nishino, Yutaka
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04312567	A	19921104	JP 1991-78947	19910411
JP 2945155	B2	19990906		
PRIORITY APPLN. INFO.:			JP 1991-78947	19910411

OTHER SOURCE(S): CASREACT 118:233492; MARPAT 118:233492
GI



II



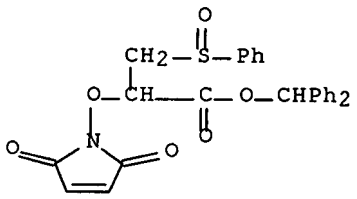
III

AB H2C:C(ONH2)CO2R (I; R = H, protecting group), useful as intermediates for cephalosporin antibiotics, are prepared by a novel method. Refluxing sulfoxide II in MePh gave 85.0% acrylate III, which was stirred with MeNHNH2 in CH2Cl2 at -10° to give 98.5% I (R = Ph2CH).

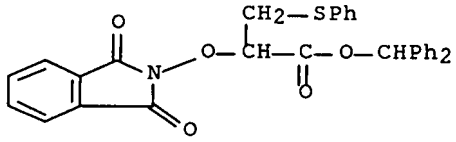
IT ***147223-26-5P 147223-30-1P 147223-31-2P 147223-32-3P 147223-34-5P 147223-35-6P 147223-36-7P 147223-37-8P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cyclosporin intermediates)

RN 147223-26-5 ZCAPLUS
CN Propanoic acid, 2-[(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)oxy]-3-

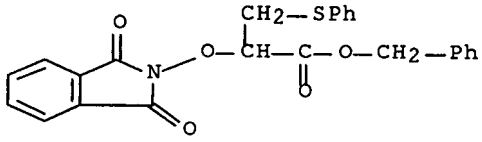
(phenylsulfinyl)-, diphenylmethyl ester (9CI) (CA INDEX NAME)



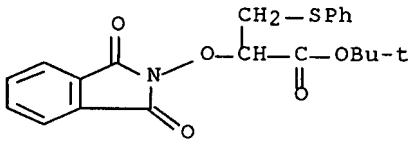
RN 147223-30-1 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylthio)-, diphenylmethyl ester (9CI) (CA INDEX NAME)



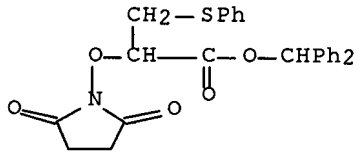
RN 147223-31-2 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylthio)-, phenylmethyl ester (9CI) (CA INDEX NAME)



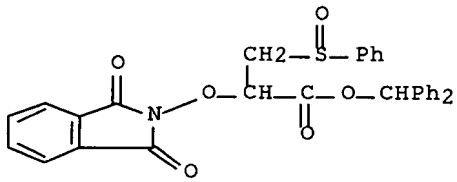
RN 147223-32-3 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



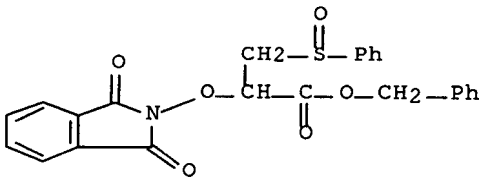
RN 147223-34-5 ZCAPLUS
CN Propanoic acid, 2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-3-(phenylthio)-, diphenylmethyl ester (9CI) (CA INDEX NAME)



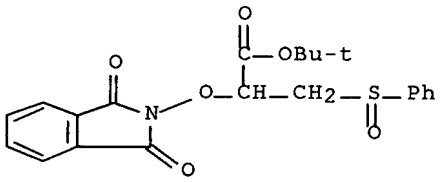
RN 147223-35-6 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylsulfinyl)-, diphenylmethyl ester (9CI) (CA INDEX NAME)



RN 147223-36-7 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylsulfinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 147223-37-8 ZCAPLUS
CN Propanoic acid, 2-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-3-(phenylsulfinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

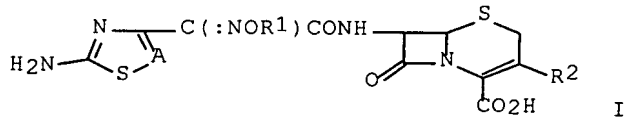


L27 ANSWER 36 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:558830 ZCAPLUS Full-text
DOCUMENT NUMBER: 115:158830
TITLE: Preparation of cephalosporin derivatives
INVENTOR(S): Hara, Ryuichiro; Nagano, Noriaki; Anan, Hideki; Koide, Tokuo; Nakai, Eiichi; Yokota, Masaki; Yoden, Toru; Sato, Masato; Hamaguchi, Katsuhiko; Maeda, Tetsuye
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 112 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 420608	A2	19910403	EP 1990-310527	19900926
EP 420608	A3	19920311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2026204	A1	19910327	CA 1990-2026204	19900925
AU 9063148	A	19910411	AU 1990-63148	19900925
JP 03264590	A	19911125	JP 1990-254579	19900925
DD 298104	A5	19920206	DD 1990-344201	19900925
CN 1050717	A	19910417	CN 1990-108494	19900926
US 5233035	A	19930803	US 1992-860306	19920327
PRIORITY APPLN. INFO.:			JP 1989-249713 A	19890926
			JP 1989-344677 A	19891227
			JP 1990-18668 A	19900129
			JP 1990-24057 A	19900202
			US 1990-586262 B1	19900919

OTHER SOURCE(S): MARPAT 115:158830
GI

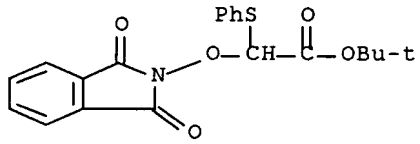


I

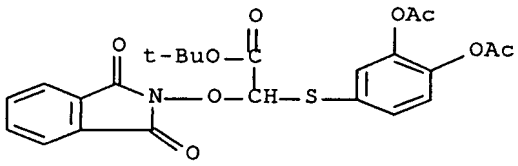
AB Title compds. I [A = CH, N; R1 = H2C:C(CO2H), R3BCH(CO2H), wherein B = bone, S; R3 = C1-3 alkyl, pyridyl, thienyl, (substituted) Ph; R2 = 1,3-dithiolanyl, substituted pyridyl, -thiadiazolyl, -thiazolyl, -pentazolyl, etc.], salt thereof, are prepared I having antimicrobial activity, in particular against Pseudomonas aeruginosa. 7β-[(Z)-2-(2-Amino-4-thiazolyl)-2-[[(RS)-(carboxy)(3,4- diacetoxyphenylthio)methoxy]imino]acetamido]-3-[[(4-carboxy-3-hydroxy-5- isothiazolyl)thio]methyl]-3-cephem-4-carboxylic acid (preparation given) suspended in H2O was treated with NaHCO3 at room temperature to give after workup tri-Na 7β-[(Z)-2-(2-amino-4-thiazolyl-2-[[(RS)-(carboxy)(3,4-dihydroxyphenylthio)methoxy]imino]acetamido]-3-[[(4-carboxy-3-hydroxy-5-isothiazolyl)thio]methyl]-3-cephem-4-carboxylate (II). The min. inhibitory concentration of II against P. aeruginosa was ≤0.006 (sic).

IT ***135996-02-0P 135996-11-1P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cephalosporins)

RN 135996-02-0 ZCAPLUS
CN Acetic acid, [(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy](phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



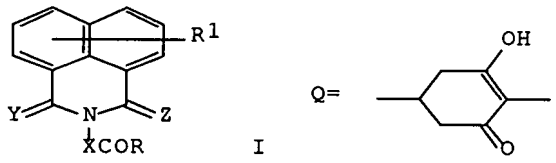
RN 135996-11-1 ZCAPLUS
CN Acetic acid, [[3,4-bis(acetyloxy)phenyl]thio][(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 37 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:535937 ZCAPLUS Full-text
DOCUMENT NUMBER: 115:135937
TITLE: Preparation of N-[[(alkylideneimino)oxycarbonyl]alkyl]-1,8-naphthalenedicarboximides and analogs as herbicide safeners
INVENTOR(S): Saupe, Thomas; Meyer, Norbert; Plath, Peter; Schirmer, Ulrich; Wuerzer, Bruno; Westphalen, Karl Otto; Patsch, Manfred; Pfister, Juergen
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 430004	A2	19910605	EP 1990-122030	19901117
EP 430004	A3	19911218		
R: AT, CH, DE, ES, FR, GB, IT, LI, NL, SE				
DE 3939379	A1	19910606	DE 1989-3939379	19891129
DE 4021654	A1	19920109	DE 1990-4021654	19900707
CA 2030129	A1	19910530	CA 1990-2030129	19901116
US 5076831	A	19911231	US 1990-615865	19901120
JP 03190861	A	19910820	JP 1990-323392	19901128
PRIORITY APPLN. INFO.:			DE 1989-3939379 A	19891129
			DE 1990-4021654 A	19900707

OTHER SOURCE(S): CASREACT 115:135937; MARPAT 115:135937
GI

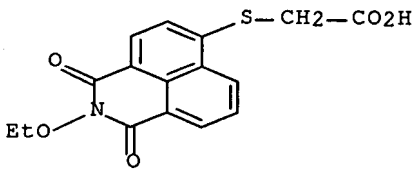


AB The title compds. [I; R = ON:CR5R6; R1 = 1-4 substituents which may be the same or different selected from H, halo, cyano, (halo)alkyl, etc.; R5 = H, cyano, alkyl, alkenyl, etc.; R6 = H, cyano, (halo)alkyl, alkoxy, etc.; X = (un)substituted alkylene; Y, Z = O, S] were prepared as safeners for 2-[(hetero)aryloxyphenoxy]acetate and -propionate or alkoximinomethylenecycylohexenone herbicides. Thus, I (R1 = H, X = CH2, Y = Z = O) (II); R = Cl) (preparation given) was condensed with Me2C:NOH to give II (R = ON:CMe2). II [R = ON:CR5R6; R5R6 = (CH2)3CH:C(OEt)] reduced damage to wheat of 0.03 kg/ha of the herbicide EtSCHMEH2Z1C(:NOEt)Pr (Z1 = hydroxycyclohexenonylene group Q) from 70 to 10% (with 95% control of annual ryegrass) at 0.125 kg/ha.

IT ***135980-53-9P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide safener)

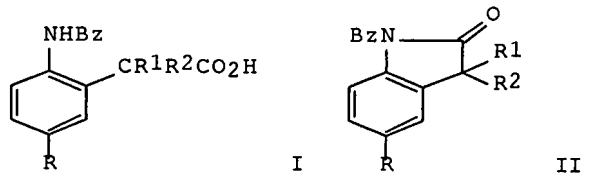
RN 135980-53-9 ZCAPLUS

CN Acetic acid, [(2-ethoxy-2,3-dihydro-1,3-dioxo-1H-benz[de]isoquinolin-6-yl)thio]- (9CI) (CA INDEX NAME)



L27 ANSWER 38 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:471960 ZCAPLUS Full-text
DOCUMENT NUMBER: 115:71960
TITLE: Synthetic applications of N-aryl-O-acyl hydroxamic acids. A convenient route to 3-substituted N-benzoyl oxindoles
AUTHOR(S): Almeida, Paulo S.; Prabhakar, Sundaresan; Lobo, Ana M.; Marcelo-Curto, M. Joao
CORPORATE SOURCE: Cent. Quim. Estrut., INIC, Lisbon, 1096, Port.
SOURCE: Tetrahedron Letters (1991), 32(23), 2671-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:71960

GI



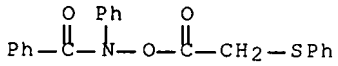
AB The enol silyl ethers of 4-RC6H4N(Bz)O2CCHR1R2 (R = H, Cl, Me, CO2Me; R1 = H, Ph; R2 = H, Ph, SPh) undergo smooth rearrangement to give o-(aminobenzoyl)phenylacetic acids I. Dehydration of I with DCC gave oxindoles II, which could be used intermediates for alkaloids incorporating a pyrrolo[2,3-b]indole moiety.

IT ***135308-26-8P 135308-27-9P 135308-28-0P 135308-29-1P***

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential conversion to silyl enol ether and rearrangement of)

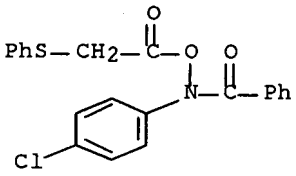
RN 135308-26-8 ZCAPLUS

CN Benzamide, N-phenyl-N-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



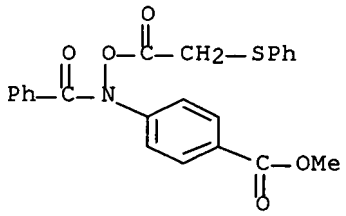
RN 135308-27-9 ZCAPLUS

CN Benzamide, N-(4-chlorophenyl)-N-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)

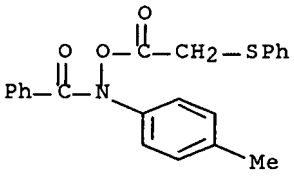


RN 135308-28-0 ZCAPLUS

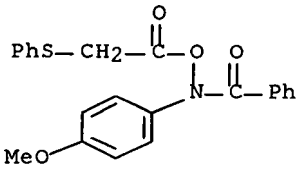
CN Benzoic acid, 4-[benzoyl[[(phenylthio)acetyl]oxy]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 135308-29-1 ZCAPLUS
CN Benzamide, N-(4-methylphenyl)-N-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



IT ***135308-47-3P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal rearrangement of)
RN 135308-47-3 ZCAPLUS
CN Benzamide, N-(4-methoxyphenyl)-N-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 39 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:56688 ZCAPLUS Full-text
DOCUMENT NUMBER: 110:56688
TITLE: A new and practical method of decarboxylation: photosensitized decarboxylation of N-acyloxyphthalimides via electron-transfer mechanism
AUTHOR(S): Okada, Keiji; Okamoto, Kazushige; Oda, Masaji
CORPORATE SOURCE: Fac. Sci., Osaka Univ., Toyonaka, 560, Japan
SOURCE: Journal of the American Chemical Society (1988), 110(26), 8736-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:56688

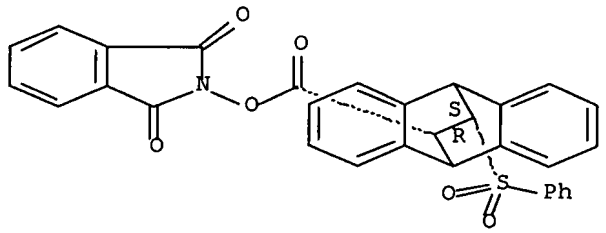
AB The photosensitized decarboxylation of N-acyloxyphthalimides, utilizing 1,6-bis(dimethylamino)pyrene (BDMAP) as a sensitizer, proceeds in high yields for primary, secondary, and tertiary carboxylic acid derivs. in aqueous solvents with irradiation of visible light (350-450 nm). A mechanism of electron transfer from the excited singlet state of BDMAP to N-acyloxyphthalimide is surmized from the large neg. values of free energy change calculated according to Rehm-Weller equation and from the near diffusion controlled rate consts. of the quenching of fluorescence of BDMAP.

IT ***118334-88-6***
RL: RCT (Reactant); RACT (Reactant or reagent)
(photosensitized decarboxylation of)

RN 118334-88-6 ZCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[[9,10-dihydro-12-(phenylsulfonyl)-9,10-ethanoanthracen-11-yl]carbonyl]oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L27 ANSWER 40 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:18297 ZCAPLUS Full-text
Correction of: 1986:424144

DOCUMENT NUMBER: 106:18297
Correction of: 105:24144

TITLE: New antiarrhythmic agents. 2,2,5,5-Tetramethyl-3-pyrroline-3-carboxamides and 2,2,5,5-tetramethylpyrrolidine-3-carboxamides.

AUTHOR(S): Hankovsky, Olga H.; Hideg, Kalman; Bodi, Ilona; Frank, Laszlo

CORPORATE SOURCE: Cent. Lab. Chem., Univ. Pecs, Pecs, H-7643, Hung.

SOURCE: Journal of Medicinal Chemistry (1986), 29(7), 1138-52
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB The title compds. I and II [R = H, X= (CH2)2-4, CH2CH(OH)CH2, CH2CMe2CH2, CH2CHMe, CH2CMe2] were acylated on the primary amino group by means of reactive acid derivs. (acid chlorides, activated esters, phthalic anhydrides, phtalimide, 2-alkyl-4H-3,1-benzoxazin-4-ones) or they were alkylated by forming the Schiff bases and NaBH4 reduction Other tetramethyl-3-pyrrolinecarboxamide compds. were synthesized by acylating RNHXNH2 with 2,2,6,6-tetramethyl-3,5-dibromo-4-piperidinone in a reaction involving a Favorskii rearrangement. Double bond reduction of some I gave II. I and II (R ≠ H, 137 compds.) were active against aconitine-induced arrhythmia and several of them had higher activity and better chemotherapeutic index than quinidine. Some showed strong activity against ouabaine-induced arrhythmia. The most potent compds. were oxidized to the paramagnetic nitroxides and the latter

were reduced to the N-hydroxy derivs.; these products had little or no antiarrhythmic effect.

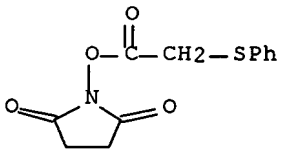
IT ***93799-47-4P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with aminoalkylcarbamoylpyrrolines)

RN 93799-47-4 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 41 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:479329 ZCAPLUS Full-text

DOCUMENT NUMBER: 105:79329

TITLE: Structure activity relationships of synthetic antibiotic analogs of chryscandin

AUTHOR(S): Komori, Tadaaki; Sakane, Kazuo; Setoi, Hiroyuki; Kawai, Yoshio; Teraji, Tsutomu; Kohsaka, Masanobu; Imanaka, Hiroshi

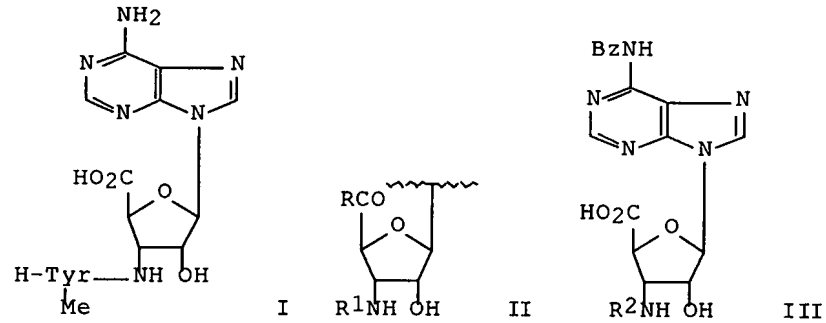
CORPORATE SOURCE: Explor. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan

SOURCE: Journal of Antibiotics (1985), 38(9), 1182-203
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



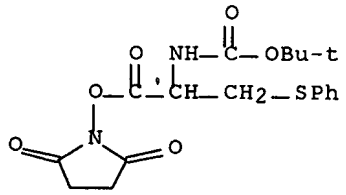
AB Chryscandin (I) and 98 analogs, e.g. II (R = OH, NHNH2, etc.; R1 = H-Phe, H-Cys, etc.), were prepared and their antibacterial activities were determined Thus, Z-Tyr(Me)-OH (Z = PhCH2O2C) was condensed with amino nucleoside III (R2 = H) by DCC/N-hydroxysuccinimide to give III [R2 = Z-Tyr(Me)], which was

deblocked by BuNH2 and hydrogenolysis to give I. II (R = OH, R1 = H-Cys) showed the highest efficacy against Candida albicans.

IT ***103550-69-2***
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminoribofuranuronic acid derivative)

RN 103550-69-2 ZCAPLUS

CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[(phenylthio)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 42 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:424144 ZCAPLUS Full-text

DOCUMENT NUMBER: 105:24144

TITLE: New antiarrhythmic agents. 2,2,5,5-Tetramethyl-3-pyrroline-3-carboxamides and 2,2,5,5-tetramethylpyrrolidine-3-carboxamides

AUTHOR(S): Hankovsky, Olga H.; Hideg, Kalman; Bodi, Ilona; Frank, Laszlo

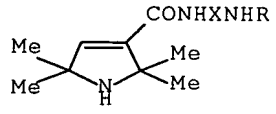
CORPORATE SOURCE: Cent. Lab. Chem., Univ. Pecs, Pecs, H-7643, Hung.

SOURCE: Journal of Medicinal Chemistry (1986), 29(7), 1138-52
CODEN: JMCMAR; ISSN: 0022-2623

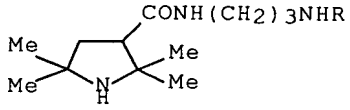
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB The title compds. I and II [R = H, X = (CH2)2-4, CH2CH(OH)CH2, CH2CMe2CH2, CH2CHMe, CH2CMe2] were acylated on the primary amino group by means of reactive acid derivs. (acid chlorides, activated esters, phthalic anhydrides, phthalimide, 2-alkyl-4H-3,1-benzoxazin-4-ones) or they were alkylated by forming the Schiff bases and NaBH4 reduction Other tetramethyl-3-pyrrolinecarboxamide compds. were synthesized by acylating RNHXNH2 with 2,2,6,6-tetramethyl-3,5-dibromo-4-piperidinone in a reaction involving a Favorskii rearrangement. Double bond reduction of some I gave II. I and II (R ≠ H, 137 compds.) were active against aconitine-induced arrhythmia and several of them had higher activity and better chemotherapeutic index than quinidine. Some showed strong activity against ouabaine-induced arrhythmia. The most potent compds. were oxidized to the paramagnetic nitroxides and the latter

were reduced to the N-hydroxy derivs.; these products had little on no antiarrhythmic effect.

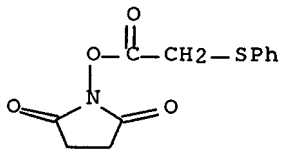
IT ***93799-47-4P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with aminoalkylcarbamoylpyrrolines)

RN 93799-47-4 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 43 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:24471 ZCAPLUS Full-text

DOCUMENT NUMBER: 102:24471

TITLE: Alkanediamine derivatives

INVENTOR(S): Hideg, Kalman; Hankovszky, Olga H.; Frank, Laszlo; Bodi, Ilona; Csak, Jozsef

PATENT ASSIGNEE(S): Alkaloida Vegyeszeti Gyar, Hung.

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

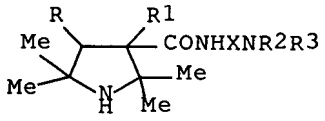
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8402907	A1	19840802	WO 1984-HU5	19840123
W: DK, FI, JP, SU, US				
RW: AT, BE, CH, DE, FR, GB, NL, SE				
HU 33113	A2	19841029	HU 1983-191	19830121
HU 190704	B	19861028		
HU 33114	A2	19841029	HU 1983-384	19830204
HU 189224	B	19860630		
HU 33143	A2	19841029	HU 1983-385	19830204
HU 190706	B	19861028		
HU 33144	A2	19841029	HU 1983-386	19830204
HU 190707	B	19861028		
EP 134225	A1	19850320	EP 1984-900530	19840123
EP 134225	B1	19880817		
R: AT, BE, CH, DE, FR, GB, LI, NL, SE				
JP 60500669	T	19850509	JP 1984-500633	19840123
JP 06015527	B	19940302		
AT 36525	T	19880915	AT 1984-900530	19840123
DD 216008	A5	19841128	DD 1984-259847	19840203
ES 529613	A1	19860601	ES 1984-529613	19840203
DK 8404486	A	19841121	DK 1984-4486	19840920
DK 165975	B	19930222		
DK 165975	C	19930726		
US 4703056	A	19871027	US 1984-662298	19840920
FI 8403721	A	19840921	FI 1984-3721	19840921

FI 76070	B	19880531			
FI 76070	C	19880909			
SU 1416056	A3	19880807	SU 1984-3800099		19840921
ES 544152	A1	19870316	ES 1985-544152		19850614
SU 1574170	A3	19900623	SU 1985-3950413		19850906
US 4897413	A	19900130	US 1987-109819		19871016
US 5028609	A	19910702	US 1989-441370		19891127
US 5032600	A	19910716	US 1990-607165		19901031
PRIORITY APPLN. INFO.:			HU 1983-191	A	19830121
			HU 1983-384	A	19830204
			HU 1983-385	A	19830204
			HU 1983-386	A	19830204
			EP 1984-900530	A	19840123
			WO 1984-HU5	W	19840123
			US 1984-662298	A3	19840920
			US 1987-109819	A3	19871016
			US 1989-441370	A3	19891127

OTHER SOURCE(S): CASREACT 102:24471

GI



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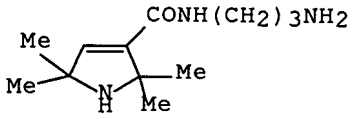
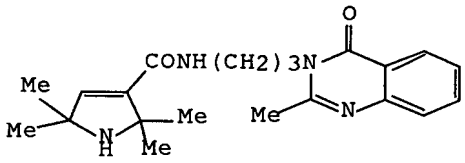


II



III

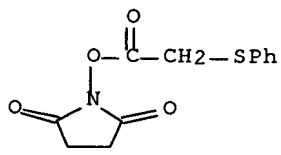
AB Antiarrhythmic pyrroline derivs. I [R = R1 = H; RR1 = bond; R2, R4 = H, alkyl; R3 = CHR4R5, COX1R6; R2R3 = COX2CO; NR2R3 = (un)substituted quinazolin-4-on-2-yl; R5 = (un)substituted aryl, heteroaryl; R6 = 5- or 6-membered (un)substituted (hetero)aromatic; X = (un)substituted alkylene; X1 = bond, (un)substituted alkylene; X2 = (partially hydrogenated) 6-membered ring] were prepared Thus pyrrolinecarboxamide II reacted with 2-methylbenzoxazinone to give quinazolinone III. At 4.0 mg/kg i.v. in rats, III gave complete suppression of aconitine nitrate-induced arrhythmia for ≥30 min.

IT ***93799-47-4P***

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93799-47-4 ZCAPLUS

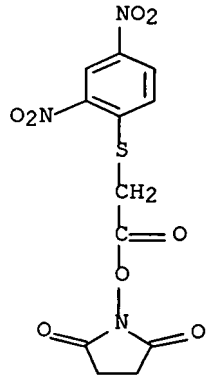
CN 2,5-Pyrrolidinedione, 1-[[(phenylthio)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 44 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:17002 ZCAPLUS Full-text
DOCUMENT NUMBER: 102:17002
TITLE: Controlled coupling of aminoglycoside antibiotics to proteins for use in homogeneous enzyme immunoassays
AUTHOR(S): Singh, Prithipal; Pirio, Marcel; Leung, Danton K.; Tsay, Yuh Geng
CORPORATE SOURCE: Syva Co., Palo Alto, CA, 94303, USA
SOURCE: Canadian Journal of Chemistry (1984), 62(11), 2471-7
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selective N-acylation of aminoglycoside antibiotics with the N-hydroxysuccinimide ester of methyldithioacetic acid [93801-74-2], followed by reaction with methanethiol or dithioerythritol, gives sulfhydryl-labeled antibiotics. Alternatively, the nucleophilic sulfhydryl group is incorporated into an antibiotic by treatment with N-acetyl-d,l-homocysteine thiolactone [17896-21-8]. These derivs. couple readily with proteins that have previously been modified with bromoacetylglycyl groups to provide conjugates for use in the development of homogeneous enzyme immunoassays.

IT ***93801-70-8P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with tobramycin)
RN 93801-70-8 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[[(2,4-dinitrophenyl)thio]acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 45 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:483984 ZCAPLUS Full-text
DOCUMENT NUMBER: 101:83984
TITLE: Urokinase complex
INVENTOR(S): Miyazaki, Wasei; Sato, Tsuneo; Nakayama, Yasuo; Sato, Tadao
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 86 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 109653	A2	19840530	EP 1983-111446	19831115
EP 109653	A3	19860129		
R: CH, DE, FR, GB, IT, LI, NL, SE				
JP 59093019	A	19840529	JP 1982-202359	19821117
JP 02049708	B	19901031		
JP 59204131	A	19841119	JP 1983-75198	19830428
JP 04054648	B	19920831		
US 4536391	A	19850820	US 1983-551841	19831115
CA 1212917	A1	19861021	CA 1983-441285	19831116
PRIORITY APPLN. INFO.:			JP 1982-202359 A	19821117
			JP 1983-75198 A	19830428

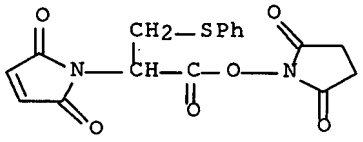
OTHER SOURCE(S): MARPAT 101:83984

AB Fibrin-adsorbable protein-urokinase complexes were prepared by reaction in the presence of novel coupling agents (I; R = phenylene or cyclohexane; R2 = lower alkylene; R1 = lower alkylene or various other groups; l, m, and n are varied). The complex thus prepared was an effective thrombolytic agent with properties superior to those of the uncomplexed enzyme.

IT ***91574-31-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and coupling of fibrin-adsorbed protein-urokinase with)

RN 91574-31-1 ZCAPLUS

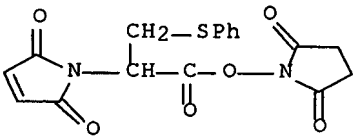
CN 1H-Pyrrole-2,5-dione, 1-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[(phenylthio)methyl]ethyl]- (9CI) (CA INDEX NAME)



IT ***91574-31-1DP***, plasmin-urokinase complexes
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thrombolytic activity of)

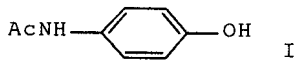
RN 91574-31-1 ZCAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[(phenylthio)methyl]ethyl]- (9CI) (CA INDEX NAME)



L27 ANSWER 46 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:416599 ZCAPLUS Full-text
DOCUMENT NUMBER: 97:16599
TITLE: Prostaglandin synthetase catalyzed activation of paracetamol
AUTHOR(S): Moldeus, Peter; Rahimtula, Anver; Andersson, Bo; Berggren, Margareta
CORPORATE SOURCE: Dep. Forensic Med., Karolinska Inst., Stockholm, 104 01, Swed.
SOURCE: Advances in Experimental Medicine and Biology (1982), 136B(Biol. React. Intermed.-2, Chem. Mech. Biol. Eff., Pt. B), 1099-107
CODEN: AEMBAP; ISSN: 0065-2598
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

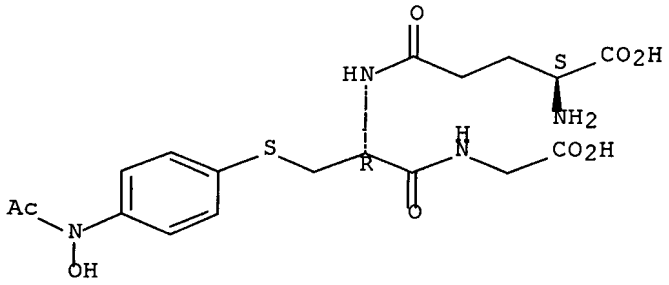
AB Microsomes isolated from sheep seminal vesicles (SSV) catalyzed the metabolic activation of paracetamol (I) [103-90-2] as evidenced by formation of paracetamol glutathione conjugate [67900-63-4] when SSV microsomes were incubated with paracetamol in the presence of arachidonic acid [506-32-1] and GSH [70-18-8]. In the absence of GSH, covalent binding of paracetamol to protein was observed The activity was inhibited by indomethacin, indicating the involvement of prostaglandin synthetase [9055-65-6] in the reaction. The initial activity was very rapid, and the affinity for paracetamol in the reaction was high, in fact, much higher than with microsomes from mouse liver using an NADPH generating system. N-hydroxyparacetamol [63975-21-3] Was also activated by SSV microsomes in the presence of arachidonic acid to a metabolite apparently different from that formed from paracetamol since the retention times of the resp. glutathione conjugates differed significantly. Finally, it was shown that rat kidney microsomes were also able to catalyze the formation of a paracetamol glutathione conjugate in the presence of arachidonic acid and GSH. The activity was, however, considerably less than with SSV microsomes.

IT ***82147-30-6***
RL: BIOL (Biological study)
(as hydroxyparacetamol metabolite, paracetamol metabolism in relation to)

RN 82147-30-6 ZCAPLUS

CN Glycine, N-[S-[4-(acetylhydroxyamino)phenyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

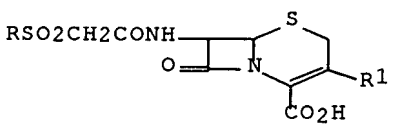
Absolute stereochemistry.



L27 ANSWER 47 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:523952 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:123952
TITLE: Substituted sulfonylacetamidocephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3960853	A	19760601	US 1974-529165	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

GI



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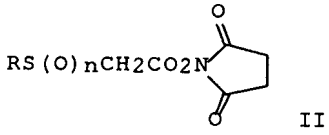
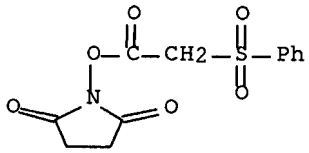


II

AB Cephems I (R = Me, Ph, CF3, NH2, Et; R1 = CH2OAc, 2-methyl-1,3,4- thiadiazol-5-ylthiomethyl, pyridiniummethyl, Me, H) were prepared by acylating the 7-aminocephems with the esters II (n = 2), prepared by esterifying RSCH2CO2H and oxidizing II (n = 0). I (R = Me, R1 = OAc) had a min. inhibitory concentration against Staphylococcus aureus of 3.1 μg/l, but was essentially inactive against Pseudomonas and Enterobacter.

IT ***51244-93-0P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 48 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:523951 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:123951
TITLE: Substituted sulfonylacetamidocephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 7 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3960852	A	19760601	US 1974-529162	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

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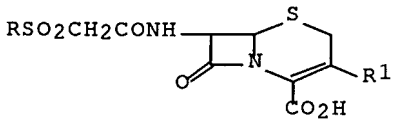

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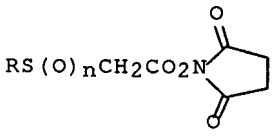
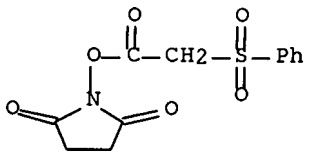


II

AB Cephems I (R = Me, Ph, CF3, NH2, Et; R1 = CH2OAc, 2-methyl-1,3,4- thiadiazol-5-ylthiomethyl, pyridiniummethyl, Me, H) were prepared by acylating the 7-aminocephems with the esters II (n = 2), prepared by esterifying RSCH2CO2H with N-hydroxysuccinimide and oxidizing II (n = 0). I (R = Me, R1 = OAc) had a min. inhibitory concentration against Staphylococcus aureus of 3.1 μg/ml but was essentially inactive against Pseudomonas and Enterobacter.

IT ***51244-93-0P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 49 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:523950 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:123950
TITLE: Substituted sulfonylacetamidocephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3960855	A	19760601	US 1974-529161	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

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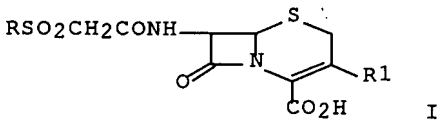

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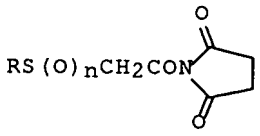


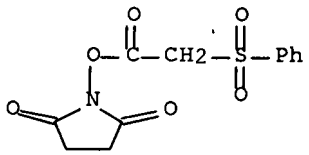
II

AB Cephem I (R = Me, Ph, CF3, NH2, Et; R1 = CH2OAc, 2-methyl-1,3,4-thiadiazol- 5-ylthiomethyl, pyridiniummethyl, Me, H) were prepared by acylating 7-aminocephems with the esters II (n = 2), prepared by esterifying RSCH2CO2H and oxidizing II (n = 0). I (R = Me, R1 = OAc) had min. inhibitory concentration against Staphylococcus aureus of 3.1 μg/ml, but was essentially inactive against Pseudomonas and Enterobacter.

IT ***51244-93-0P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephalosporanic acids by)

RN 51244-93-0 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 50 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:446720 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:46720
TITLE: Substituted sulfonylacetamido cephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 7 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3948905	A	19760406	US 1974-529166	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858 A3	19720503

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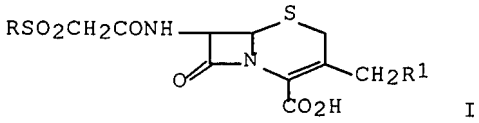


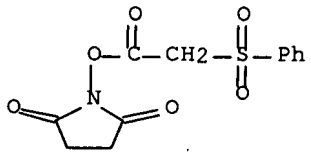
I

AB Cephalosporins (I, R = Me, Ph, Et, F3C, H2N, Me2N; R1 = H, 1-methyltetrazol-5-ylthio, 2-methyl-1,3,4-thiadiazol-5-ylthio, 1-pyridinium etc.) (.apprx.11 compds.) were prepared Thus, 7-aminocephalosporanic acid was acylated with methylsulfonylacetic acid, prepared from methylthioacetic acid, to give I (R = Me, R1 = H). I have min. inhibitory concns. of 0.1 to >100 μg/ml against various Gram-pos. and Gram-neg. bacteria.

IT ***51244-93-0***
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of cephalosporanic acid derivative)

RN 51244-93-0 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 51 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:446713 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:46713
TITLE: Substituted sulfonylacetamido cephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3928338	A	19751223	US 1974-529163	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858 A3	19720503

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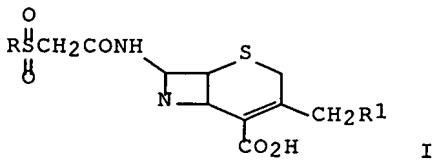
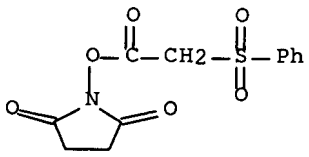


I

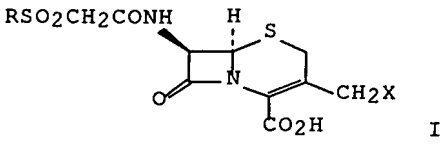
AB The antibacterial title compds. I (R = Me, Ph, Et, CF3; R1 = AcO, H, 2-methyl-1,3,4-thiadiazol-5-ylthio) were prepared by acylation of 7-aminocephalosporanates with RSO2CH2CO2H via N-hydroxysuccinimide active ester or dicyclohexylcarbodiimide amidation reactions. Hydrolysis-isomerization of 7-(2-thienylacetamido)cephalosporanic acid and subsequent Jones oxidation gave 3-formyl-7-(2-thienylacetamido)-2-cephem-4- carboxylic acid (II); the benzhydryl ester of II was decarbonylated by tris(triphenylphosphine)chlororhodium and then chlorinated-hydrolyzed to give benzhydryl 7-amino-3-cephem-4-carboxylate. The latter was amidated with MeSO2CH2CO2H and the benzhydryl group cleaved by anisole-CF3CO2H to give 7-(methylsulfonylacetamido)-3-cephem-4-carboxylic acid.

IT ***51244-93-0***
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with 7-aminocephalosporanic acid derivative)

RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



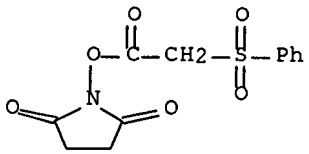
L27 ANSWER 52 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:400189 ZCAPLUS Full-text
DOCUMENT NUMBER: 85:189
TITLE: Semisynthetic cephalosporins. Synthesis and structure-activity relations of 7-sulfonylacetamido-3-cephem-4-carboxylic acids
AUTHOR(S): DeMarinis, R. M.; Hoover, J. R. E.; Lam, L. L.; Uri, J. V.; Guarini, J. R.; Phillips, L.; Actor, P.; Weisbach, J. A.
CORPORATE SOURCE: Res. Dev. Div., Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Journal of Medicinal Chemistry (1976), 19(6), 754-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of 24 title compds. [I: R = Me, Et, Pr, Bu, Ph, CF3, NH2; X = OAc, (methyltetrazolyl)thio, (methylthiadiazolyl)thio, (methyltriazolyl)thio, tetrazolylthio] was prepared by acylation of 7-aminocephalosporanic acid [957-68-6] or its heterocyclethiomethyl analogs and tested for in vitro and in vivo activity. Lengthening the alkyl chain on the sulfonyl group increased gram-pos. activity. The protective effectiveness in infected mice generally paralleled in vitro activity. Replacement of the 3-acetoxymethyl group by a 3-(heterocyclethio)methyl group gave overall improvement of activity in vitro and in vivo.

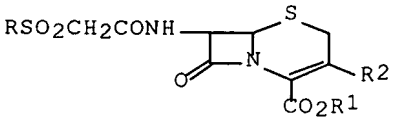
IT ***51244-93-0P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminocephemcarboxylic acids acylation by)
RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 53 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:180248 ZCAPLUS Full-text
DOCUMENT NUMBER: 84:180248
TITLE: Substituted sulfonylacetamidocephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3939266	A	19760217	US 1974-529207	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

GI



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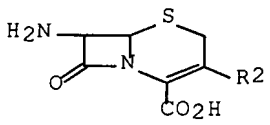
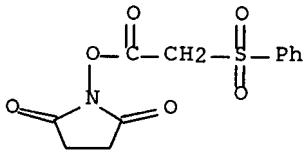


II

AB Cephalosporanic and cephemcarboxylic acid derivs. I (R = Me, R1 = H, R2 = 1-methyltetrazol-5-ylthiomethyl, 2-methyl-1,3,4-thiadiazol-5-ylthiomethyl, CH2OAc, pyridiniomethyl, Me; R = Ph, R1 = H, R2 = 2-methyl-1,3,4- thiadiazol-5-ylthiomethyl; R = NH2, Et, R1 = H, R2 = CH2OAc) or their Na salts I (R1 = Na), useful as broad-spectrum antibacterials with min. inhibitory concns. 0.1-.apprx.200 μg/ml, were prepared by acylating the corresponding 7-amino compds. II with N-hydroxysuccinimide (III) esters (IV) of RSO2CH2CO2H. IV were prepared by esterifying RSCH2CO2H with III and oxidizing the product with m-ClC6H4CO2OH. The antibacterial activities of I (R = Me, Et, Ph, R2 = 5-methyl-1,3,4-thiadiazol-2-ylthiomethyl; R = Me, R2 = 5(and 4)-methyl-1,2,4-triazol-3-ylthiomethyl, 4-methyl-5-oxo-1,2,4- triazol-3-ylthiomethyl; R = Ph, R2 = CH2OAc) were also given but no prepns. were indicated.

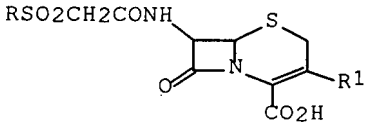
IT ***51244-93-0***
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of amino[(thiadiazolylthio)methyl]cephemcarboxylic acid)
RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 54 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:121868 ZCAPLUS Full-text
DOCUMENT NUMBER: 84:121868
TITLE: Substituted sulfonylacetamidocephalosporins
INVENTOR(S): DeMarinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3923799	A	19751202	US 1974-529164	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

GI

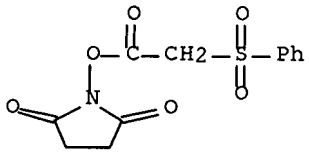


I

AB The cephalosporins I (R = Me, Et, Ph, H2N; R1 = AcOCH2, 2-methyl-1,3,4-thiazolylthiomethyl, 1-methyltetrazol-5-ylthiomethyl, Me) were prepared by acylation of aminocephalosporins. Thus, MeSCH2CO2H was treated with N-hydroxysuccinimide followed by oxidation to give N-hydroxysuccinimide methylsulfonylacetate which was treated with 7-aminocephalosporanic acid to give I (R = Me, R1 = AcOCH2) (II). The min. inhibitory concentration of II against Staphylococcus aureus was 25 μg/ml.

IT ***51244-93-0***
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephalosporanic acids by)

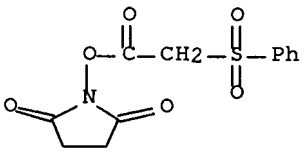
RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 55 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:74285 ZCAPLUS Full-text
DOCUMENT NUMBER: 84:74285
TITLE: Substituted sulfonylacetamido cephalosporins
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 7 pp. Division of U.S. 3,865,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3925373	A	19751209	US 1974-529168	19741203
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858	A3 19720503

GI For diagram(s), see printed CA Issue.
AB Cephalosporins I (R = Me, Ph, NH2, Et, R1 = AcO, 5-methyl-1,3,4-thiadiazol- 2-ylthio, 1-methyltetrazol-5-ylthio, pyridinium, 4-methyl-1,2,4-triazol-3-ylthio), useful as bactericides against Gram-positive and Gram-negative bacteria, were prepared by treatment of a 7-aminocephalosporanic acid with RSO2CH2CO2H or with an appropriate heterocyclic thiol.
IT ***51244-93-0***
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephalosporins)
RN 51244-93-0 ZCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 56 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:410106 ZCAPLUS Full-text
DOCUMENT NUMBER: 83:10106
TITLE: Substituted sulfonylacetamido cephalosporins
INVENTOR(S): DeMarinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smithkline Corp.
SOURCE: U.S., 8 pp.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 1363222	A	19740814	GB 1973-19857	19730426
NL 7305941	A	19731106	NL 1973-5941	19730427
BE 798927	A1	19731030	BE 1973-130594	19730430
DE 2322127	A1	19731122	DE 1973-2322127	19730502
ZA 7302980	A	19740424	ZA 1973-2980	19730502
JP 49054391	A	19740527	JP 1973-49603	19730502
AU 7355151	A	19741107	AU 1973-55151	19730502
CH 594683	A5	19780131	CH 1973-6233	19730502
SE 419339	B	19810727	SE 1973-6097	19730502
SE 419339	C	19811105		
FR 2183225	A1	19731214	FR 1973-15922	19730503
US 3922267	A	19751125	US 1974-529167	19741203
US 3923799	A	19751202	US 1974-529164	19741203
US 3925373	A	19751209	US 1974-529168	19741203
US 3928338	A	19751223	US 1974-529163	19741203
US 3939266	A	19760217	US 1974-529207	19741203
US 3948905	A	19760406	US 1974-529166	19741203
US 3960855	A	19760601	US 1974-529161	19741203
US 3960852	A	19760601	US 1974-529162	19741203
US 3960853	A	19760601	US 1974-529165	19741203

PRIORITY APPLN. INFO.: US 1972-249858 A 19720503

GI For diagram(s), see printed CA Issue.

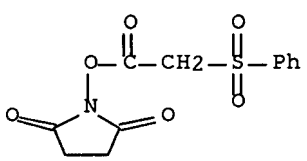
AB The bactericidal cephalosporins I (R = Me, Ph, Et, NH2; R1 = MeCO2CH2, 1-methyltetrazol-5-ylthiomethyl, 2-methyl-1,3,4-thiadiazol-5-ylthiomethyl, etc.) were prepared Thus, MeSCH2CO2H was treated with N-hydroxysuccinimide followed by m-ClC6H4CO2OH and the methylsulfonylacetate treated with 7-aminocephalosporanic acid to give I (R = Me, R1 = MeCO2CH2). The minimum inhibitory concentration of I (R = Me, R1 = 1-methyltetrazol-5- ylthiomethyl) against Staphylococcus aureus HH 127 was 3.1 mg/ml.

IT ***51244-93-0P***

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with cephemcarboxylic acids)

RN 51244-93-0 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



L27 ANSWER 57 OF 57 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:48019 ZCAPLUS Full-text
DOCUMENT NUMBER: 80:48019

TITLE: Cephalosporin compounds and their salts
INVENTOR(S): De Marinis, Robert M.; Hoover, John R. E.
PATENT ASSIGNEE(S): Smith Kline and French Laboratories
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2322127	A1	19731122	DE 1973-2322127	19730502
US 3865819	A	19750211	US 1972-249858	19720503
PRIORITY APPLN. INFO.:			US 1972-249858 A	19720503

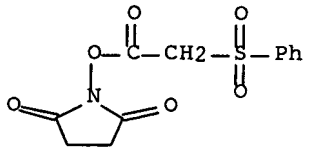
GI For diagram(s), see printed CA Issue.

AB Sulfonylacetamidocephalosporins I (R = Me, Et, CF3, Ph, NH2; R1 = H, Me; R2 = H, Me, CH2OAc, 1-methyl-5-tetrazolylthiomethyl, substituted thiadiazoylthiomethyl or triazolylthiomethyl; R3 = Na, H) were prepared for use as broad-spectrum antibiotics. Thus, I (R = Me, R1 = H, R2 = CH2OAc, R3 = Na) was prepared by treating 7-aminocephalosporanic acid with succinimidyl methanesulfonylacetate (II). II was obtained by treating MeSCH2CO2H with N-hydroxysuccinimide and oxidizing the methylthio group with m-ClC6H4CO2OH.

IT ***51244-93-0P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 51244-93-0 ZCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(phenylsulfonyl)acetyl]oxy]- (9CI) (CA INDEX NAME)



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                -6/BI OR 53339-53-0/BI OR 6723-30-4/BI OR 736152-30-0/BI OR
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L4              STRUCTURE UPLOADED
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L9           77 SEA SUB=L7 SSS FUL L3 AND L4
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L13        4747 SEA ABB=ON  PLU=ON  THOMAS R?/AU
L14         356 SEA ABB=ON  PLU=ON  WHITTAKER M?/AU
L15           7 SEA ABB=ON  PLU=ON  L11 AND (L12 OR L13 OR L14)
L16          13 SEA ABB=ON  PLU=ON  L12 AND (L13 OR L14)
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FILE ZCAPLUS

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